

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	Apr 08	"Ask CAS" for self-help around the clock
NEWS	3	Jun 03	New e-mail delivery for search results now available
NEWS	4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS	5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS	6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS	7	Sep 03	JAPIO has been reloaded and enhanced
NEWS	8	Sep 16	Experimental properties added to the REGISTRY file
NEWS	9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS	10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS	11	Oct 24	BEILSTEIN adds new search fields
NEWS	12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS	13	Nov 18	DKILIT has been renamed APOLLIT
NEWS	14	Nov 25	More calculated properties added to REGISTRY
NEWS	15	Dec 04	CSA files on STN
NEWS	16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS	17	Dec 17	TOXCENTER enhanced with additional content
NEWS	18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS	19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS	20	Feb 13	CANCERLIT is no longer being updated
NEWS	21	Feb 24	METADEx enhancements
NEWS	22	Feb 24	PCTGEN now available on STN
NEWS	23	Feb 24	TEMA now available on STN
NEWS	24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS	25	Feb 26	PCTFULL now contains images
NEWS	26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS	27	Mar 20	EVENTLINE will be removed from STN
NEWS	28	Mar 24	PATDPAFULL now available on STN
NEWS	29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS	30	Apr 11	Display formats in DGENE enhanced
NEWS	31	Apr 14	MEDLINE Reload
NEWS	32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS	33	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS	34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS	35	Apr 28	RDISCLOSURE now available on STN
NEWS	36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS	37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS	38	May 15	Supporter information for ENCOMPAT and ENCOMPLIT updated
NEWS	39	May 16	CHEMREACT will be removed from STN

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:17:40 ON 18 MAY 2003

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:17:47 ON 18 MAY 2003  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 MAY 2003 HIGHEST RN 517103-55-8  
DICTIONARY FILE UPDATES: 16 MAY 2003 HIGHEST RN 517103-55-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>  
Uploading 10077150.7

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

```
=> d l1 sss full
L1 HAS NO ANSWERS
'SSS FULL ' IS NOT A VALID STRUCTURE FORMAT KEYWORD
Structure Formats
SIA ----- Structure Image, Attributes, and map table if it contains
              data. (Default)
SIM ----- Structure Image.
SAT ----- Structure ATtributes and map table if it contains data.
SCT ----- Structure Connection Table and map table if it contains
              data.
SDA ----- All Structure Data (image, attributes, connection table and
              map table if it contains data).
NOS ----- NO Structure data.
ENTER STRUCTURE FORMAT (SIM), NOS:end
```

```
=> s l1 sss full
FULL SEARCH INITIATED 17:18:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791354 TO ITERATE
```

```
50.5% PROCESSED 400000 ITERATIONS 13138 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.12
```

```
FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:   791354 TO 791354
PROJECTED ANSWERS:      25509 TO 26475
```

L2 13138 SEA SSS FUL L1

```
=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST
```

	SINCE FILE	TOTAL
	ENTRY	SESSION
	148.95	149.16

FILE 'CAPLUS' ENTERED AT 17:19:20 ON 18 MAY 2003  
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FILE COVERS 1907 - 18 May 2003 VOL 138 ISS 21  
FILE LAST UPDATED: 16 May 2003 (20030516/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3 2810 L2

=> s l3 quinoxaline and pyridine

MISSING OPERATOR L3 QUINIXALINE

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s l3 and quinoxaline and pyridine

L4 0 L3 AND QUINIXALINE AND PYRIDINE

=> s l3 and quinoxaline and pyrimidine

L5 8 L3 AND QUINOXALINE AND PYRIMIDINE

=> s l3 and quinoxaline and triazine

L6 2 L3 AND QUINOXALINE AND TRIAZINE

=> s l3 and quinoxaline and pyrrolopyrimidine

L7 0 L3 AND QUINOXALINE AND PYRROLOPYRIMIDINE

=> s l3 and quinoxaline and imidazolopyrimidine

L8 0 L3 AND QUINOXALINE AND IMIDAZOLOPYRIMIDINE

=> s l3 and quinoxaline and pyrazolopyrimidine

L9 0 L3 AND QUINOXALINE AND PYRAZOLOPYRIMIDINE

=> s l3 and quinoxaline and triazolopyrimidine

L10 1 L3 AND QUINOXALINE AND TRIAZOLOPYRIMIDINE

=> s l3 benzoxadiazle and pyrimidine

MISSING OPERATOR L3 BENZOXADIAZ

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s l3 and benzoxadiazle and pyrimidine

L11 0 L3 AND BENZOXADIAZLE AND PYRIMIDINE

=> s l3 and benzothiadiazole

L12 138 L3 AND BENZOTHIADIAZOLE

=> s l12 and pyrimidine

L13 7 L12 AND PYRIMIDINE

=> s l12 and triazine

L14 2 L12 AND TRIAZINE

=> s l12 and pyrrolopyrimidine

L15 0 L12 AND PYRROLOPYRIMIDINE

=> s l12 and imidazolopyrimidine

L16 0 L12 AND IMIDAZOLOPYRIMIDINE

=> s l12 and pyrolopyrimidine



L17 0 L12 AND PYROZOLOPYRIMIDINE

=> s l12 and triazolopyrimidine

L18 0 L12 AND TRIAZOLOPYRIMIDINE

=> s l3 and benztriazole

L19 6 L3 AND BENZTRIAZOLE

=> s l3 and benz-methyltriazole

L20 0 L3 AND BENZ-METHYLTRIAZOLE

=> d his

(FILE 'HOME' ENTERED AT 17:17:40 ON 18 MAY 2003)

FILE 'REGISTRY' ENTERED AT 17:17:47 ON 18 MAY 2003

L1 STRUCTURE UPLOADED

L2 13138 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:19:20 ON 18 MAY 2003

L3 2810 S L2

L4 0 S L3 AND QUINIXALINE AND PYRIDINE

L5 8 S L3 AND QUINOXALINE AND PYRIMIDINE

L6 2 S L3 AND QUINOXALINE AND TRIAZINE

L7 0 S L3 AND QUINOXALINE AND PYRROLOPYRIMIDINE

L8 0 S L3 AND QUINOXALINE AND IMIDAZOLOPYRIMIDINE

L9 0 S L3 AND QUINOXALINE AND PYRAZOLOPYRIMIDINE

L10 1 S L3 AND QUINOXALINE AND TRIAZOLOPYRIMIDINE

L11 0 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE

L12 138 S L3 AND BENZOTHIADIAZOLE

L13 7 S L12 AND PYRIMIDINE

L14 2 S L12 AND TRIAZINE

L15 0 S L12 AND PYRROLOPYRIMIDINE

L16 0 S L12 AND IMIDAZOLOPYRIMIDINE

L17 0 S L12 AND PYROZOLOPYRIMIDINE

L18 0 S L12 AND TRIAZOLOPYRIMIDINE

L19 6 S L3 AND BENZTRIAZOLE

L20 0 S L3 AND BENZ-METHYLTRIAZOLE

=> s l3 and benzoxadiazole and pyrimidine

L21 0 L3 AND BENZOXADIAZOLE AND PYRIMIDINE

=> s l3 and benzoxadiazole and pyrimidine

L22 3 L3 AND BENZOXADIAZOLE AND PYRIMIDINE

=> s l3 and benzoxadiazole and triazine

L23 2 L3 AND BENZOXADIAZOLE AND TRIAZINE

=> s l3 and pyrrolopyrimidine

L24 3 L3 AND PYRROLOPYRIMIDINE

=> s l3 and imidazolopyrimidine

L25 0 L3 AND IMIDAZOLOPYRIMIDINE

=> s l3 and triazolopyrimidine

L26 3 L3 AND TRIAZOLOPYRIMIDINE

=> d his

(FILE 'HOME' ENTERED AT 17:17:40 ON 18 MAY 2003)

FILE 'REGISTRY' ENTERED AT 17:17:47 ON 18 MAY 2003

L1 STRUCTURE UPLOADED  
L2 13138 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:19:20 ON 18 MAY 2003

L3 2810 S L2  
L4 0 S L3 AND QUINIXALINE AND PYRIDINE  
L5 8 S L3 AND QUINOXALINE AND PYRIMIDINE  
L6 2 S L3 AND QUINOXALINE AND TRIAZINE  
L7 0 S L3 AND QUINOXALINE AND PYRROLOPYRIMIDINE  
L8 0 S L3 AND QUINOXALINE AND IMIDAZOLOPYRIMIDINE  
L9 0 S L3 AND QUINOXALINE AND PYRAZOLOPYRIMIDINE  
L10 1 S L3 AND QUINOXALINE AND TRIAZOLOPYRIMIDINE  
L11 0 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE  
L12 138 S L3 AND BENZOTHIADIAZOLE  
L13 7 S L12 AND PYRIMIDINE  
L14 2 S L12 AND TRIAZINE  
L15 0 S L12 AND PYRROLOPYRIMIDINE  
L16 0 S L12 AND IMIDAZOLOPYRIMIDINE  
L17 0 S L12 AND PYROZOLOPYRIMIDINE  
L18 0 S L12 AND TRIAZOLOPYRIMIDINE  
L19 6 S L3 AND BENZTRIAZOLE  
L20 0 S L3 AND BENZ-METHYLTRIAZOLE  
L21 0 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE  
L22 3 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE  
L23 2 S L3 AND BENZOXADIAZOLE AND TRIAZINE  
L24 3 S L3 AND PYRROLOPYRIMIDINE  
L25 0 S L3 AND IMIDAZOLOPYRIMIDINE  
L26 3 S L3 AND TRIAZOLOPYRIMIDINE

=> d l5 fbib hitstr abs total

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS  
AN 2002:790220 CAPLUS  
DN 137:294982  
TI Preparation of piperazinyldiprazinyl aryloxyalkyl ethers as 5-HT2C receptor agonists  
IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias  
PA Biovitrum AB, Swed.  
SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 2

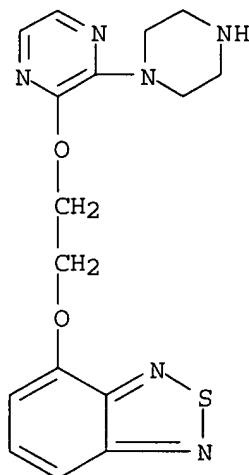
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6465467	B1	20021015	US 2000-589282	20000608
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				US 2000-573348	B220000519
	US 2003092694	A1	20030515	US 2002-269670	20021011
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				US 2000-573348	B220000519

US 2000-589282 A320000608

## PATENT FAMILY INFORMATION:

FAN 2000:900625

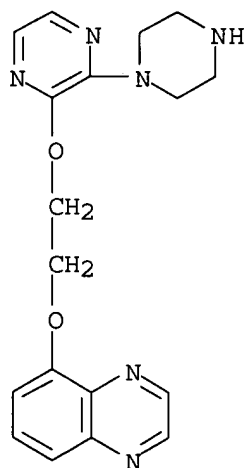
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
	WO 2000076984	A3	20010208		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
EP 1178973		A2	20020213	EP 2000-931877	20000519
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
BR 2000010783		A	20020409	WO 2000-SE1017 W	20000519
				BR 2000-10783	20000519
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
JP 2003502317		T2	20030121	WO 2000-SE1017 W	20000519
				JP 2001-503842	20000519
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
NO 2001005686		A	20020115	WO 2000-SE1017 W	20000519
				NO 2001-5686	20011121
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519
OS	MARPAT 137:294982				
IT	<b>313655-27-5P</b> , 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-2,1,3-benzothiadiazole Dihydrochloride <b>313655-31-1P</b> , 5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy] <b>quinoxaline</b> Hydrochloride RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT <sub>2C</sub> receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)				
RN	313655-27-5 CAPLUS				
CN	2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)				



● 2 HCl

RN 313655-31-1 CAPLUS

CN Quinoxaline, 5-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

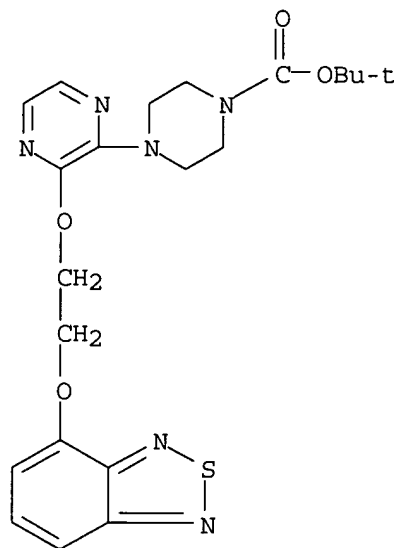
IT **313655-28-6P**, tert-Butyl 4-[3-[2-(2,1,3-benzothiadiazol-4-yloxy)ethoxy]-2-pyrazinyl]-1-piperazinecarboxylate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT<sub>2C</sub> receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)

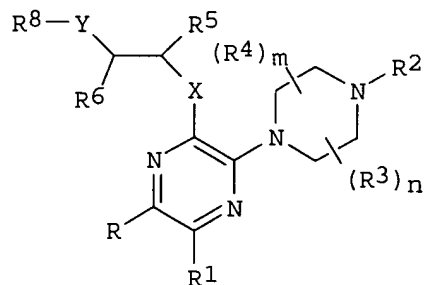
RN 313655-28-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[2-(2,1,3-benzothiadiazol-4-

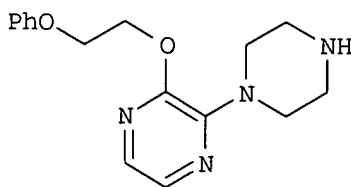
xyloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. (I) [wherein X and Y = independently O, S, or NR<sub>7</sub>; R and R<sub>1</sub> = independently H, alkyl, or halo; or C<sub>2</sub>RR<sub>1</sub> = optionally halo substituted benzene or thiophene; R<sub>2</sub> = H, OH, or alkyl; R<sub>3</sub>, R<sub>4</sub>, and R<sub>5</sub> = independently H or alkyl; R<sub>6</sub> = H or alkyl; or CYR<sub>6</sub>R<sub>8</sub> for a 5-6 membered heterocycle; R<sub>7</sub> = H or alkyl, preferably Me or Et; R<sub>8</sub> = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prep'd. and tested as 5-HT<sub>2C</sub> receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K<sub>2</sub>CO<sub>3</sub> in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT<sub>2C</sub> receptor protein with K<sub>i</sub> values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds.

I exhibited agonist efficacy at the 5-HT<sub>2C</sub> receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2002:754196 CAPLUS

DN 137:257677

TI Methods of treating or preventing Alzheimer's disease using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes

IN Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 449 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076440	A2	20021003	WO 2002-US9100	20020321
	WO 2002076440	A3	20021128		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2001-278371PP	20010323
				US 2001-308729PP	20010730

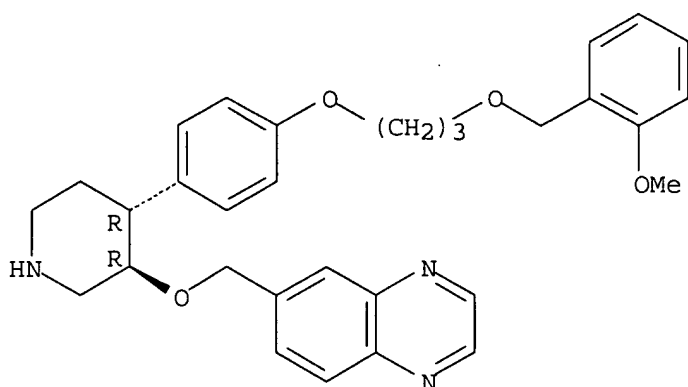
OS MARPAT 137:257677

IT **188876-01-9P, Quinoxaline**, 6-[[[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-, trans-  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)

RN 188876-01-9 CAPLUS

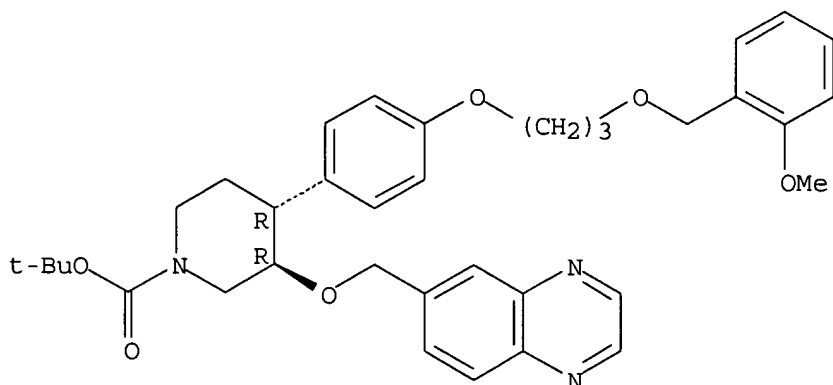
CN Quinoxaline, 6-[[[(3R,4R)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

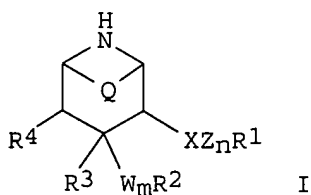


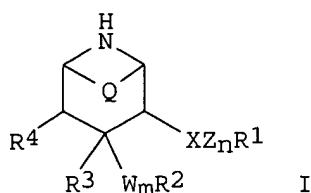
IT **188876-23-5P**, 1-Piperidinecarboxylic acid, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-(6-quinoxalinylmethoxy)-, 1,1-dimethylethyl ester, trans-  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-alkoxy piperidines and -azabicyclooctanes)  
 RN 188876-23-5 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-(6-quinoxalinylmethoxy)-, 1,1-dimethylethyl ester, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



GI





AB Disclosed are methods for treating or preventing Alzheimer's disease, and other diseases, and/or inhibiting .beta.-secretase enzyme, and/or inhibiting deposition of A beta peptide in a mammal, using 3,4-disubstituted piperidinyl compds. (I) wherein the variables R1, R2, R3, R4, Q, W, X, Z, m, and n are defined below. Although neither the compds. nor the methods of prepn. are claimed, .apprx.150 example prepsns., translations from the German examples of patent WO 9709311, are included. I inhibit .beta.-secretase with IC50 < 50 .mu.M; compds. that are effective inhibitors of .beta.-secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, or furyl, optionally substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is: H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11- (R11 defined in claims), -CHOR9- (R9 defined in claims), -OCO-, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxy-carbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a satd. C atom of group Z or to R1; W is: -O-, or -S-; Z is: lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene, -O-, -S-, -O-Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N is: 1, or 0 or 1 when X is -O-CO-; and where m is 0 or 1; with provisos.

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2000:725471 CAPLUS

DN 133:281794

TI Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors

IN Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara Lakshman; Zembrowski, William James

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 328 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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			WO 2000-IB296 W 20000316
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			WO 2000-IB296 W 20000316
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			WO 2000-IB296 W 20000316
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			WO 2000-IB296 W 20000316
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			US 2000-538039 A320000329

OS MARPAT 133:281794

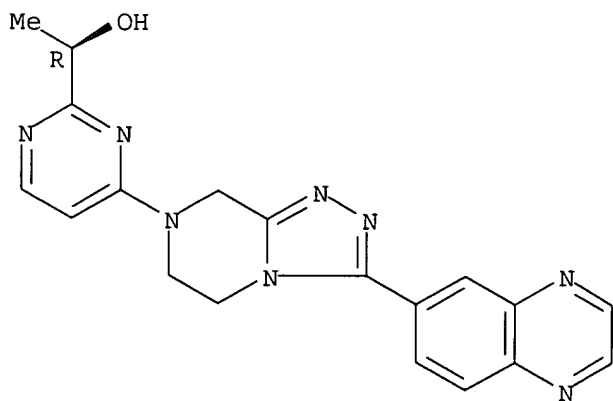
IT **300551-69-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)

RN 300551-69-3 CAPLUS

CN 2-Pyrimidinemethanol, 4-[5,6-dihydro-3-(6-quinoxaliny)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

Patel

&lt;5/18/2003&gt;

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxycarbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.g., a multi-step synthesis of the **pyrimidine** (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1999:595180 CAPLUS

DN 131:214301

TI Preparation of bicyclic heterocyclic amides as modulators of protein tyrosine phosphatases (PTPases)

IN Andersen, Henrik Sune; Jones, Todd Kevin; Holsworth, Daniel Dale

PA Novo Nordisk A/S, Den.; Ontogen Corporation

SO PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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TJ, TM				
RW:				
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			US 1998-82373P	P	19980420
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## PATENT FAMILY INFORMATION:

FAN 1999:595124

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FAN 1999:595137				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 9946244	A1	19990916	WO 1999-DK123	19990311
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TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,				
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CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			DK 1998-343	A 19980312
			DK 1998-473	A 19980403
			DK 1998-939	U 19980715
			DK 1998-1561	U 19981126
AU 9927137	A1	19990927	AU 1999-27137	19990311
			DK 1998-343	A 19980312
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
			DK 1998-343	A 19980312
			DK 1998-473	A 19980403
			DK 1998-939	A 19980715
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			WO 1999-DK123	W 19990311
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			DK 1998-343	A 19980312
			DK 1998-473	A 19980403
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			DK 1998-939	A 19980715
			US 1998-93620P	P 19980721
			DK 1998-1561	A 19981126



JP 2002506058	T2	20020226	US 1999-115528PP 19990112
			JP 2000-535625 19990311
			DK 1998-343 A 19980312
			DK 1998-473 A 19980403
			DK 1998-939 A 19980715
			DK 1998-1561 A 19981126
			WO 1999-DK123 W 19990311
ZA 9902032	A	19990927	ZA 1999-2032 19990312
			DK 1998-343 A 19980312
US 2002165398	A1	20021107	US 2002-127043 20020419
			DK 1998-343 A 19980312
			DK 1998-473 A 19980403
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			US 1998-93620P P 19980721
			DK 1998-1561 A 19981126
			US 1999-115528PP 19990112
			US 1999-266395 B119990311
FAN 1999:595178			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI WO 9946267	A1	19990916	WO 1999-DK121 19990311
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,			
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,			
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,			
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,			
TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,			
TJ, TM			
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,			
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,			
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
			DK 1998-344 A 19980312
			DK 1998-480 A 19980403
			DK 1998-938 A 19980715
			DK 1998-1385 A 19981028
			DK 1998-1612 A 19981207
CA 2323493	AA	19990916	CA 1999-2323493 19990311
			DK 1998-344 A 19980312
			DK 1998-480 A 19980403
			DK 1998-938 A 19980715
			DK 1998-1385 A 19981028
			DK 1998-1612 A 19981207
AU 9927135	A1	19990927	WO 1999-DK121 W 19990311
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			DK 1998-344 A 19980312
			DK 1998-480 A 19980403
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			DK 1998-1612 A 19981207
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,  
SI, LT, FI, RO

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US 6262044	B1	20010717	US 1999-268490	19990311
			DK 1998-344	A 19980312
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			US 1998-108747PP	19981117
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			DK 1998-1612	A 19981207
			WO 1999-DK121	W 19990311
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NO 2000004527	A	20001107	NO 2000-4527	20000911
			DK 1998-344	A 19980312
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			DK 1998-1385	A 19981028
			DK 1998-1612	A 19981207
			WO 1999-DK121	W 19990311
US 6410586	B1	20020625	US 2001-810266	20010316
			DK 1998-344	A 19980312
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			US 1998-93525P	P 19980721
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			US 1998-108747PP	19981117
			DK 1998-1612	A 19981207
			US 1999-268490	A319990311
US 2003069267	A1	20030410	US 2002-158464	20020528
			DK 1998-344	A 19980312
			DK 1998-480	A 19980403
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			US 1998-93525P	P 19980721
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			US 1998-108747PP	19981117
			DK 1998-1612	A 19981207
			US 1999-268490	A319990311
			US 2001-810266	A320010316

OS MARPAT 131:214301

IT **243463-49-2P**, 7-(Oxalylamino)**quinoxaline**-6-carboxylic  
acid

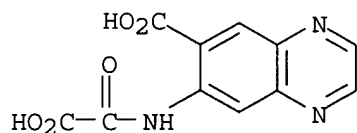
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

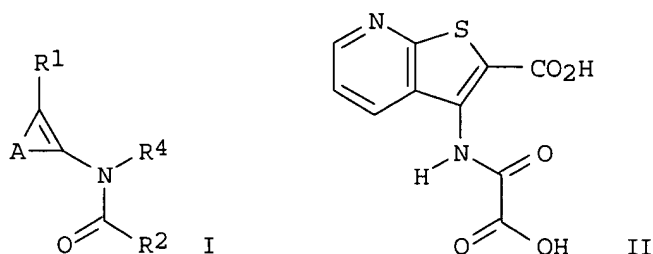
(target compd.; prepn. of bicyclic heterocyclic amides as modulators of protein tyrosine phosphatases (PTPases))

RN 243463-49-2 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 7-[(carboxycarbonyl)amino]- (9CI) (CA INDEX NAME)



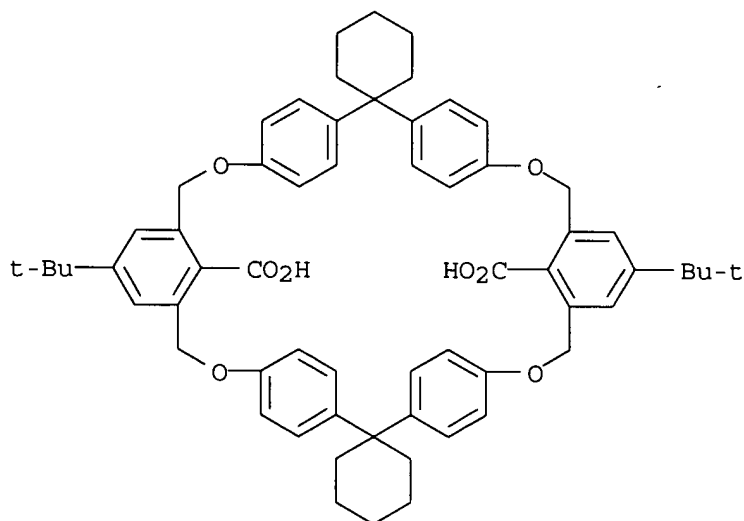
GI



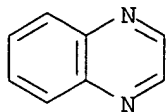
AB The invention provides novel compds., novel compns., methods of their use, and methods of their manuf., where such compds. are pharmacol. useful inhibitors of protein tyrosine phosphatases (PTPases) such as PTP1B, CD45, SHP-1, SHP-2, PTP.alpha., LAR, and HePTP, or the like. The compds. are depicted by formula I [A = atoms to complete various 5/5 and 5/6 bicyclic heterocycles, e.g., thienopyridines; R1 = acyl, OH or derivs., CF3, NO2, cyano, SO3H, (un)substituted NH2, or various 5-membered heterocycles; R2 = acyl, OH or derivs., CF3, NO2, cyano, SO3H, (un)substituted NH2, various 5-membered heterocycles; R4 = H, OH, alkyl, (un)substituted aryl or aralkyl, (un)substituted NH2, alkoxy], and include salts, optical isomers, and tautomers. The compds. are useful in the treatment of type I diabetes, type II diabetes, impaired glucose tolerance, insulin resistance, obesity, immune dysfunctions including autoimmunity diseases with dysfunctions of the coagulation system, allergic diseases including asthma, osteoporosis, proliferative disorders including cancer and psoriasis, diseases with decreased or increased synthesis or effects of growth hormone, diseases with decreased or increased synthesis of hormones or cytokines that regulate the release of/or response to growth hormone, diseases of the brain including Alzheimer's disease and schizophrenia, and infectious diseases. For instance, 3-aminothieno[2,3-b]pyridine-2-carboxylic acid Me ester was amidated with Et oxalyl chloride (61%), followed by hydrolysis of the ester functions with NaOH in aq. EtOH (30%), to give title compd. II as the mono-Na salt (III). In an in vitro test against PTP1B expressed in E. coli and purified by known methods, III had Ki of 330 .mu.M.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS  
AN 1999:255217 CAPLUS  
DN 131:44803  
TI Preorganized macrocyclic receptors featuring endo-carboxylic acid groups.  
Host synthesis and inclusion compounds with alcohol and amine guests  
AU Weber, Edwin; Haase, Reinhard; Pollex, Rolf; Czugler, Matyas  
CS Institut Organische Chemie, Technische Universitat-Bergakademie Freiberg,  
Freiberg, D-09596, Germany  
SO Journal fuer Praktische Chemie (Weinheim, Germany) (1999), 341(3), 274-283  
CODEN: JPCHF4; ISSN: 1436-9966  
PB Wiley-VCH Verlag GmbH  
DT Journal  
LA English  
OS CASREACT 131:44803  
IT **227293-34-7P 227293-42-7P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 227293-34-7 CAPLUS  
CN Dispiro[cyclohexane-1,2'-[7,15,25,33]tetraoxaheptacyclo[32.2.2.23,6.216,19  
.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(3  
9),34,36,37,40,42,45-octadecaene]-20',1''-cyclohexane]-39',44''-  
dicarboxylic acid, 11',29'-bis(1,1-dimethylethyl)-, compd. with  
quinoxaline (1:1) (9CI) (CA INDEX NAME)  
  
CM 1  
  
CRN 223397-25-9  
CMF C62 H68 O8



CM 2  
CRN 91-19-0  
CMF C8 H6 N2

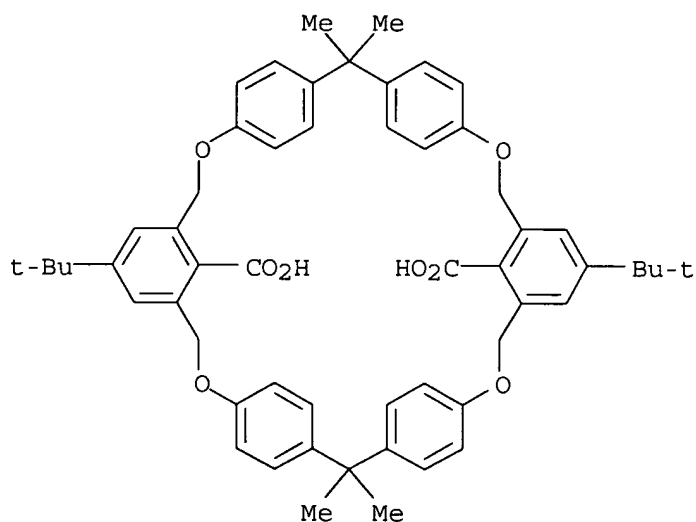


RN 227293-42-7 CAPLUS  
CN 7,15,25,33-Tetraoxaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta-3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45-octadecaene-39,44-dicarboxylic acid, 11,29-bis(1,1-dimethylethyl)-2,2,20,20-tetramethyl-, compd. with quinoxaline (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159051-86-2

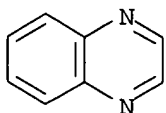
CMF C56 H60 O8



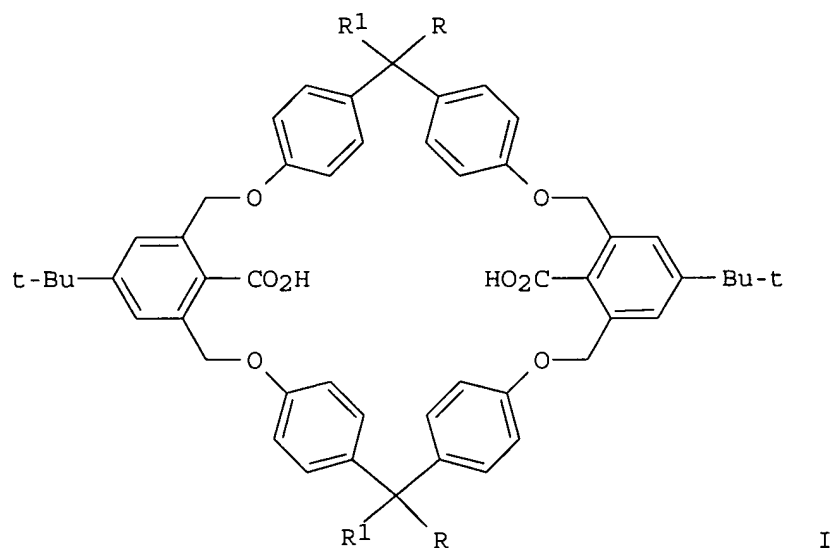
CM 2

CRN 91-19-0

CMF C8 H6 N2



GI



AB The synthesis and characterization of macrocyclic host compds. I [RR1 = (CH<sub>2</sub>)<sub>5</sub>; R, R1 = Me; RR1 = O; R = Me, R1 = CH<sub>2</sub>CO<sub>2</sub>H] having modified diphenylmethane units as bridging elements and 2 endo-oriented carboxyl groups attached to arom. building blocks are described. The complexation properties of the macrocycles towards amines and alcs. are reported, showing that the ability to form convergent inclusion compds. depends on the type of the spacer element. For the dicarboxylic hosts I [RR1 = (CH<sub>2</sub>)<sub>5</sub>; R, R1 = Me] endo-complexation of guest mols. based on H bonding to the acid functions is proved using <sup>1</sup>H NMR and x-ray crystal structure anal.

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1998:761764 CAPLUS

DN 130:54817

TI Secondary lithium batteries

IN Yakata, Hiroshi; Amano, Kosuke; Sakauchi, Hiroshi; Sato, Masaharu

PA NEC Corp., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10312827	A2	19981124	JP 1997-123979	19970514
	JP 3114651	B2	20001204		
				JP 1997-123979	19970514

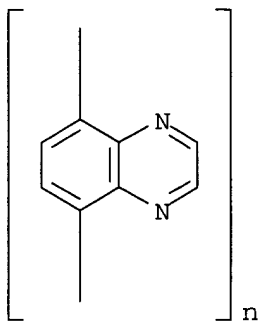
IT **164363-68-2**, Poly(**quinoxaline-5,8-diyl**)

RL: DEV (Device component use); USES (Uses)

(cathodes with elec. attached porous conducting polymer member on anode side for lithium batteries)

RN 164363-68-2 CAPLUS

CN Poly(5,8-quinoxalinediyl) (9CI) (CA INDEX NAME)



AB The batteries have a cathode, a Li intercalating or Li depositing anode, an electrolyte, and a porous member of a conducting polymer, which can be doped by N type dopant, between the electrodes and elec. connected to the cathode and insulated from the anode. The polymer is selected from polythiophene, poly(p-aniline), poly(pyridine-diyl), poly(**pyrimidine**-diyl), poly(**quinoxaline**-diyl), poly(naphthalidine-diyl), or their derivs.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1996:711261 CAPLUS

DN 126:47192

TI Ambident reactivity of nitro heteroaromatic anions

AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan

SO Tetrahedron Letters (1996), 37(46), 8391-8394

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

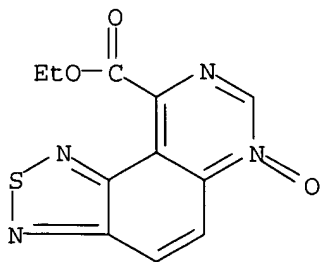
OS CASREACT 126:47192

IT **180723-45-9P**

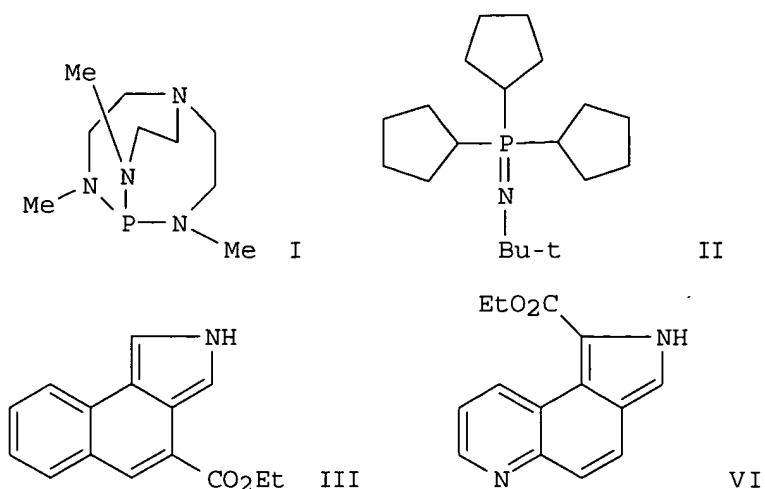
RL: SPN (Synthetic preparation); PREP (Preparation)  
(reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)



GI



AB The reaction of nitro heteroarom. compds. such as **quinoxalines**, benzothiadiazoles and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding **pyrimidine** N-oxides, while, in contrast, use of a proazaphosphatane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidene]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9513075	A1	19950518	WO 1994-US12821	19941114
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9510907	A1	19950529	US 1993-152117	19931112
				AU 1995-10907	19941114
				US 1993-152117	19931112
				WO 1994-US12821	19941114
EP 728003	A1	19960828	EP 1995-901808	19941114	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				US 1993-152117	19931112
				WO 1994-US12821	19941114



US 5856331	A	19990105	US 1997-948747	19971010
			US 1993-152117	19931112
			US 1994-353756	19941212

OS MARPAT 124:21803

IT 167427-02-3D, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

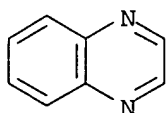
RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

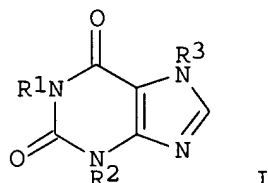
CM 1

CRN 91-19-0

CMF C8 H6 N2



GI



AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

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=> d 16 fbib hitstr abs total
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L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

Patel

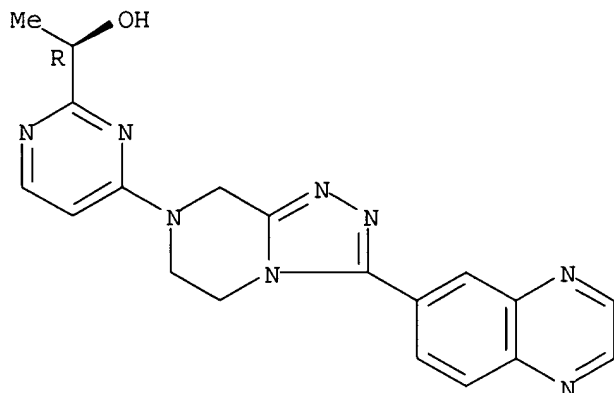
<5/18/2003>

AN 2000:725471 CAPLUS  
 DN 133:281794  
 TI Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors  
 IN Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara  
 Lakshman; Zembrowski, William James  
 PA Pfizer Products Inc., USA  
 SO PCT Int. Appl., 328 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059510	A1	20001012	WO 2000-IB296	20000316
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-127437PP	19990401
	NZ 514144	A	20010928	NZ 2000-514144	20000316
				US 1999-127437PP	19990401
	BR 2000009433	A	20020115	BR 2000-9433	20000316
				US 1999-127437PP	19990401
				WO 2000-IB296 W	20000316
	EP 1185275	A1	20020313	EP 2000-909565	20000316
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	JP 2002541109	T2	20021203	JP 2000-609073	20000316
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				WO 2000-IB296 W	20000316
	EE 200100509	A	20021216	EE 2001-509	20000316
				US 1999-127437PP	19990401
				WO 2000-IB296 W	20000316
	US 6414149	B1	20020702	US 2000-538039	20000329
				US 1999-127437PP	19990401
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				US 1999-127437PP	19990401
				WO 2000-IB296 W	20000316
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				US 1999-127437PP	19990401
				WO 2000-IB296 W	20000316
	US 2003065179	A1	20030403	US 2002-87869	20020228
				US 1999-127437PP	19990401
				US 2000-538039 A320000329	
OS	MARPAT 133:281794				
IT	300551-69-3P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)				
RN	300551-69-3 CAPLUS				

CN 2-Pyrimidinemethanol, 4-[5,6-dihydro-3-(6-quinoxaliny)-1,2,4-triazolo[4,3-  
 alpyrazin-7(8H)-yl]-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = CHO, COMe, COCH2Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxy, carbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.g., a multi-step synthesis of the pyrimidine (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd.I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.

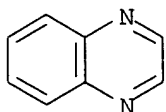
KIND DATE

APPLICATION NO. DATE

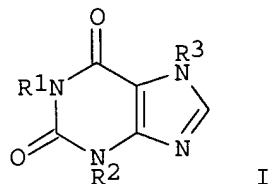
Patel

<5/18/2003>

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 PI WO 9513075 A1 19950518 WO 1994-US12821 19941114  
     W: AU, CA, JP  
     RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE  
         US 1993-152117 19931112  
     AU 9510907 A1 19950529 AU 1995-10907 19941114  
         US 1993-152117 19931112  
         WO 1994-US12821 19941114  
     EP 728003 A1 19960828 EP 1995-901808 19941114  
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE  
         US 1993-152117 19931112  
         WO 1994-US12821 19941114  
     US 5856331 A 19990105 US 1997-948747 19971010  
         US 1993-152117 19931112  
         US 1994-353756 19941212  
  
 OS MARPAT 124:21803  
 IT **167427-02-3D**, aminoalkyl derivs.  
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
         (method and agents for preventing tissue injury from hypoxia)  
 RN 167427-02-3 CAPLUS  
 CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)  
  
 CM 1  
  
 CRN 91-19-0  
 CMF C8 H6 N2



GI



AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders relate

transplantation and transplant rejection. Thus, in mice with expt1. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d l10 fbib hitstr abs total

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9513075	A1	19950518	WO 1994-US12821	19941114
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9510907	A1	19950529	US 1993-152117	19931112
				AU 1995-10907	19941114
				US 1993-152117	19931112
				WO 1994-US12821	19941114
	EP 728003	A1	19960828	EP 1995-901808	19941114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				US 1993-152117	19931112
				WO 1994-US12821	19941114
	US 5856331	A	19990105	US 1997-948747	19971010
				US 1993-152117	19931112
				US 1994-353756	19941212

OS MARPAT 124:21803

IT **167427-02-3D**, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

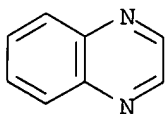
RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

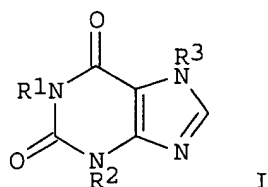
CM 1

CRN 91-19-0

CMF C8 H6 N2



GI



AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d l13 fbib hitstr abs total

L13 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029211	A1	20030410	WO 2002-JP10142	20020930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,				

Patel

<5/18/2003>

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG

JP 2001-299687 A 20010928

JP 2002-142329 A 20020517

OS MARPAT 138:304304

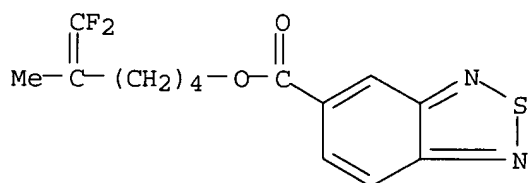
IT **509098-35-5P 509098-56-0P 509100-31-6P**

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN  
(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates  
as pest control agents such as insecticides, acaricides, and  
nematocides)

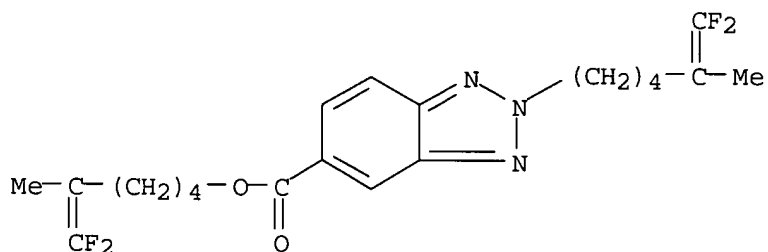
RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl  
ester (9CI) (CA INDEX NAME)



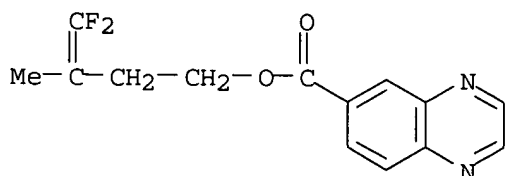
RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-,  
6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI)  
(CA INDEX NAME)

IT **175204-21-4**

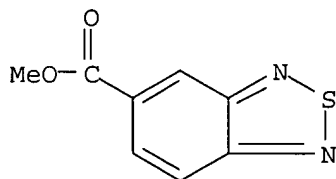
RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates)

as pest control agents such as insecticides, acaricides, and  
nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX  
NAME)



AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula  $Q-C(:L1)-L2-(CH_2)_n-C(CF_3):CF_2$  or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL  $CH_2Cl_2$ , treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4-carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of *Nilaparvata lugens*.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:964355 CAPLUS

DN 138:55951

TI Preparation of 1-(2,1,3-benzothiadiazolyl)-3-pyridylpropyl-1,8-naphthyridine derivatives as phosphodiesterase (PDE) IV inhibitors

IN Aotsuka, Tomoji; Kumazawa, Kentarou; Wagatsuma, Nagatoshi; Ishitani, Kouki; Nose, Takashi

PA Grelan Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100859	A1	20021219	WO 2002-JP5804	20020611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				



PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 JP 2001-176550 A 20010612

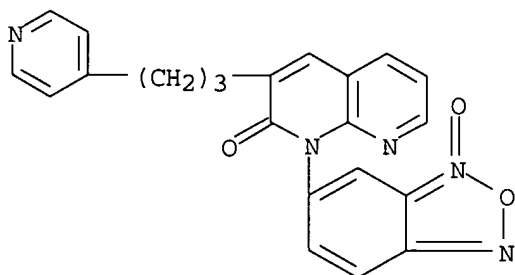
OS MARPAT 138:55951

IT **479073-52-4P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (PDE IV inhibitor; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyr  
 idine derivs. as PDE IV inhibitors)

RN 479073-52-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(3-oxido-2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



IT **479073-27-3P 479073-28-4P 479073-29-5P**

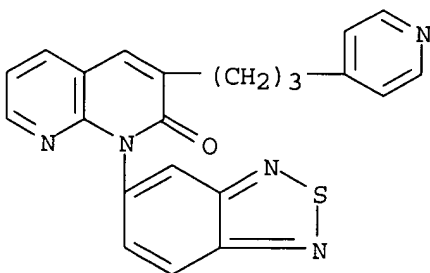
**479073-50-2P 479073-53-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE IV inhibitor; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyr  
 idine derivs. as PDE IV inhibitors)

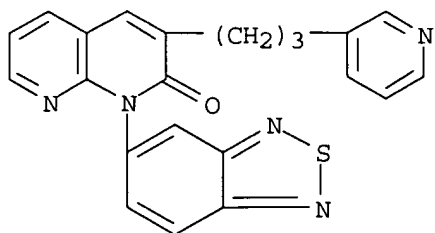
RN 479073-27-3 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



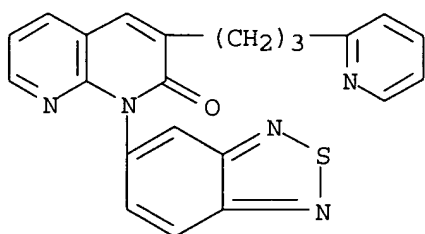
RN 479073-28-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



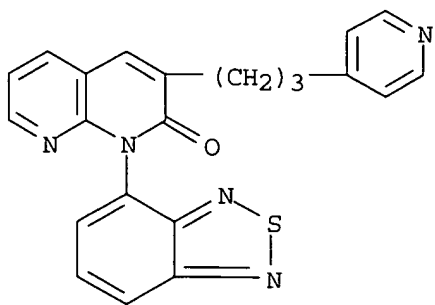
RN 479073-29-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(2-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



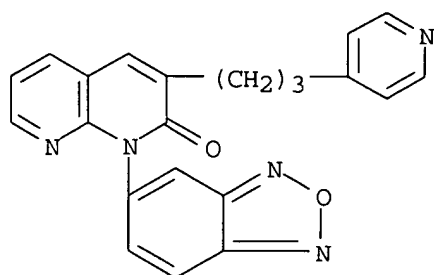
RN 479073-50-2 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-4-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 479073-53-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



IT 479073-54-6P 479073-55-7P 479073-56-8P

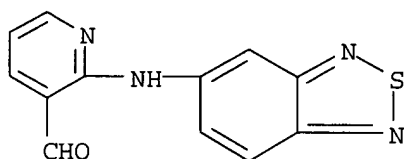
479073-57-9P 479073-58-0P 479073-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyridine derivs. as PDE IV inhibitors)

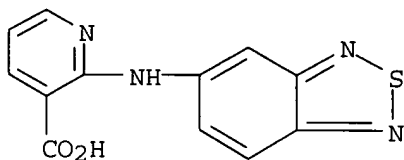
RN 479073-54-6 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)



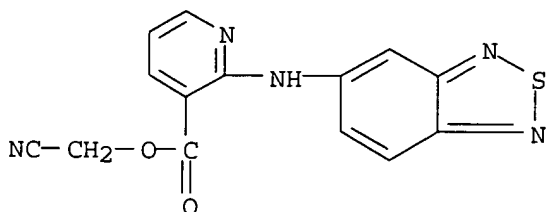
RN 479073-55-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)



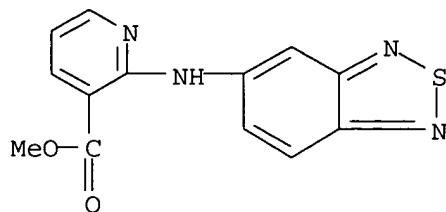
RN 479073-56-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, cyanomethyl ester (9CI) (CA INDEX NAME)



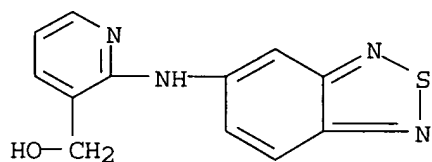
RN 479073-57-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, methyl ester (9CI) (CA INDEX NAME)



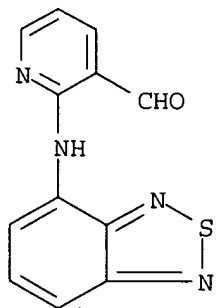
RN 479073-58-0 CAPLUS

CN 3-Pyridinemethanol, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

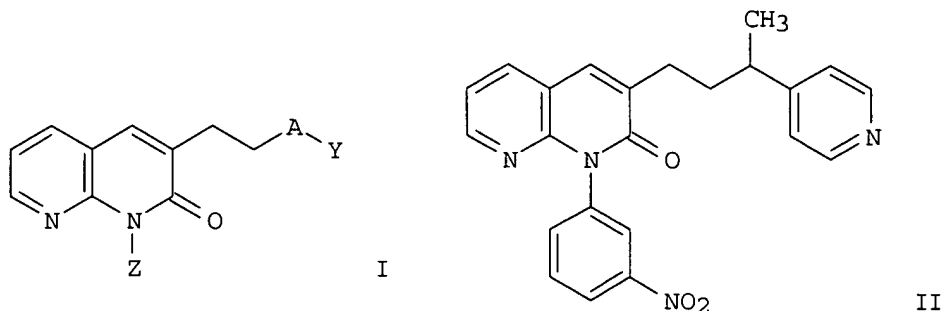


RN 479073-59-1 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-4-ylamino)- (9CI) (CA INDEX NAME)



GI



AB The title compds. I [wherein A = CH<sub>2</sub>, alkyl-CH<sub>2</sub>, CO, HOCH<sub>2</sub>, or alkyl-CO<sub>2</sub>CH<sub>2</sub>; Y = heteroaryl; Z = heteroaryl or (un)substituted Ph] and pharmaceutically acceptable salts thereof are prep'd as PDE IV inhibitors for the treatment of asthma. For example, 2-(3-nitrophenylamino)nicotinaldehyde (prepn given) was reacted with Et 5-methyl-5-(pyrid-4-yl)pentanoate (prepn given) in THF in the presence of LDA to afford the naphthyridine II (37%). II showed IC<sub>50</sub> of 0.070 .mu.M against PDE IV and ED<sub>50</sub> of 0.12 mg/kg against asthma in guinea pig.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:790220 CAPLUS

DN 137:294982

TI Preparation of piperazinyldipyrzinyldiaryloxyalkyl ethers as 5-HT<sub>2C</sub> receptor agonists

IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias

PA Biovitrum AB, Swed.

SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.  
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6465467	B1	20021015	US 2000-589282	20000608
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
				US 2000-573348 B2	20000519
	US 2003092694	A1	20030515	US 2002-269670	20021011
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
				US 2000-573348 B2	20000519
				US 2000-589282 A3	20000608

PATENT FAMILY INFORMATION:

FAN 2000:900625

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
	WO 2000076984	A3	20010208		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,

MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
 SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

SE 1999-1884 A 19990521

US 1999-137527PP 19990603

EP 1178973 A2 20020213

EP 2000-931877 20000519

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

SE 1999-1884 A 19990521

US 1999-137527PP 19990603

BR 2000010783 A 20020409

WO 2000-SE1017 W 20000519

BR 2000-10783 20000519

SE 1999-1884 A 19990521

US 1999-137527PP 19990603

JP 2003502317 T2 20030121

WO 2000-SE1017 W 20000519

JP 2001-503842 20000519

SE 1999-1884 A 19990521

US 1999-137527PP 19990603

NO 2001005686 A 20020115

WO 2000-SE1017 W 20000519

NO 2001-5686 20011121

SE 1999-1884 A 19990521

US 1999-137527PP 19990603

WO 2000-SE1017 W 20000519

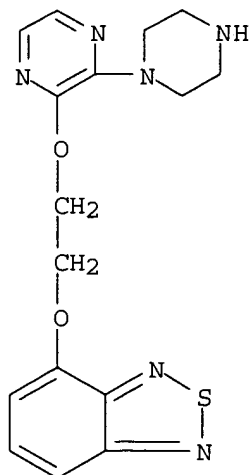
OS MARPAT 137:294982

IT **313655-27-5P**, 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-  
 2,1,3-**benzothiadiazole** Dihydrochloride **313655-31-1P**,  
 5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]quinoxaline Hydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT<sub>2C</sub> receptor  
 agonists from aryloxyalkanols, halopyrazines, and heterocycles)

RN 313655-27-5 CAPLUS

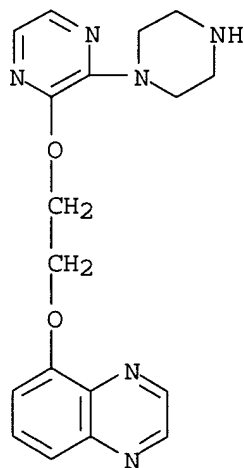
CN 2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 313655-31-1 CAPLUS

CN Quinoxaline, 5-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **313655-28-6P**, tert-Butyl 4-[3-[2-(2,1,3-benzothiadiazol-4-yl)oxy]ethoxy]-2-pyrazinyl]-1-piperazinecarboxylate

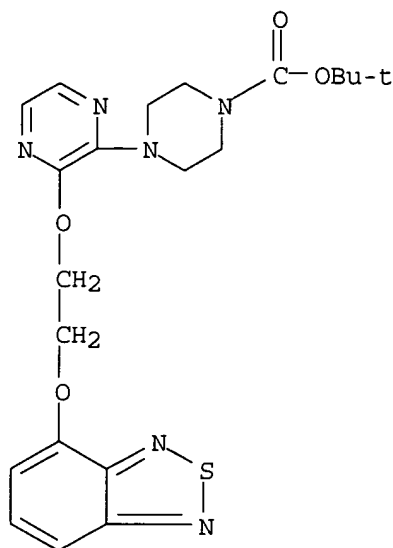
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT<sub>2C</sub> receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)

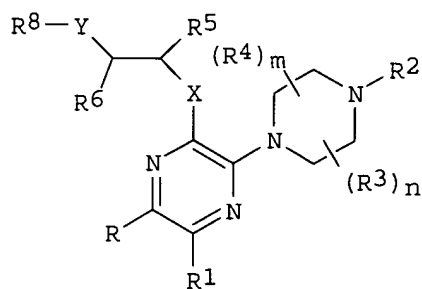
RN 313655-28-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[2-(2,1,3-benzothiadiazol-4-

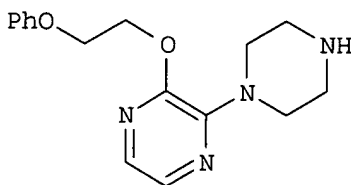
xyloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and R1 = independently H, alkyl, or halo; or C2RR1 = optionally halo substituted benzene or thiophene; R2 = H, OH, or alkyl; R3, R4, and R5 = independently H or alkyl; R6 = H or alkyl; or CYR6R8 for a 5-6 membered heterocycle; R7 = H or alkyl, preferably Me or Et; R8 = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prep'd. and tested as 5-HT2C receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT2C receptor protein with Ki values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds.



I exhibited agonist efficacy at the 5-HT<sub>2C</sub> receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2001:78009 CAPLUS

DN 134:115954

TI Preparation of N-pyrazolylsulfonamides and their use as endothelin antagonists

IN Banks, Bernard Joseph; Chubb, Nathan Anthony Logan; Eshelby, James John; Schulz, Darren John

PA Pfizer Ltd., UK; Pfizer Inc.

SO Eur. Pat. Appl., 131 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

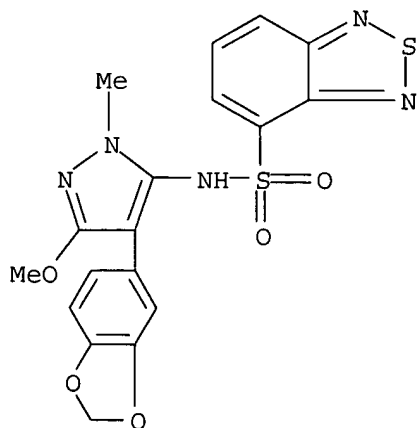
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1072597	A1	20010131	EP 2000-306475	20000728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000003233	A	20010313	GB 1999-17858	A 19990729
			GB 2000-13368	A 20000531
			BR 2000-3233	20000731
			GB 1999-17858	A 19990729
			GB 2000-13368	A 20000531
JP 2001064262	A2	20010313	JP 2000-231611	20000731
			GB 1999-17858	A 19990729
			GB 2000-13368	A 20000531
JP 2002034585	A2	20020205	JP 2001-151888	20010522
			GB 2000-13368	A 20000531
EP 1160331	A1	20011205	EP 2001-304646	20010525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002012977	A1	20020131	GB 2000-13368	A 20000531
			US 2001-867347	20010529
			GB 2000-13368	A 20000531
			US 2000-220285PP	20000724
BR 2001002165	A	20020213	BR 2001-2165	20010529
			GB 2000-13368	A 20000531
US 2002019408	A1	20020214	US 2001-867488	20010530
US 6387915	B2	20020514		
			GB 2000-13368	A 20000531
			US 2000-220285PP	20000724
			GB 2000-18356	A 20000726
			US 2000-230112PP	20000905

PATENT FAMILY INFORMATION:

FAN 2001:885416

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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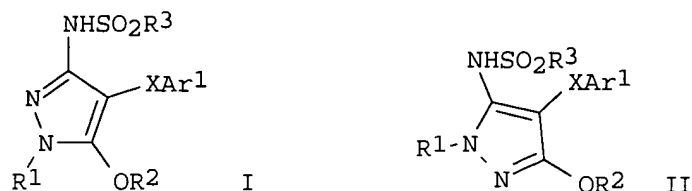
PI	EP 1160248	A1	20011205	EP 2001-304626	20010525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				GB 2000-13368	A 20000531
				GB 2000-18356	A 20000726
	JP 2002034585	A2	20020205	JP 2001-151888	20010522
				GB 2000-13368	A 20000531
	EP 1160331	A1	20011205	EP 2001-304646	20010525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				GB 2000-13368	A 20000531
	JP 2002020385	A2	20020123	JP 2001-158190	20010528
				GB 2000-13368	A 20000531
				GB 2000-18356	A 20000726
	BR 2001002150	A	20020312	BR 2001-2150	20010528
				GB 2000-13368	A 20000531
				GB 2000-18356	A 20000726
	US 2002012977	A1	20020131	US 2001-867347	20010529
				GB 2000-13368	A 20000531
				US 2000-220285PP	20000724
	BR 2001002165	A	20020213	BR 2001-2165	20010529
				GB 2000-13368	A 20000531
	US 2002019408	A1	20020214	US 2001-867488	20010530
	US 6387915	B2	20020514		
				GB 2000-13368	A 20000531
				US 2000-220285PP	20000724
				GB 2000-18356	A 20000726
				US 2000-230112PP	20000905
OS	MARPAT 134:115954				
IT	<b>321565-64-4P</b> , N-[4-(1,3-Benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]-2,1,3-benzothiadiazole-4-sulfonamide				
	RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of pyrazoles and use as endothelin antagonists)				
RN	321565-64-4 CAPLUS				
CN	2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(1,3-benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)				



GI

Patel

&lt;5/18/2003&gt;



AB I and II, wherein R1, R2, R3, Ar1 and X are as defined below, pharmaceutically acceptable derivs. thereof, and their uses as endothelin antagonists are claimed. R1 = H, C1-6 alkyl (optionally substituted by .gtoreq.1 halo, OR4 or NR4R5 groups), C2-6 alkenyl (optionally substituted by .gtoreq.1 halo groups), C2-6 alkynyl (optionally substituted by .gtoreq.1 halo groups), C(O)R4, CO2R4, CH2aryl4, CONR4R5, aryl or het1. R2 = C1-6 alkyl, cyclopropylmethyl, or CH2CH2OG (G = H, C1-6 alkyl (optionally substituted by a C3-6 cycloalkyl group), C(O)R4, CONHAr or Ar2). R4 and R5 = independently H or C1-6 alkyl optionally substituted by .gtoreq.1 halo groups. X = direct link, O, S, SO, SO2, CO or CH2. R3 = (a) C1-6 arom. hydrocarbon group; or (b) an optionally benzofused 5- or 6-membered heterocyclic group with one to three heteroatoms in the heterocyclic ring, which heteroatoms are independently N, O and S; or (c) CH2CH2Ph, CH:CHPh; or (d) C1-6 alkyl, optionally substituted by 1-4 substituents halo, C1-6 alkoxy, CO2R4, OC(O)R4 and NR4R5; each of which groups (a), (b) and (c) is optionally substituted by up to four substituents = independently (i) C1-6 alkyl, optionally substituted by 1-4 substituents selected from: halo, OR4, CO2R4, OC(O)R4 and NR4R5; (ii) C1-6 alkoxy; (iii) CO2R4 and OC(O)R4; (iv) halo; (v) NO2; (vi) CN; (vii) NR4R5; (viii) C1-3 alkylenedioxy; (ix) OH; (x) alkoxycarbonyl. Ar1 and Ar2 = independently aryl5 or het1. Aryl4 = Ph or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF3O, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2. Aryl5 = Ph, 1,3-benzodioxyl or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, OCF3, OH, NO2, CN, NR4R5, C(O)R4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR3, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2. Het1 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms = independently N, O and S, which heterocyclic ring is optionally benzofused, which group may be fully satd. or partially or fully unsatd., and which is optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF3O, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2, and, when present in the G moiety, is linked to the O atom to which it is joined to the remainder of the compd. I or II via a C atom in said het1 group. Het2 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms are independently selected from N, O and S, which group may be fully satd. or partially or fully unsatd. P = 0, 1 or 2. The claimed compds. are claimed to be useful (no quant. data given) in the prepn. of a medicament for the treatment of restenosis, acute and chronic renal failure, systemic and pulmonary hypertension;

benign prostatic hyperplasia, male erectile dysfunction, prostate cancer, metastatic bone cancer, congestive heart failure, stroke, subarachnoid hemorrhage, angina, atherosclerosis, cerebral and cardiac ischemia, prevention of ischemia/reperfusion injury (e.g. allografts), cyclosporin induced nephrotoxicity, glaucoma, radiocontrast nephropathy, diabetic neuropathy, allergy, restoration of organ perfusion in hemorrhagic shock, lipoprotein lipase related disorders, chronic obstructive pulmonary disease and hyaline membrane disease in newborn. More than 100 prepn. of the claimed compds. are described but the methods of prepn. are not claimed.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1999:375544 CAPLUS

DN 131:19000

TI Preparation of phenyloxazolidinones as bactericides

IN Betts, Michael John; Swain, Michael Lingard

PA Zeneca Limited, UK

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9928317	A1	19990610	WO 1998-GB3496	19981124
	W: JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1997-25244 A	19971129
EP	1034175	A1	20000913	EP 1998-955759	19981124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				GB 1997-25244 A	19971129
				WO 1998-GB3496 W	19981124
JP	2001525320	T2	20011211	JP 2000-523209	19981124
				GB 1997-25244 A	19971129
				WO 1998-GB3496 W	19981124
US	6495551	B1	20021217	US 2000-555203	20000525
				GB 1997-25244 A	19971129
				WO 1998-GB3496 W	19981124

OS MARPAT 131:19000

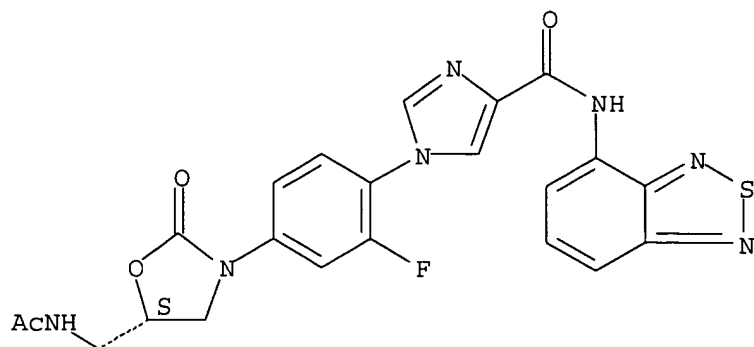
IT **226385-08-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of phenyloxazolidinones as bactericides)

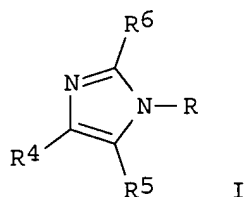
RN 226385-08-6 CAPLUS

CN 1H-Imidazole-4-carboxamide, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-N-2,1,3-benzothiadiazol-4-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



I

AB Title compds. [I; R = Z1ZCH2R1; R1 = Cl, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5, R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxooxazolidine-3,5-diyl throughout; Z1 = (2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; m = 0-3] were prepd. Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene) (II; R3 = NHCO2CH2Ph, R7 = Me3CMe2SiO) (prepn. given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4 steps to (R)-II (R3 = ZCH2NHAc) (III; R7 = OH) which was thioetherified by **pyrimidine**-2-thiol to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of 1 prepd. I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:711261 CAPLUS

DN 126:47192

TI Ambident reactivity of nitro heteroaromatic anions

AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan

SO Tetrahedron Letters (1996), 37(46), 8391-8394

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

OS CASREACT 126:47192

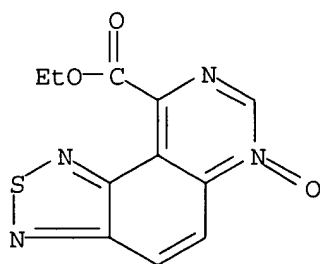
IT 180723-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

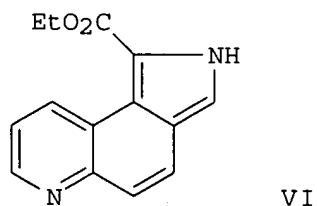
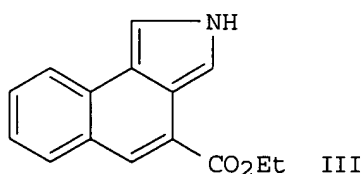
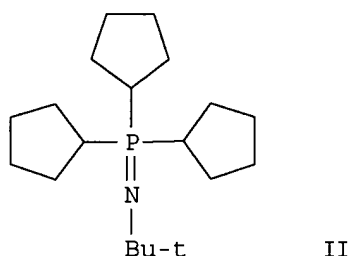
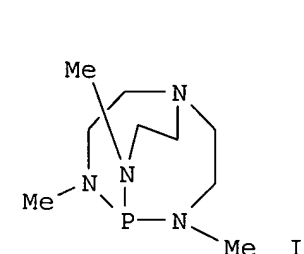
(reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)



GI



AB The reaction of nitro heteroarom. compds. such as quinoxalines, **benzothiadiazoles** and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding **pyrimidine** N-oxides, while, in contrast, use of a proazaphosphatane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidene]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

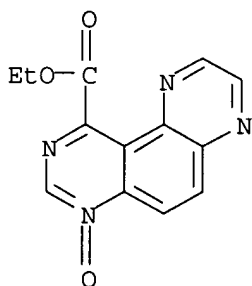
L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:387378 CAPLUS

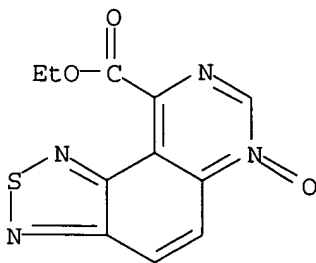
DN 125:195457

TI A new facet of the reaction of nitro heteroaromatic compounds with ethyl isocyanoacetate

AU Murashima, Takashi; Fujita, Ken-ichi; Ono, Kazuo; Ogawa, Takuji; Uno, Hidemitsu; Ono, Noboru  
 CS Dep. Chem., Fac. Sci., Ehime Univ., Matsuyama, 790, Japan  
 SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (12), 1403-1407  
 CODEN: JCPRB4; ISSN: 0300-922X  
 PB Royal Society of Chemistry  
 DT Journal  
 LA English  
 IT **180723-41-5P 180723-45-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of fused pyrrole and **pyrimidine** derivs. by  
 cyclocondensation of isocyanoacetate with nitro heteroarom. compds.)  
 RN 180723-41-5 CAPLUS  
 CN Pyrazino[2,3-f]quinazoline-10-carboxylic acid, ethyl ester, 7-oxide (9CI)  
 (CA INDEX NAME)



RN 180723-45-9 CAPLUS  
 CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)



AB Nitro heteroarenes react with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5.4.0]undecene (DBU) to give pyrroles or **pyrimidine** N-oxides depending on the structure of the starting nitro compds. For example, 4-nitro-2,1,3-**benzothiadiazole** reacted with Et isocyanoacetate to give Et 2,1,3-benzothiadiazolo[3,4-c]pyrrole-2-carboxylate (33%), while a similar reaction with 5-nitro-2,1,3-**benzothiadiazole** gave the corresponding compd., Et pyrimido[5,4-e] [2,1,3]**benzothiadiazole**-9-carboxylate (21%), as a sole product. A plausible mechanism for these reactions is presented.

=> d 114 fbib hitstr abs total

L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029211	A1	20030410	WO 2002-JP10142	20020930
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

JP 2001-299687 A 20010928

JP 2002-142329 A 20020517

OS MARPAT 138:304304

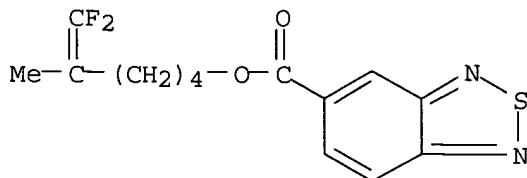
IT **509098-35-5P 509098-56-0P 509100-31-6P**

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 509098-35-5 CAPLUS

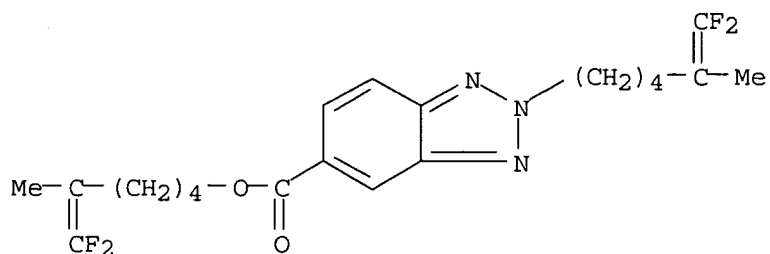
CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



RN 509098-56-0 CAPLUS

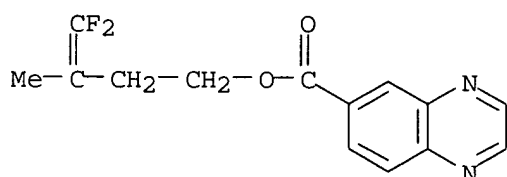
CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)





RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI)  
(CA INDEX NAME)



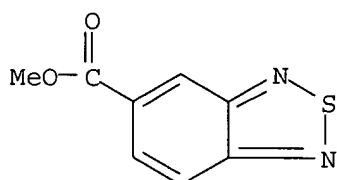
IT **175204-21-4**

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates  
as pest control agents such as insecticides, acaricides, and  
nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX  
NAME)



AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula  $Q-C(:L1)-L2-(CH_2)_n-C(CF_3):CF_2$  or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL  $CH_2Cl_2$ , treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give

RE.CNT 2        THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE.FORMAT

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6124331	A	20000926	US 1999-343994	19990630
	WO 2000064880	A1	20001102	WO 2000-US10784	20000421
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,				

CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,  
 IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
 MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
 SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 1999-296332 A219990422

US 1999-343762 A219990630

US 1999-343994 A219990630

EP 1183245 A1 20020306

EP 2000-923566 20000421

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

US 1999-296332 A 19990422

US 1999-343762 A 19990630

US 1999-343994 A 19990630

WO 2000-US10784W 20000421

JP 2002543067 T2 20021217

JP 2000-613833 20000421

US 1999-296332 A 19990422

US 1999-343762 A 19990630

US 1999-343994 A 19990630

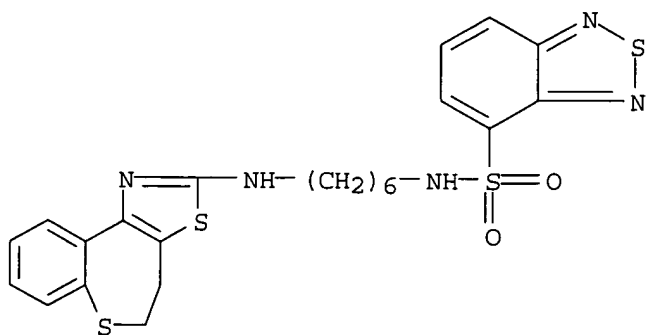
WO 2000-US10784W 20000421

OS MARPAT 133:335247

IT **296270-08-1P**, N-[6-(4,5-Dihydrobenzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamino)hexyl]-2,1,3-**benzothiadiazole**  
 -4-sulfonamide **296270-14-9P**, N-[[4-(4,5-Dihydrobenzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamino)cyclohexyl]methyl]-  
 2,1,3-**benzothiadiazole**-4-sulfonamide **304006-08-4P**,  
 N-[4-[[4,6-Di(ethylamino)-1,3,5-triazin-2-yl]aminomethyl]cyclohexyl]methyl-  
 2,1,3-**benzothiadiazole**-5-sulfonamide **304008-38-6P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of triazinamines, thiazolamines, and benzo[2,3]thiepino[4,5-  
 d][1,3]thiazol-2-ylamine selective NPY (Y5) antagonists via various  
 synthetic routes)

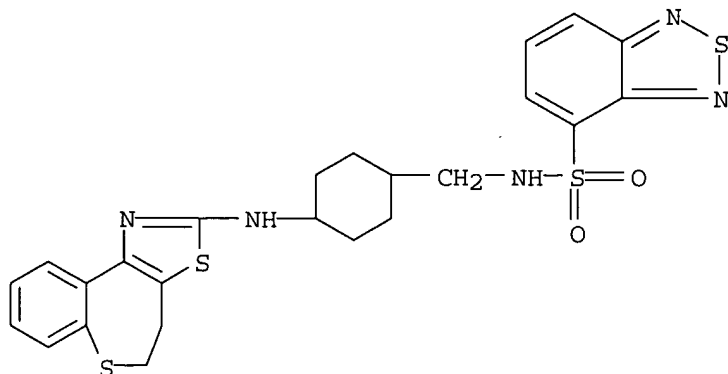
RN 296270-08-1 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[6-[(4,5-dihydro[1]benzothiepino[5,4-d]thiazol-2-yl)amino]hexyl]- (9CI) (CA INDEX  
 NAME)



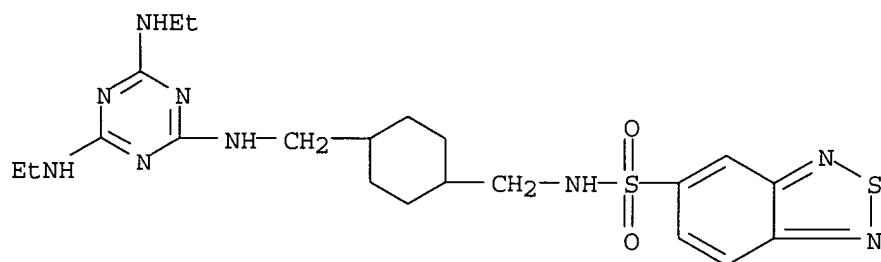
RN 296270-14-9 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[4-[(4,5-dihydro[1]benzothiepine[5,4-d]thiazol-2-yl)amino]cyclohexyl)methyl]- (9CI)  
(CA INDEX NAME)



RN 304006-08-4 CAPLUS

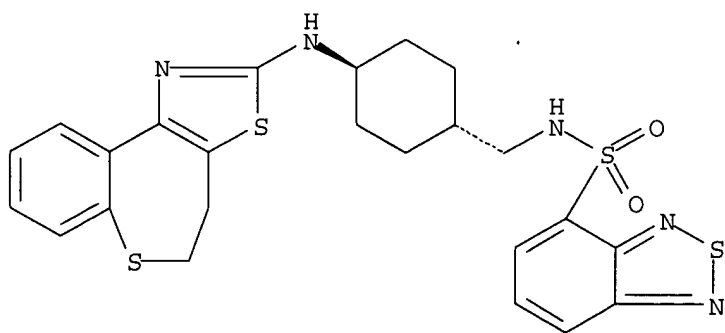
CN 2,1,3-Benzothiadiazole-5-sulfonamide, N-[[4-[[[4,6-bis(ethylamino)-1,3,5-triazin-2-yl]amino]methyl]cyclohexyl)methyl]- (9CI) (CA INDEX NAME)



RN 304008-38-6 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[trans-4-[(4,5-dihydro[1]benzothiepine[5,4-d]thiazol-2-yl)amino]cyclohexyl)methyl]- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



GI

Patel

<5/18/2003>

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. (I), (II), and (III) [wherein R1 = halo, NR3R4, or (un)substituted Ph or heteroaryl; R2 = NR3R4; R3 and R4 = independently H, hydroxyalkyl, thioalkyl, alkoxyalkyl, alkylthioalkyl, (thio)carbamoylalkyl, carboxyalkyl, aminoalkyl, cyanoalkyl, (thio)acyl, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (un)substituted phenyl(alkyl) or heteroarylalkyl; or R3 and R4 taken together with the N to which they are attached = (un)substituted azetidiny, pyrrolidinyl, piperidinyl, azepanyl, (thio)morpholinyl, oxazepanyl, thiazepanyl, piperazinyl, or diazepanyl; R5 = substituted amino(alkyl)cyclohexyl(alkyl)amino, amino(alkyl)piperidinyl, piperidinyl(alkyl)amino, piperazinyl, etc.; Y = O, S, or NH; Ar = (un)substituted heteroaryl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, or (un)substituted Ph; R7 = substituted aminoalkylamino or amino(alkyl)cyclohexyl(alkyl)amino; B = O, NH, or S; X = S, S(O), or SO<sub>2</sub>; R8 = H or alkyl; R9 = H, halo, CN, OH, NO<sub>2</sub>, amino, sulfo, hydroxyalkyl, alkoxyalkyl, carbamoylalkyl, alkylaminoalkyl, polyfluoroalkyl, or (amino)alkyl; m = 0-1; n = 1-2] were prepd. as selective antagonists for the neurotransmitter neuropeptide Y (Y5) receptor. For example, reaction of N-[[4-(aminomethyl)cyclohexyl]methyl]-1-naphthalenesulfonamide with 2,4-dichloro-6-(isopropylamino)triazine afforded the triazinediamine (IV) in 60% yield. Assays of IV against cloned human NPY receptors showed selectivity for NPY (Y5) with a K<sub>i</sub> of 138 nM compared to values of > 100,000 nM for NPY (Y1), (Y2), and (Y4). The functional in vitro activity for IV, characterized using a RIA of cAMP, was also detd. (pK<sub>b</sub> = 6.0). I are useful for the treatment of obesity, bulimia nervosa, sexual/reproductive disorders, depression, epileptic seizure, hypertension, cerebral hemorrhage, congestive heart failure, sleep disturbances, or any condition in which antagonism of the Y5 receptor may be beneficial.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 119 fbib hitstr abs total

L19 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS  
AN 2002:148812 CAPLUS  
DN 136:201943  
TI UV-absorbing water-thinned coating compositions  
IN Uchino, Bunji; Asakawa, Akihiko  
PA Asahi Glass Co., Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 8 pp.  
CODEN: JKXXAF

DT Patent  
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 2002060575	A2	20020226	JP 2000-247804	20000817
				JP 2000-247804	20000817
IT	<b>400830-23-1P</b> , n-Butyl methacrylate-cyclohexyl methacrylate-2-(2'-hydroxy-5'-methacryloyloxyethylphenyl)-2H-benzotriazole-methacrylic acid-methyl methacrylate copolymer <b>400830-28-6P</b> , Blemmer PE				

200;tert-butyl methacrylate-2-(2'-Hydroxy-5'-methacryloyloxyethylphenyl)-  
 2H-benzotriazole-methyl methacrylate graft copolymer **400830-30-0P**  
**400830-31-1P**, tert-Butyl methacrylate-ethylene  
 oxide-2-(2'-hydroxy-5'-methacryloyloxyethylphenyl)-2H-benzotriazole-  
 isobutyl methacrylate graft copolymer **400830-32-2P**, tert-Butyl  
 methacrylate-ethylene oxide-2-(2'-hydroxy-5'-methacryloyloxyethylphenyl)-  
 2H-benzotriazole-methyl methacrylate graft copolymer **400830-33-3P**  
 , 2-(2'-Hydroxy-5'-methacryloyloxyethylphenyl)-2H-**benztriazole**  
 -methacrylic acid-methyl methacrylate copolymer  
 RL: IMF (Industrial manufacture); POF (Polymer in formulation); PRP  
 (Properties); TEM (Technical or engineered material use); PREP  
 (Preparation); USES (Uses)  
 (UV-absorbing water-thinned fluoropolymer coating compns.)

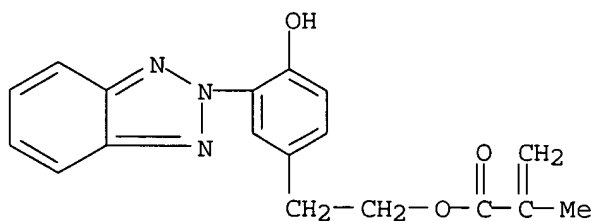
RN 400830-23-1 CAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with 2-[3-(2H-benzotriazol-2-yl)-4-  
 hydroxyphenyl]ethyl 2-methyl-2-propenoate, butyl 2-methyl-2-propenoate,  
 cyclohexyl 2-methyl-2-propenoate and methyl 2-methyl-2-propenoate (9CI)  
 (CA INDEX NAME)

CM 1

CRN 96478-09-0

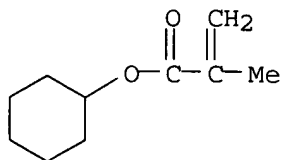
CMF C18 H17 N3 O3



CM 2

CRN 101-43-9

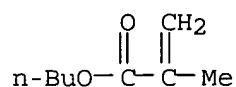
CMF C10 H16 O2



CM 3

CRN 97-88-1

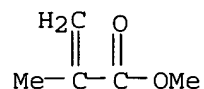
CMF C8 H14 O2



CM 4

CRN 80-62-6

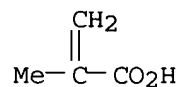
CMF C5 H8 O2



CM 5

CRN 79-41-4

CMF C4 H6 O2



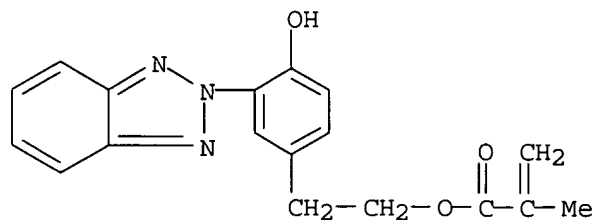
RN 400830-28-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 1,1-dimethylethyl 2-methyl-2-propenoate, methyl 2-methyl-2-propenoate and .alpha.-(2-methyl-1-oxo-2-propenyl)-.omega.-hydroxypoly(oxy-1,2-ethanediyl), graft (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0

CMF C18 H17 N3 O3

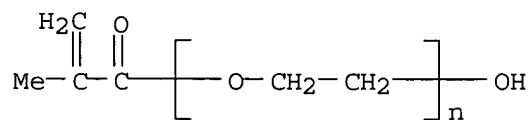


CM 2

CRN 25736-86-1

CMF (C2 H4 O)<sub>n</sub> C4 H6 O2

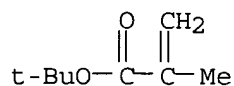
CCI PMS



CM 3

CRN 585-07-9

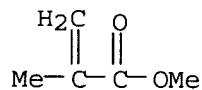
CMF C8 H14 O2



CM 4

CRN 80-62-6

CMF C5 H8 O2



RN 400830-30-0 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with Antox MS 60, 1,1-dimethylethyl 2-methyl-2-propenoate and 2-methylpropyl 2-methyl-2-propenoate, graft (9CI) (CA INDEX NAME)

CM 1

CRN 155215-65-9

CMF Unspecified

CCI MAN

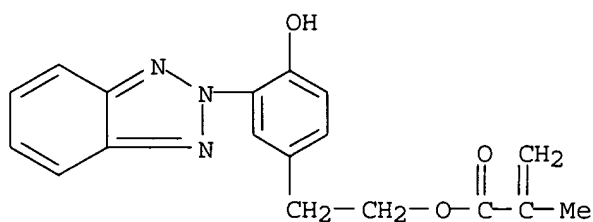
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 96478-09-0

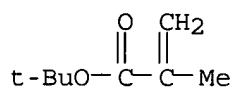
CMF C18 H17 N3 O3





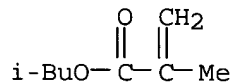
CM 3

CRN 585-07-9  
CMF C8 H14 O2



CM 4

CRN 97-86-9  
CMF C8 H14 O2

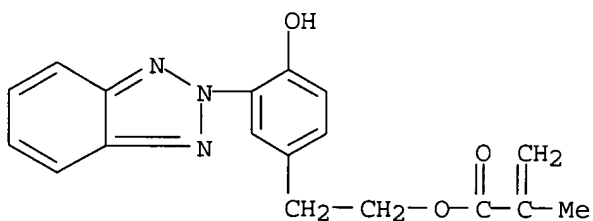


RN 400830-31-1 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 1,1-dimethylethyl 2-methyl-2-propenoate, 2-methylpropyl 2-methyl-2-propenoate and oxirane, graft (9CI) (CA INDEX NAME)

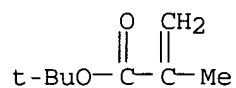
CM 1

CRN 96478-09-0  
CMF C18 H17 N3 O3



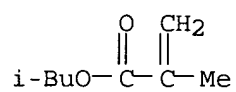
CM 2

CRN 585-07-9  
CMF C8 H14 O2



CM 3

CRN 97-86-9  
CMF C8 H14 O2



CM 4

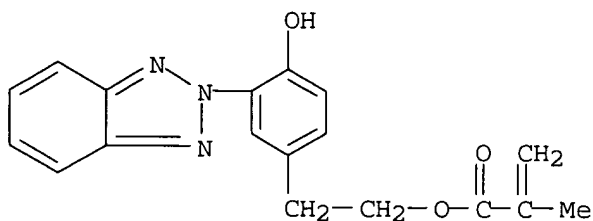
CRN 75-21-8  
CMF C2 H4 O



RN 400830-32-2 CAPLUS  
CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 1,1-dimethylethyl 2-methyl-2-propenoate, methyl 2-methyl-2-propenoate and oxirane, graft (9CI) (CA INDEX NAME)

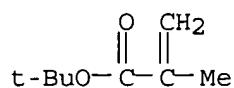
CM 1

CRN 96478-09-0  
CMF C18 H17 N3 O3



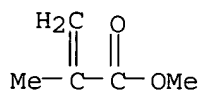
CM 2

CRN 585-07-9  
CMF C8 H14 O2



CM 3

CRN 80-62-6  
CMF C5 H8 O2



CM 4

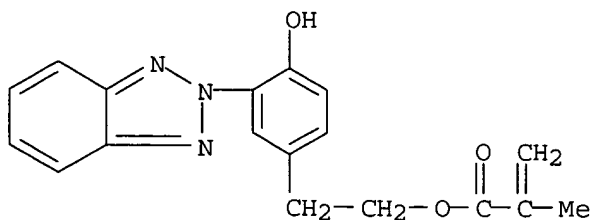
CRN 75-21-8  
CMF C2 H4 O



RN 400830-33-3 CAPLUS  
CN 2-Propenoic acid, 2-methyl-, polymer with 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl 2-methyl-2-propenoate and methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

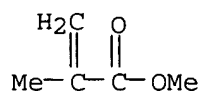
CM 1

CRN 96478-09-0  
CMF C18 H17 N3 O3



CM 2

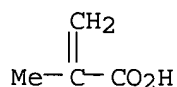
CRN 80-62-6  
CMF C5 H8 O2



CM 3

CRN 79-41-4

CMF C4 H6 O2



AB The compns. contain fine particles of (A) fluoropolymers having no UV-absorbing groups and (B) polymers bearing UV-absorbing groups (A/B ratio 50/50-99/1) dispersed in aq. media. Thus, 70 parts of a water-thinned dispersion (50% solids) contg. a copolymer of chlorotrifluoroethylene 50, Et vinyl ether 15, cyclohexyl vinyl ether 33, 4-hydroxybutyl vinyl ether 1.5, and 4-hydroxybutyl vinyl ether-ethylene oxide adduct 1.5 mol% and 30 parts of a water-thinned dispersion (45% solids) contg. a copolymer of Me methacrylate 30, cyclohexyl methacrylate 20, methacrylic acid 5, Bu methacrylate 35, 2-(2'-hydroxy-5'-methacryloyloxyethylphenyl)-2H-**benztriazole** 10 mol% were mixed to give a coating compn. showing good appearance, storage stability, and alkali resistance.

L19 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 2001:754088 CAPLUS

DN 135:304877

TI Films for decorative sheets with good bloom, weather, and fade resistance

IN Furuya, Takeshi; Onaka, Shinichi

PA Mitsubishi Kagaku MKV Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001288314	A2	20011016	JP 2000-103225	20000405
				JP 2000-103225	20000405

IT **366804-80-0**

RL: MOA (Modifier or additive use); USES (Uses)

(UV absorbent; films for decorative sheets with good bloom, weather, and fade resistance)

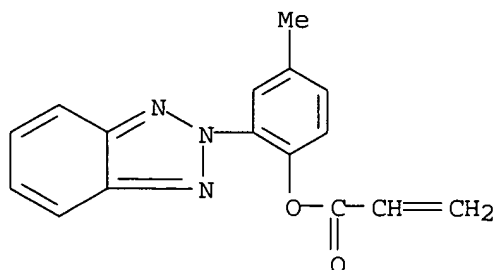
RN 366804-80-0 CAPLUS

CN 2-Propenoic acid, 2-(2H-benzotriazol-2-yl)-4-methylphenyl ester, polymer with ethene, graft (9CI) (CA INDEX NAME)

CM 1

CRN 78366-87-7

CMF C16 H13 N3 O2



CM 2

CRN 74-85-1

CMF C2 H4

 $\text{H}_2\text{C}=\text{CH}_2$ 

AB The films contain polypropylene type resins, polyethylene grafted with unsatd. group-contg. UV absorbents, and hindered amine-based light stabilizers. Thus, ethylene-propylene copolymer 100, 2-(2'-acryloyloxy-5'-methyl)benzotriazole-grafted polyethylene 0.2, and di-Me succinate-1-(2-hydroxyethyl)-4-hydroxy-2,2,6,6-tetramethylpiperidine polycondensate 0.2 part were extruded to give a transparent sheet showing good UV absorption and weather resistance.

L19 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 2000:120904 CAPLUS

DN 132:167754

TI UV-absorbing polymers and their weather-resistant compositions

IN Kono, Kazuhiro; Mori, Hiroshi; Akada, Mitsuo

PA Ohtsuka Chemical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000053754	A2	20000222	JP 1999-157136	19990603
	JP 3048573	B2	20000605		
				JP 1998-154952 A	19980603

IT 259105-43-6P 259105-45-8P 259105-46-9P  
259105-47-0P

RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
(UV-absorbing polymers and weather-resistant coatings)

RN 259105-43-6 CAPLUS

CN Phenol, 4,4'-(1-methylethylidene)bis-, polymer with bis(trichloromethyl) carbonate and .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxypoly[oxy(1-oxo-

1,6-hexanediyl]]] (9CI) (CA INDEX NAME)

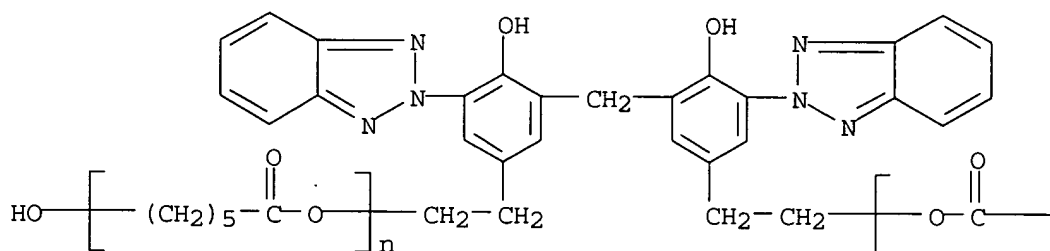
CM 1

CRN 214746-68-6

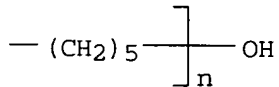
CMF (C6 H10 O2)n (C6 H10 O2)n C29 H26 N6 O4

CCI PMS

PAGE 1-A



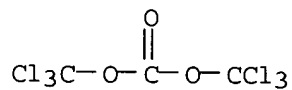
PAGE 1-B



CM 2

CRN 32315-10-9

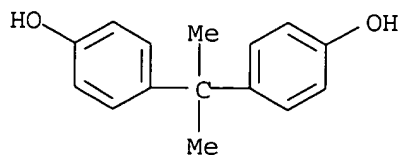
CMF C3 C16 O3

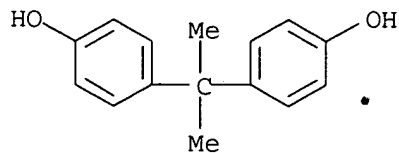


CM 3

CRN 80-05-7

CMF C15 H16 O2





RN 259105-45-8 CAPLUS

CN Carbonic acid, polymer with .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxypoly[oxy(1-oxo-1,6-hexanediyl)]] and 4,4'-(1-methylethylidene)bis[phenol] (9CI) (CA INDEX NAME)

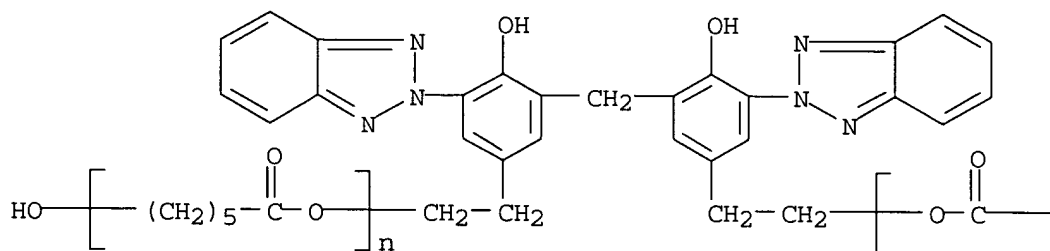
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CRN 214746-68-6

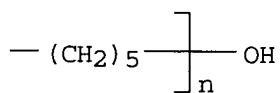
CMF (C6 H10 O2)n (C6 H10 O2)n C29 H26 N6 O4

CCI PMS

PAGE 1-A



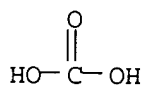
PAGE 1-B



CM 2

CRN 463-79-6

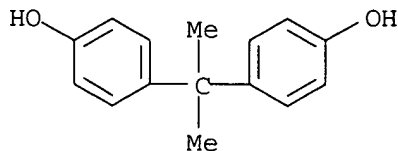
CMF C H2 O3



CM 3

CRN 80-05-7

CMF C15 H16 O2



RN 259105-46-9 CAPLUS

CN 1,4-Benzenedicarboxylic acid, dimethyl ester, polymer with 1,2-ethanediol and .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxypoly[oxy(1-oxo-1,6-hexanediyl)]] (9CI) (CA INDEX NAME)

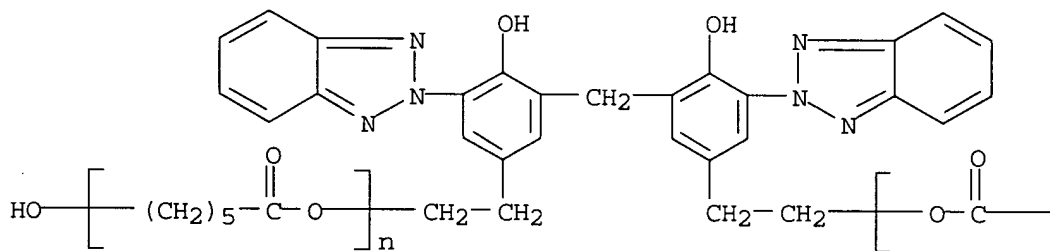
CM 1

CRN 214746-68-6

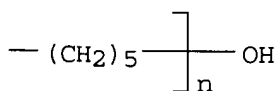
CMF (C6 H10 O2)n (C6 H10 O2)n C29 H26 N6 O4

CCI PMS

PAGE 1-A



PAGE 1-B

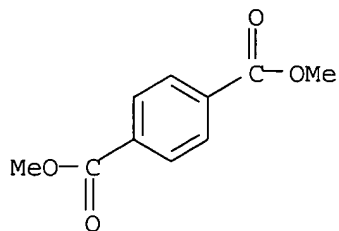


CM 2

CRN 120-61-6

CMF C10 H10 O4

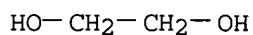




CM 3

CRN 107-21-1

CMF C2 H6 O2



RN 259105-47-0 CAPLUS

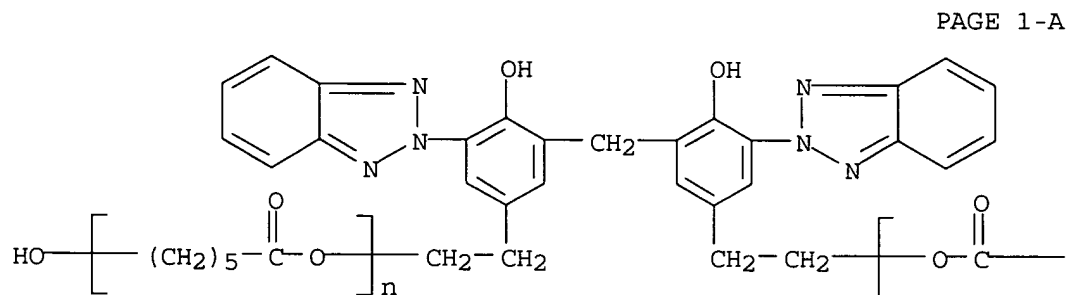
CN 1,2-Ethanediol, polymer with .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxypoly[oxy(1-oxo-1,6-hexanediyl)]] and 1,1'-methylenebis[4-isocyanatobenzene] (9CI) (CA INDEX NAME)

CM 1

CRN 214746-68-6

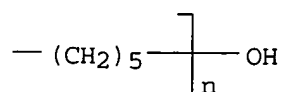
CMF (C6 H10 O2)<sub>n</sub> (C6 H10 O2)<sub>n</sub> C29 H26 N6 O4

CCI PMS



PAGE 1-A

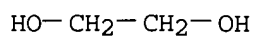
PAGE 1-B



CM 2

CRN 107-21-1

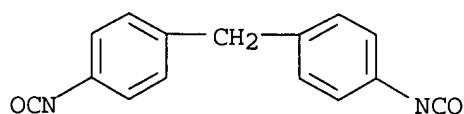
CMF C2 H6 O2



CM 3

CRN 101-68-8

CMF C15 H10 N2 O2

IT **214746-68-6P**

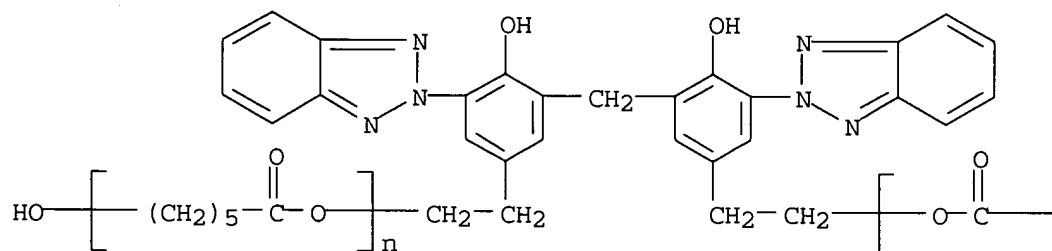
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(UV-absorbing polymers and weather-resistant coatings)

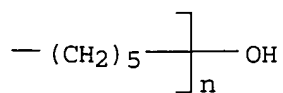
RN 214746-68-6 CAPLUS

CN Poly[oxy(1-oxo-1,6-hexanediyl)], .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxy- (9CI) (CA INDEX NAME)]

PAGE 1-A



PAGE 1-B

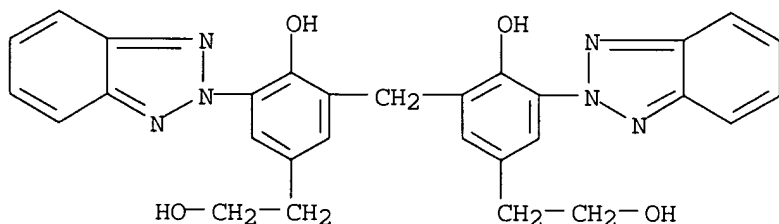


IT **196516-61-7**, RUVA 100

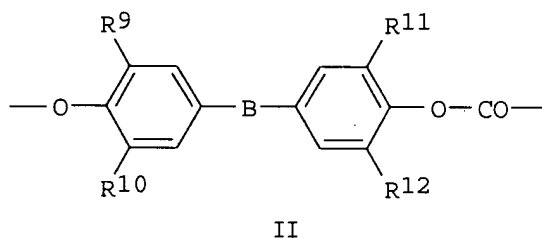
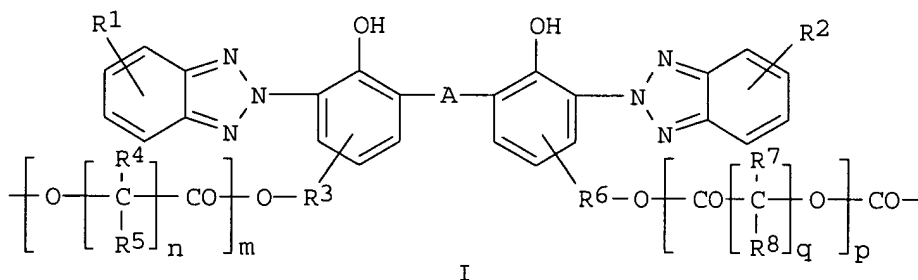
RL: RCT (Reactant); RACT (Reactant or reagent)

(UV-absorbing polymers and weather-resistant coatings)

RN 196516-61-7 CAPLUS

CN Benzeneethanol, 3,3'-methylenebis[5-(2H-benzotriazol-2-yl)-4-hydroxy-  
(9CI) (CA INDEX NAME)

GI



AB Title polymers having viscosity-av. mol. wt. (Mv) 5000-100,000, and useful for coatings, etc., comprise 0.01-70% I (A = direct bond, C1-6 alkylene, O, NH, S, SO, SO<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, C1-4 alkyl, aryl, C1-4 alkoxy, halo; R<sub>3</sub>, R<sub>6</sub> = direct bond, C1-12 alkylene; R<sub>4</sub>, R<sub>5</sub>, R<sub>7</sub>, R<sub>8</sub> = H, C1-10 alkyl; m, p = 1-20; n, q = 1-10) units and II (B = C1-10 alkylene, O, CO, NH, S, SO, SO<sub>2</sub>; R<sub>9</sub>-R<sub>12</sub> = H, halo, C1-4 alkyl or alkoxy) units. Thus, reacting 129.3 g 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(2-hydroxyethyl)phenol] (RUVA 100) with 170.3 g caprolactone gave a diol (Mw 1688), which (0.356 g) was polymd. with 1.72 g bisphenol A and 2.08 g triphosgene to give a polymer with Mv 25,100, yellow index difference (.DELTA.YI) 0.2 after 1200 h under sunshine weatherometer and retention of absorbance 98.8% after 40 h at 70.degree. in H<sub>2</sub>O.

L19 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 1997:234256 CAPLUS

DN 126:225293

TI Process for preparation of 2-(2'-hydroxyphenyl)**benztriazole** compounds

PA Eastman Kodak Co., USA

SO Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09048768	A2	19970218	JP 1996-169329	19960628
				US 1995-663P P	19950629
				US 1996-602946 A	19960216
				US 1996-602946	19960216
	US 5670654	A	19970923		

PATENT FAMILY INFORMATION:

FAN 1997:141008

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 751134	A1	19970102	EP 1996-201732	19960621
	EP 751134	B1	20000830		
	R: DE, FR, GB				

US 1995-663P P 19950629

US 1996-602946 A 19960216

US 1996-602946 19960216

US 5670654 A 19970923

OS CASREACT 126:225293; MARPAT 126:225293

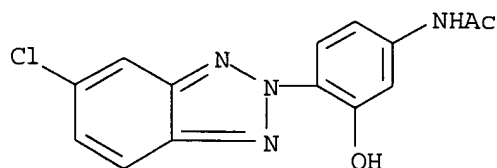
IT **188124-46-1P 188124-48-3P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for prepn. of 2-(2'-hydroxyphenyl)**benztriazole** compds.)

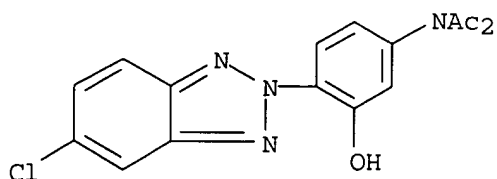
RN 188124-46-1 CAPLUS

CN Acetamide, N-[4-(5-chloro-2H-benzotriazol-2-yl)-3-hydroxyphenyl] - (9CI)  
(CA INDEX NAME)

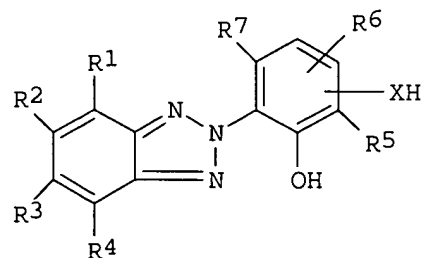


RN 188124-48-3 CAPLUS

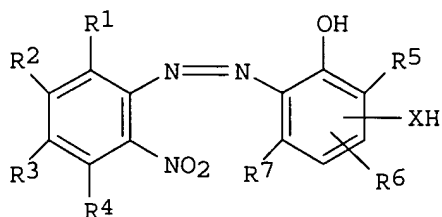
CN Acetamide, N-acetyl-N-[4-(5-chloro-2H-benzotriazol-2-yl)-3-hydroxyphenyl] - (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. (I; R1-R7 = H, halo, cyano, CO<sub>2</sub>Y, etc.; Y = H, C<sub>6</sub>-12 aryl; X = O, S, NR<sub>8</sub>; R<sub>8</sub> = H, C<sub>1</sub>-12 alkyl, aryl, etc.) are prepd. by protection, redn., cyclization, and deprotection of nitrophenylazo compds. (II; X, R1-R7 = same as above). I are useful as UV absorbents for plastics, coatings, photographs, and related products. Thus, II (XH = 4-OMe, R1-R7 = H) (prepn. given) was reduced by thiourea-S,S-dioxide followed by cyclization and treatment with BF<sub>3</sub> to give I (XH = 4-OH, R1-R7 = H).

L19 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 1994:334974 CAPLUS

DN 120:334974

TI Negative-working photosensitive electrodeposition coating resin composition, electrodeposition coating bath with it, and manufacture of resist pattern

IN Uehara, Hideaki; Amanokura, Hitoshi; Tachiki, Shigeo; Kato, Takuro; Tsukada, Katsushige; Yamazaki, Juji; Takahashi, Tosha; Shiotani, Toshihiko; Nagashima, Yoshihisa

PA Dainippon Toryo Kk, Japan; Hitachi Chemical Co Ltd

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05281737	A2	19931029	JP 1992-79779	19920401

Patel

<5/18/2003>

JP 1992-79779 19920401

OS MARPAT 120:334974

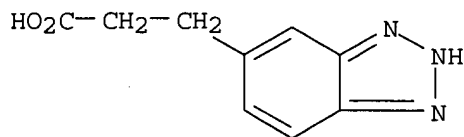
IT **155049-55-1**, 2H-Benzotriazole-5-propanoic acid

RL: USES (Uses)

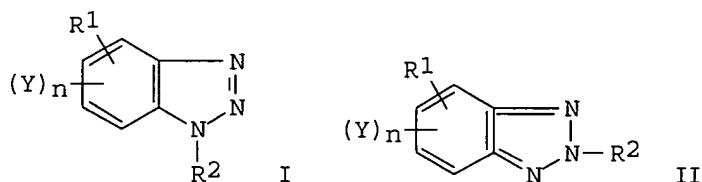
(neg.-working photoresist compn. contg., for electrodeposition coating)

RN 155049-55-1 CAPLUS

CN 2H-Benzotriazole-5-propanoic acid (9CI) (CA INDEX NAME)



GI



AB The compn. contains (A) an acrylic acid- and/or methacrylic acid-contg. copolymer which has acid value 20-300 and is neutralized with a basic org. compds., (B) a H<sub>2</sub>O-insol. monomer contg. .gtoreq.2 of photopolymerizable unsatd. bonds, (C) a H<sub>2</sub>O-insol. photoinitiator, and (D) a **benztriazole** deriv. I (R<sub>1</sub> = XY<sub>3</sub>; X = alkylene, cycloalkylene, alkylene ether; Y = CO<sub>2</sub>H or its salt, SO<sub>3</sub>H or its salt; R<sub>2</sub> = H, OH, alkyl, ester, Ph, XR<sub>4</sub>; R<sub>4</sub> = OH, alkoxy, CO<sub>2</sub>H or its salt, SO<sub>3</sub>H or its salt, dialkylamino; n = 1-3) and a **benztriazole** derivs. II. The bath contains the compn. The pattern is manufd. by immersing a conductive substrate as an anode in the bath, conducting to form an electrodeposition coating film on the substrate, irradiating an active ray to the film to photocure an exposed part, and removing of an unexposed part by development.

L19 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 1994:334973 CAPLUS

DN 120:334973

TI Negative-working photosensitive electrodeposition coating resin composition, electrodeposition coating bath with it, and manufacture of resist pattern

IN Uehara, Hideaki; Amanokura, Hitoshi; Tachiki, Shigeo; Kato, Takuro; Tsukada, Katsushige; Yamazaki, Juji; Takahashi, Tosha; Shiotani, Toshihiko; Nagashima, Yoshihisa

PA Dainippon Toryo Kk, Japan; Hitachi Chemical Co Ltd

SO Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

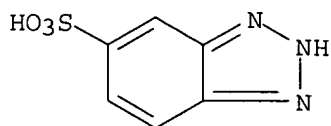
FAN.CNT 1

PATENT NO.

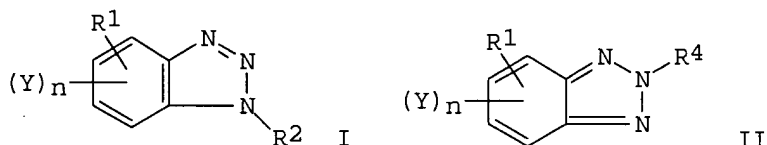
KIND DATE

APPLICATION NO. DATE

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 PI JP 05281736 A2 19931029 JP 1992-79778 19920401  
 JP 1992-79778 19920401  
 IT **155049-52-8**, 2H-Benzotriazole-5-sulfonic acid  
 RL: USES (Uses)  
 (neg.-working photoresist compn. contg., for electrodeposition coating)  
 RN 155049-52-8 CAPLUS  
 CN 2H-Benzotriazole-5-sulfonic acid (9CI) (CA INDEX NAME)



GI



AB The compn. contains (A) an acrylic acid- and/or methacrylic acid-contg. copolymer which has acid value 20-300 and is neutralized with a basic org. compds., (B) a H2O-insol. monomer contg. .gtoreq.2 of photopolymerizable unsatd. bonds, (C) a H2O-insol. photoinitiator, and (D) a **benztriazole** deriv. I (R1 = H, halo, OH, alkyl, alkoxy; R2 = H, OH, alkyl, Ph, ZR3; Z = alkylene, cycloalkylene, alkylene ether; R3 = OH, alkoxy, SO3H or its salt, dialkylamino; Y = SO3H or its salt; n = 1-3; if R2 = SO3H or its salt, n may 0) or a **benztriazole** derivs. II. The bath contains the compn. The pattern is manufd. by immersing a conductive substrate as an anode in the bath, conducting to form an electrodeposition coating film on the substrate, irradiating an active ray to the film to photocure an exposed part, and removing of an unexposed part by development.

=&gt; d 122 fbib hitstr abs total

L22 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS  
 AN 2002:869496 CAPLUS  
 DN 137:363033  
 TI Peptidomimetic modulators of cell adhesion  
 IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian  
 PA Can.  
 SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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Patel

&lt;5/18/2003&gt;

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 PI US 2002168761 A1 20021114 US 2001-769145 20010124  
 US 2000-491078 A220000124

## PATENT FAMILY INFORMATION:

FAN 2001:545724

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053331	A2	20010726	WO 2001-US2508	20010124
	WO 2001053331	A3	20020711		
	WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2000-491078 A 20000124

OS MARPAT 137:363033

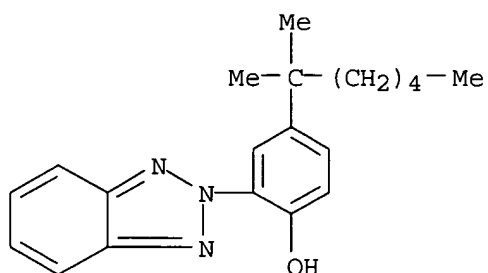
IT **188966-22-5D**, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)-, derivs. **351857-41-5**, 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)-**351857-49-3**, Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- **351857-50-6**, 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-**351857-54-0**, Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- **351857-55-1**, 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- **351857-56-2**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester **351857-57-3**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester **351857-58-4**, Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl-**351857-70-0**, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester **351858-16-7**, 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]-**351858-17-8**, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- **351858-60-1**, 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)-  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 188966-22-5 CAPLUS

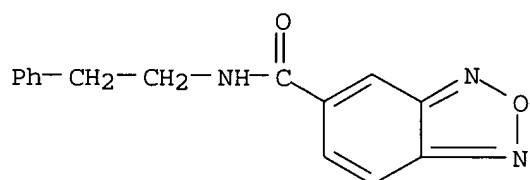
CN Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX NAME)





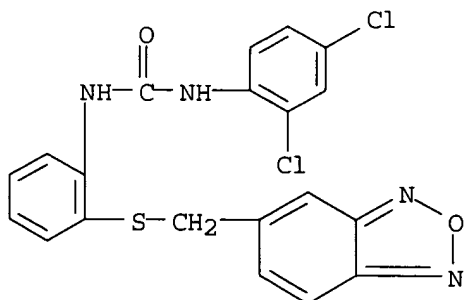
RN 351857-41-5 CAPLUS

CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



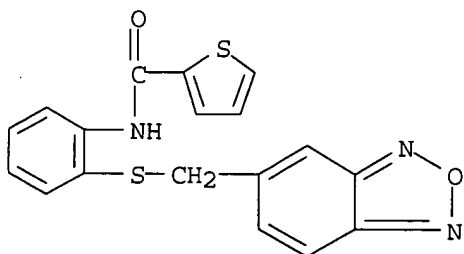
RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

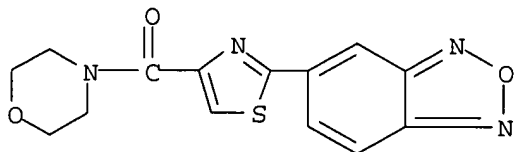


RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]- (9CI) (CA INDEX NAME)

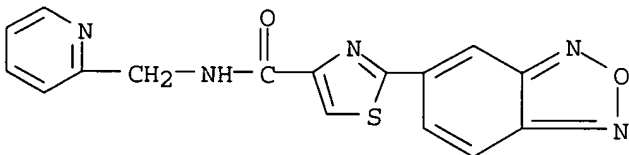


RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- (9CI)  
(CA INDEX NAME)

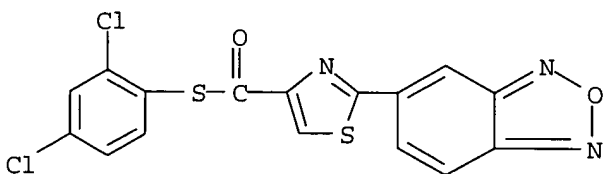
RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



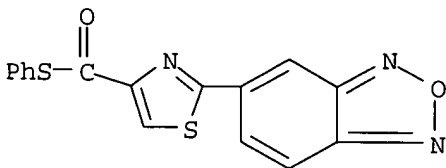
RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)



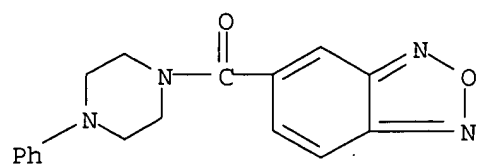
RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)



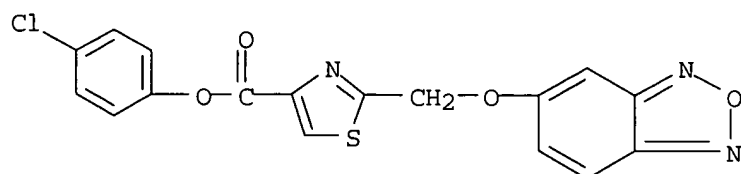
RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)



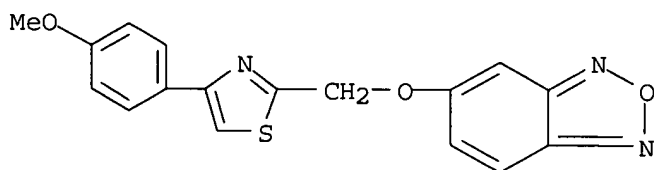
RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yl)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



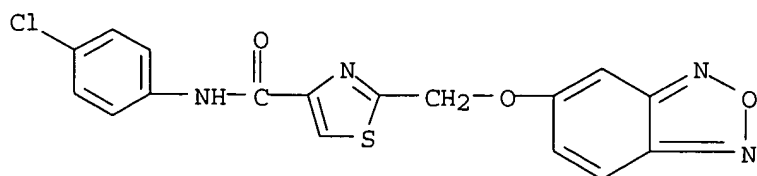
RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)



RN 351858-17-8 CAPLUS

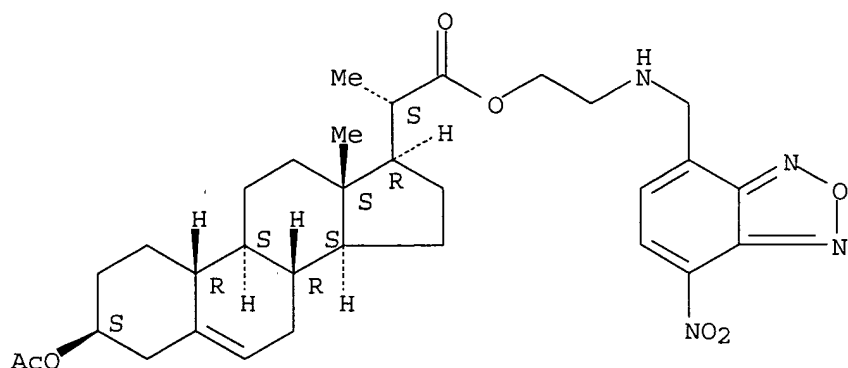
CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yl)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

L22 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2001:479145 CAPLUS

DN 135:81810

TI Hair dyeing preparations containing benzofurazan derivs.

IN Moeller, Hinrich; Oberkobusch, Doris; Hoeffkes, Horst

PA Henkel K.-G.a.A., Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19962880	A1	20010628	DE 1999-19962880	19991224
	WO 2001047485	A1	20010705	WO 2000-EP12821	20001215
	W: AU, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				

DE 1999-19962880A 19991224

OS MARPAT 135:81810

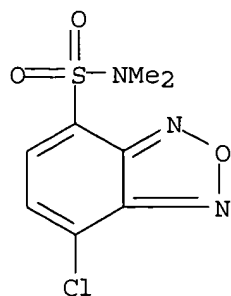
IT **192119-42-9 216699-34-2**, 7-Chloro-4-morpholinosulfonylbenzofurazan **346593-12-2**

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(hair dyeing prepn. contg. benzofurazan derivs.)

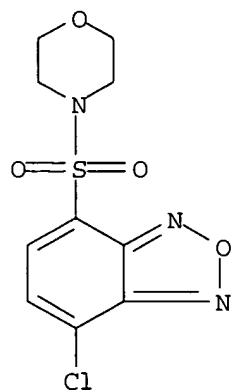
RN 192119-42-9 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, 7-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)



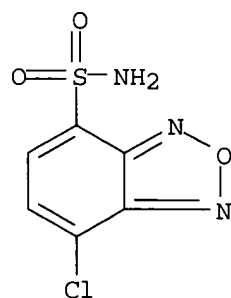
RN 216699-34-2 CAPLUS

CN Morpholine, 4-[(7-chloro-2,1,3-benzoxadiazol-4-yl)sulfonyl]- (9CI) (CA INDEX NAME)

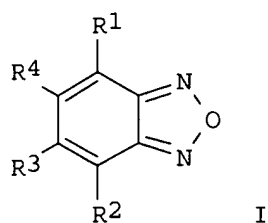


RN 346593-12-2 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, 7-chloro- (9CI) (CA INDEX NAME)



GI



AB The invention concerns the usage benzofurazan derivs. [(I), R groups are defined] in hair dyeing prepns. Thus 5 mmol 7-chloro-5-nitrobenzofurazan was mixed with 5 mmol of various oxidn. dye precursors along with 5 mmol sodium acetate and a drop of fatty alkyl ethersulfate soln. in 50 mL water at 50.degree.C. After cooling the compns. were applied onto hair; the usage of 2,5-diaminotoluene x H2SO4 resulted brown-violet shade.

L22 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2001:63992 CAPLUS

DN 134:116237

TI Preparation of bradykinin B1 receptor antagonists

IN Ohlmeyer, Michael H. J.; Baldwin, John J.; Dolle, Roland E., III;  
Paradkar, Vidyadhar; Quintero, Jorge Gabriel; Pan, Gonghua

PA Pharmacoepia, Inc., USA

SO PCT Int. Appl., 231 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001005783	A1	20010125	WO 2000-US19185	20000714
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
	HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				
	LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,				
	YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
	CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-143990PP	19990715
	EP 1196411	A1	20020417	EP 2000-950343	20000714
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO				
				US 1999-143990PP	19990715
				WO 2000-US19185W	20000714
	JP 2003505384	T2	20030212	JP 2001-511442	20000714
				US 1999-143990PP	19990715
				WO 2000-US19185W	20000714

OS MARPAT 134:116237

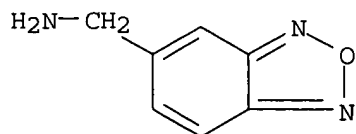
IT **321330-19-2P**, 2,1,3-Benzoxadiazole-5-methanamine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(prepn. of bradykinin B1 receptor antagonists)

RN 321330-19-2 CAPLUS

CN 2,1,3-Benzoxadiazole-5-methanamine (9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Compds. I [X, Y, Z = CH or N; A = A1 or A2, where A1 is R4R5NCO (R4 = H, aryl, heteroaryl, substituted alkyl; R5 = H, alkyl), 5-aryl-1,2,4-triazol-3-yl, 2-aryl-4-imidazolyl, or 2-aryl-5-thiazolyl and A2 is R7CONH (R7 = aryl or alkylaryl), R7SO2NH, R4NH, R4O; Q = heteroaryl, aryl, CH2R13 (R13 = OH, OTHP, 1-imidazolyl, 1-pyrrolyl), CH:NOMe, or 1,3-dithian-2-yl; W = H, Cl, F, alkyl, aryl, heteroaryl, alkoxy, alkylthio, an amino group, arylcarbamoyl, etc.; R1 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; R2 = H or alkyl or R1R2C is a ring optionally contg. O, S or N; R3 = H or alkyl, or when n is zero, R2 and R3 taken together form a 6-membered ring (with provisos)] were prepd. as bradykinin B1 receptor antagonists. Thus, D-leucine deriv. II was prepd. by substitution reaction of D-leucine 4-chlorobenzylamide with 2,4-dichloro-(or difluoro)-6-(1H-imidazol-1-yl)**pyrimidine** and then 3-chlorobenzylamine. Pharmaceutical formulations contg. II are described.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 123 fbib hitstr abs total

L23 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS  
AN 2002:869496 CAPLUS  
DN 137:363033  
TI Peptidomimetic modulators of cell adhesion  
IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian  
PA Can.  
SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002168761	A1	20021114	US 2001-769145	20010124
				US 2000-491078	A220000124

PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053331	A2	20010726	WO 2001-US2508	20010124
	WO 2001053331	A3	20020711		

Patel

&lt;5/18/2003&gt;

WO 2001053331 C2 20021031

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2000-491078 A 20000124

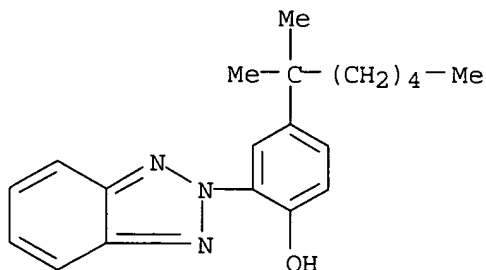
OS MARPAT 137:363033

IT **188966-22-5D**, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)-, derivs. **351857-41-5**, 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)-**351857-49-3**, Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- **351857-50-6**, 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-**351857-54-0**, Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- **351857-55-1**, 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- **351857-56-2**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester **351857-57-3**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester **351857-58-4**, Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl-**351857-70-0**, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester **351858-16-7**, 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]-**351858-17-8**, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- **351858-60-1**, 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)-  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 188966-22-5 CAPLUS

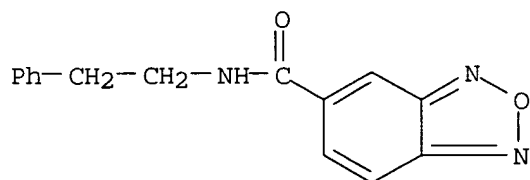
CN Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX NAME)



RN 351857-41-5 CAPLUS

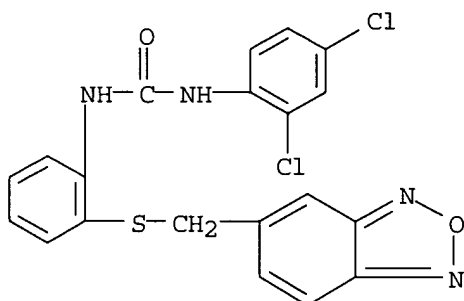
CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)





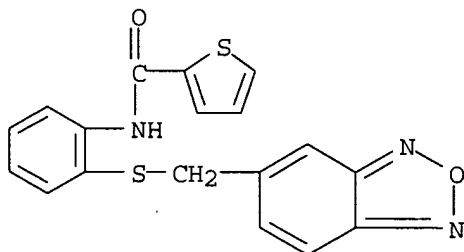
RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



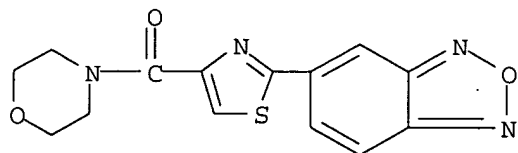
RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]- (9CI) (CA INDEX NAME)



RN 351857-54-0 CAPLUS

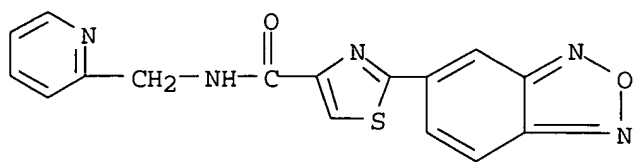
CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 351857-55-1 CAPLUS

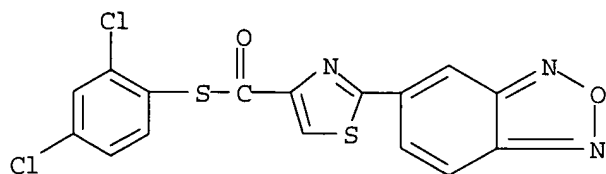
CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)-

(9CI) (CA INDEX NAME)



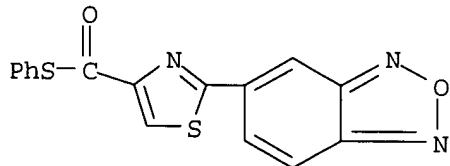
RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)



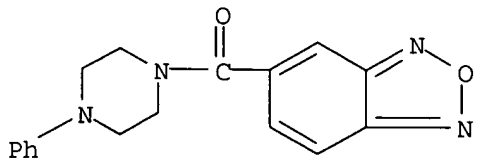
RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)



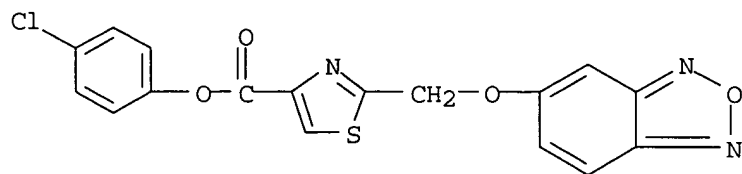
RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)



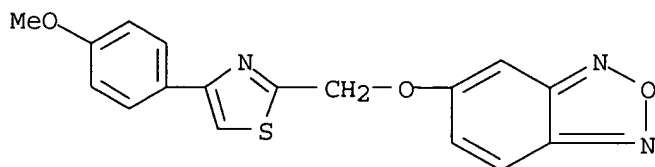
RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



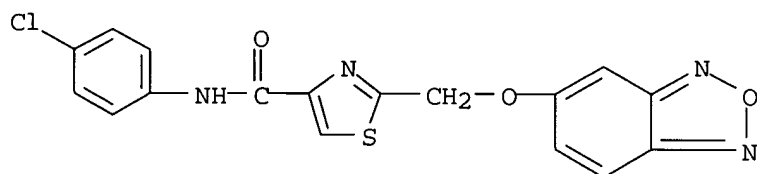
RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy] - (9CI)  
(CA INDEX NAME)



RN 351858-17-8 CAPLUS

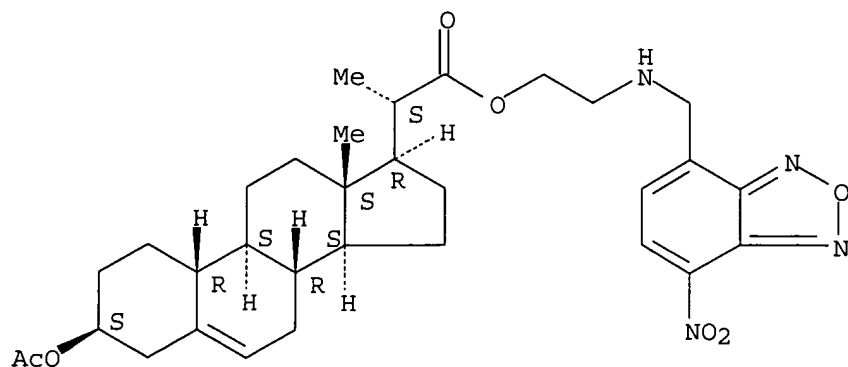
CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl] -N-(4-chlorophenyl) - (9CI) (CA INDEX NAME)



RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy) -, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester], (3.beta.,20S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a

three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

L23 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2000:384156 CAPLUS

DN 133:30662

TI Preparation of N-heteroaroyl-.beta.-alanines as .alpha.4 integrin inhibitors

IN Porter, John Robert; Head, John Clifford; Warrellow, Graham John; Archibald, Sarah Catherine

PA Celltech Therapeutics Limited, UK

SO PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032575	A1	20000608	WO 1999-GB3986	19991129
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1135371	A1	20010926	GB 1998-26174 A	19981130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO GB 1998-26174 A 19981130 WO 1999-GB3986 W 19991129				
JP 2002531439	T2	20020924	JP 2000-585217	19991129
GB 1998-26174 A 19981130 WO 1999-GB3986 W 19991129				

OS MARPAT 133:30662

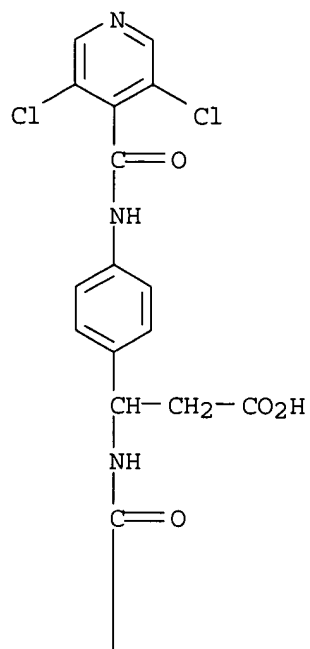
IT **273920-09-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-heteroaroyl-.beta.-alanines as .alpha.4 integrin inhibitors)

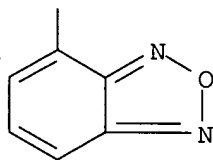
RN 273920-09-5 CAPLUS

CN Benzenepropanoic acid, .beta.-[(2,1,3-benzoxadiazol-4-ylcarbonyl)amino]-4-[[ (3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

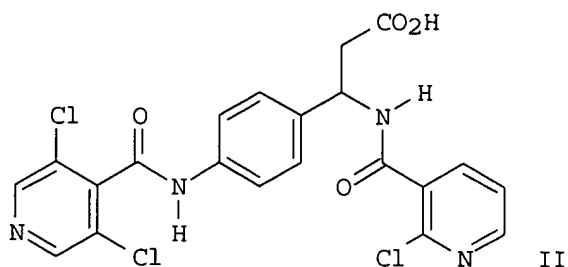
PAGE 1-A



PAGE 2-A



GI



AB R4ZZ1Z2CHR1CRR5R6 [I; R = (un)derivatized CO<sub>2</sub>H; R1 = NHR<sub>3</sub>, NHSO<sub>2</sub>R<sub>3</sub>, NHCOR<sub>3</sub>, etc.; R<sub>3</sub> = aliph. group, (hetero)aryl, etc.; R<sub>4</sub> = (un)substituted (hetero)aryl; R<sub>5</sub>, R<sub>6</sub> = H, halo, alkyl, alkoxy, etc.; Z = bond, (un)substituted (hetero)aliph. chain (sic); Z1 = bond, O, (alkyl)imino, CONH, CO<sub>2</sub>H, etc.; Z2 = (un)substituted phenylene, pyridinediyl,

pyrazinediyl, etc.] were prepd. Thus, 4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>CH(NHCO<sub>2</sub>CMe<sub>3</sub>)CH<sub>2</sub>CO<sub>2</sub>Me (prepn. given) was amidated by 3,5-dichloroisonicotinoyl chloride and the deprotected product amidated by 2-chloronicotinic acid to give, after sapon., title compd. II. Data for biol. activity of I were given.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l24 fbib hitstr abs total

L24 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2001:730744 CAPLUS

DN 135:288790

TI **Pyrrolopyrimidines** as tyrosine kinase inhibitors

IN Hirst, Gavin C.; Calderwood, David; Munschauer, Rainer; Arnold, Lee D.; Johnston, David N.; Rafferty, Paul

PA Basf Aktiengesellschaft, Germany

SO PCT Int. Appl., 453 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072751	A1	20011004	WO 2000-US8593	20000329
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				WO 2000-US8593	20000329

OS MARPAT 135:288790

IT **364354-66-5P**

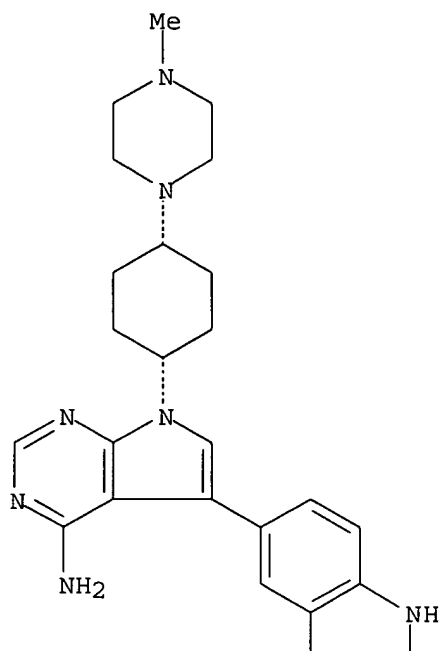
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyrrolopyrimidinamines as protein kinase inhibitors)

RN 364354-66-5 CAPLUS

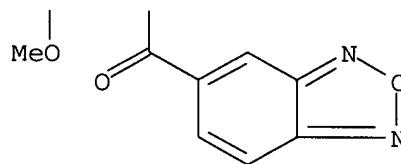
CN 2,1,3-Benzoxadiazole-5-carboxamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

Relative stereochemistry.

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IT 262443-00-5P 262443-51-6P 262443-53-8P  
 262443-55-0P 262443-57-2P 262443-59-4P  
 262443-61-8P 262445-25-0P 262445-27-2P  
 262445-29-4P 262445-31-8P 262445-33-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (target compd.; prepn. of pyrrolopyrimidinamines as protein kinase inhibitors)

RN 262443-00-5 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262442-99-9

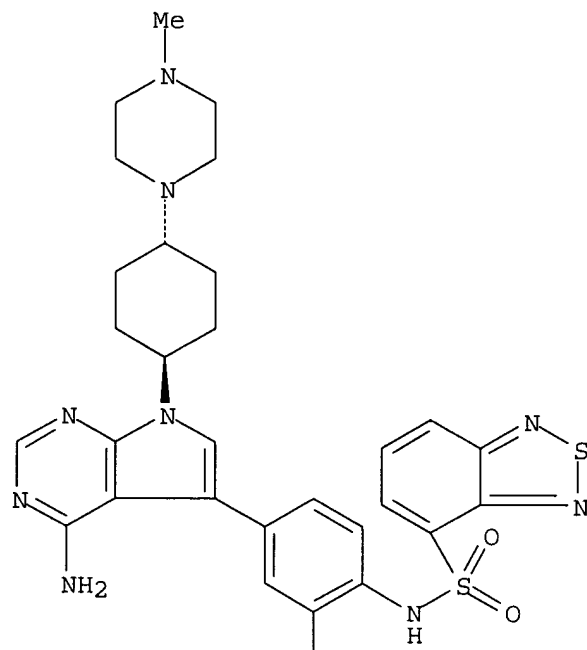
CMF C29 H32 F N9 O2 S2

Relative stereochemistry.

Patel

<5/18/2003>

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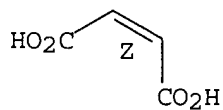


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-51-6 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

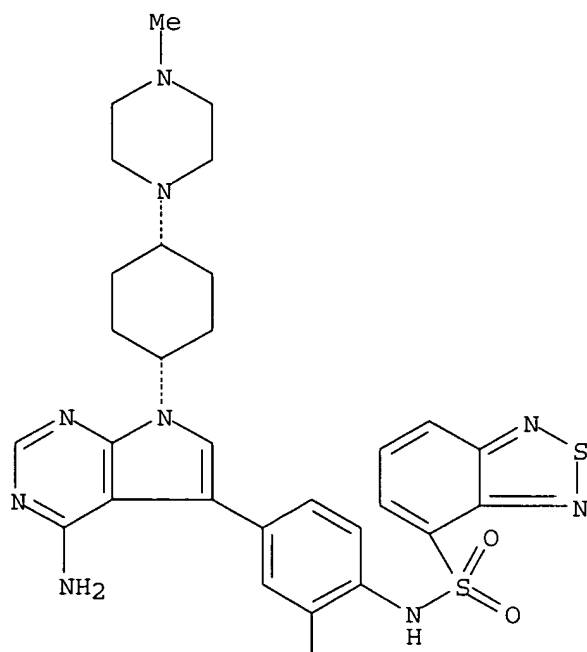
CRN 262443-50-5

CMF C29 H32 F N9 O2 S2



Relative stereochemistry.

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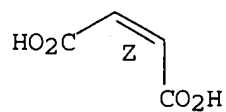


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-53-8 CAPLUS

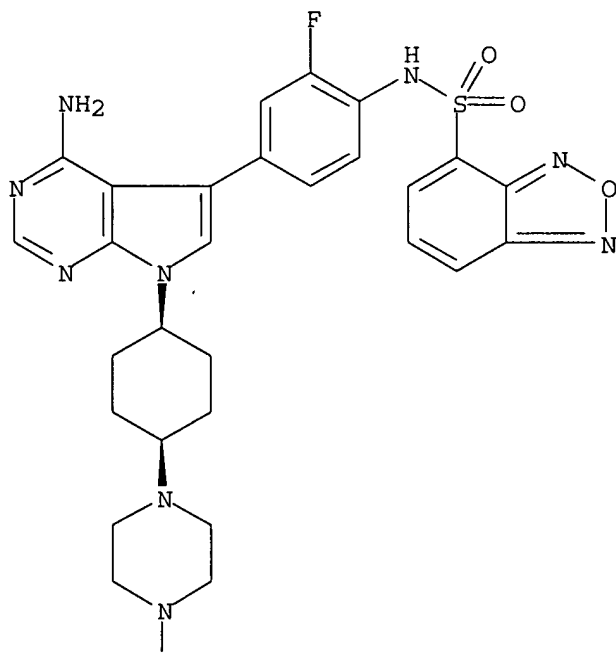
CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-52-7  
CMF C29 H32 F N9 O3 S

Relative stereochemistry.

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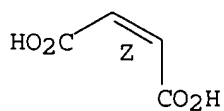
PAGE 2-A

Me

CM 2

CRN 110-16-7  
CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-55-0 CAPLUS  
CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

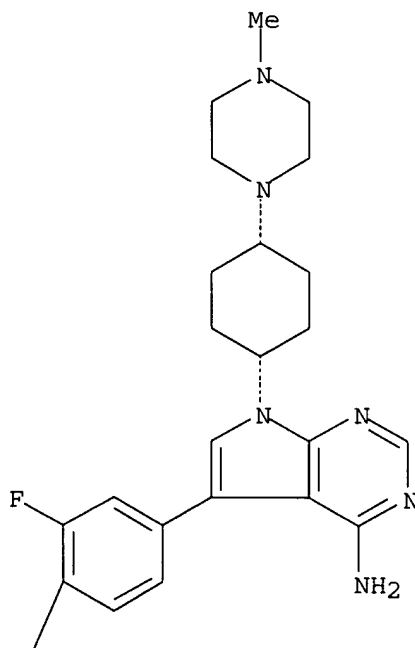
CM 1

CRN 262443-54-9

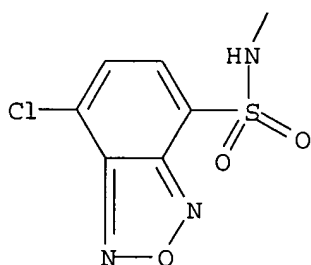
CMF C29 H31 Cl F N9 O3 S

Relative stereochemistry.

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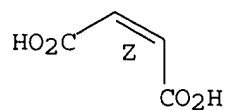


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-57-2 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

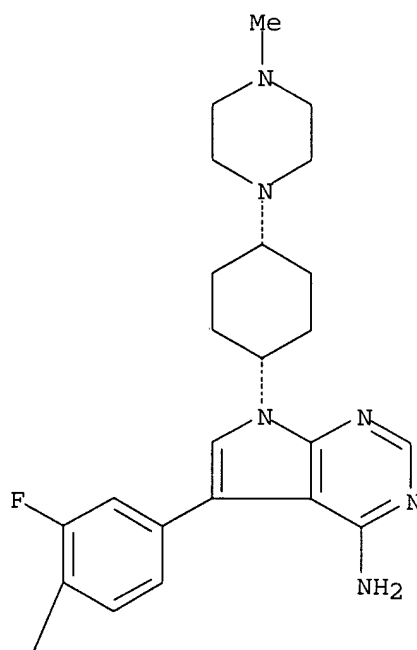
CM 1

CRN 262443-56-1

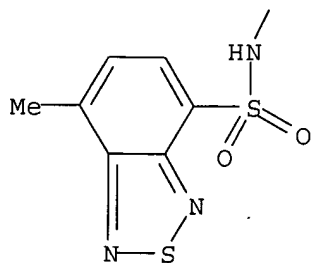
CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

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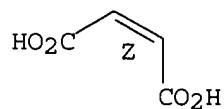


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-59-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

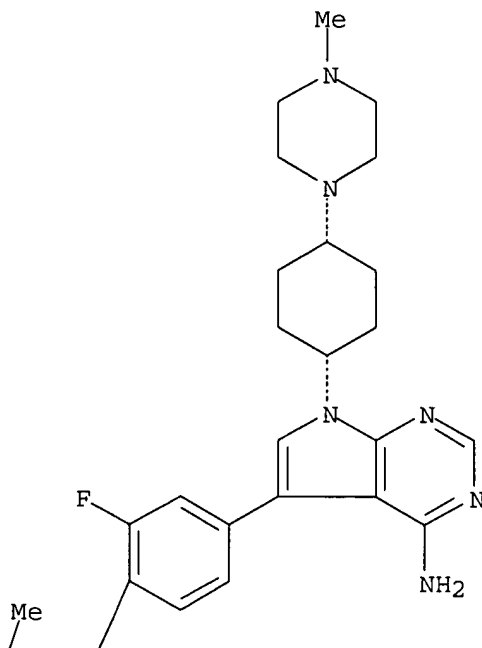
CM 1

CRN 262443-58-3

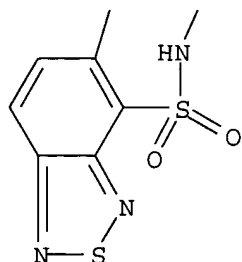
CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

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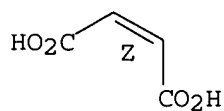


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-61-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

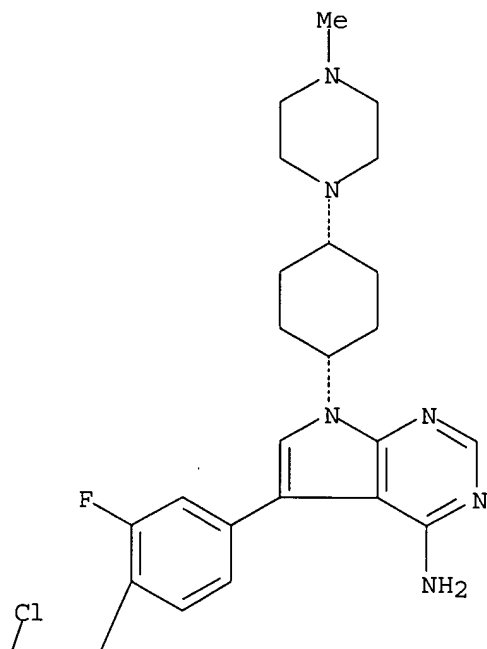
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CRN 262443-60-7

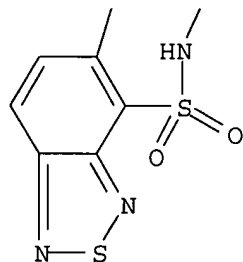
CMF C29 H31 Cl F N9 O2 S2

Relative stereochemistry.

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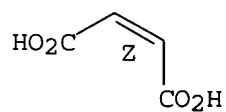


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-25-0 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-,

Patel

&lt;5/18/2003&gt;

(2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

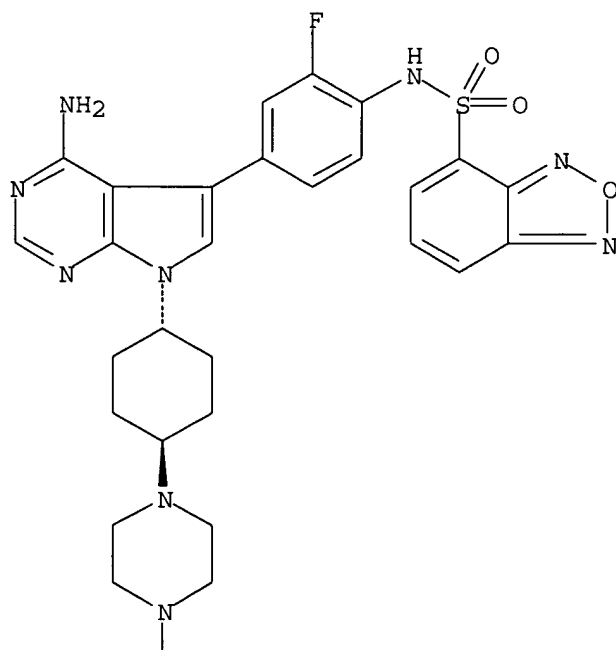
CM 1

CRN 262445-24-9

CMF C29 H32 F N9 O3 S

Relative stereochemistry.

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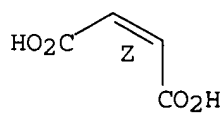
Me

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-27-2 CAPLUS

Patel

&lt;5/18/2003&gt;



CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

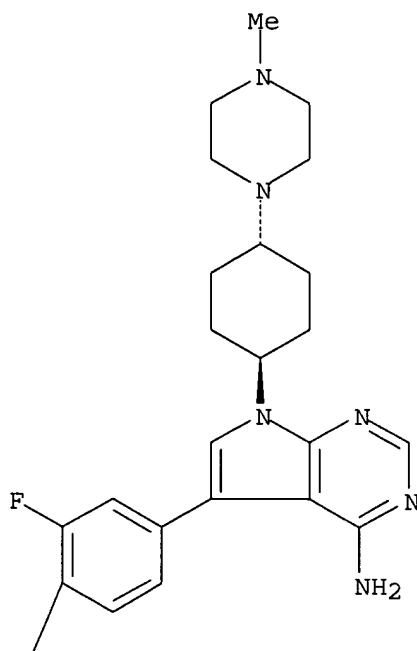
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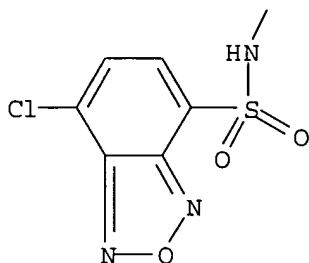
CMF C29 H31 Cl F N9 O3 S

Relative stereochemistry.

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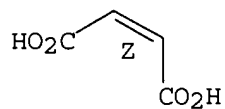


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-29-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

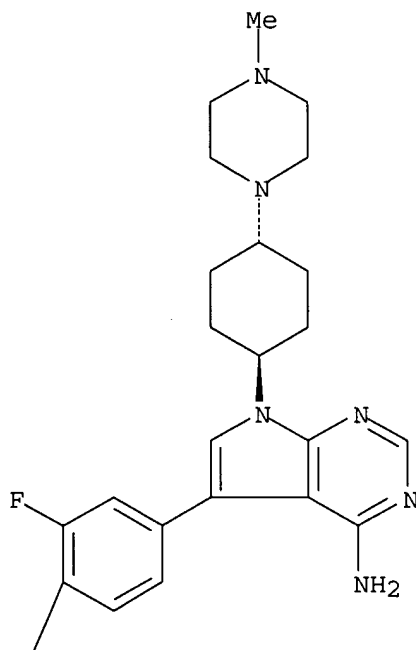
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CRN 262445-28-3

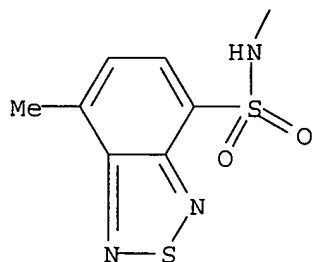
CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

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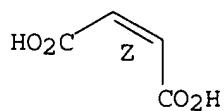


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-31-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

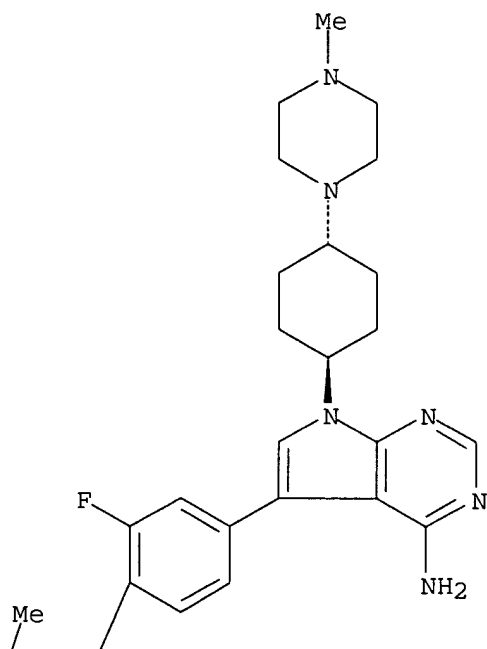
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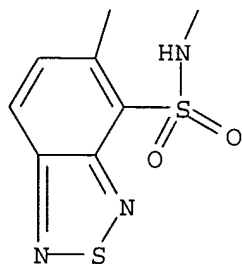
CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

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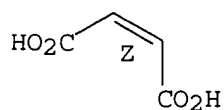


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-33-0 CAPLUS

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Patel

&lt;5/18/2003&gt;

chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

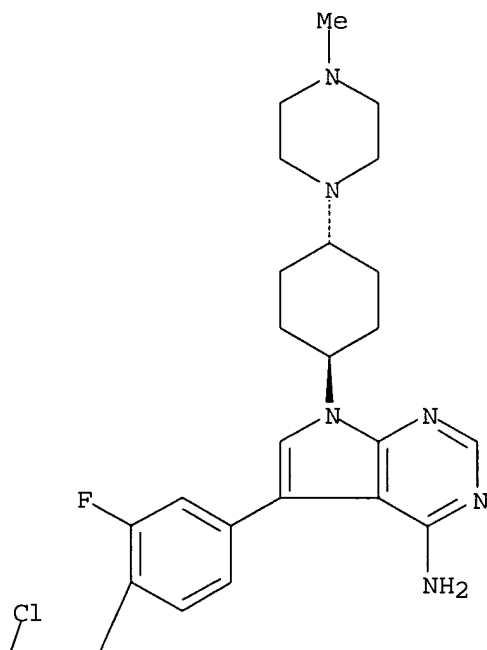
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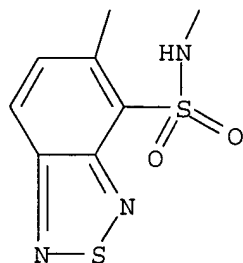
CMF C29 H31 Cl F N9 O2 S2

Relative stereochemistry.

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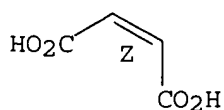


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Chem. compds. having structural formula I and physiol. acceptable salts and metabolites thereof, are inhibitors of serine/threonine and tyrosine kinase activity. Several of the kinases, whose activity is inhibited by these chem. compds., are involved in immunol., hyperproliferative, or angiogenic processes. Thus, these chem. compds. can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor. These compds. can be used to treat cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections and inflammatory disorders. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at  $\leq 50 \mu\text{M}$ , and some significantly inhibited cdc2 at  $\leq 50 \mu\text{M}$ . In I, ring A is a six membered arom. ring or a five or six membered heteroarom. ring which is optionally substituted. L is -O-, -S-, -S(O)-, -S(O)<sub>2</sub>-, -N(R)-, -N[C(O)OR]-, -N[C(O)R]-, -N(SO<sub>2</sub>R)-, -CH<sub>2</sub>O-, -CH<sub>2</sub>S-, -CH<sub>2</sub>N(R)-, -C(NR)-, -CH<sub>2</sub>N[C(O)R]-, -CH<sub>2</sub>N[C(O)OR]-, -CH<sub>2</sub>N(SO<sub>2</sub>R)-, -CH(NHR)-, -CH[NHC(O)R]-, -CH(NHSO<sub>2</sub>R)-, -CH[NHC(O)OR]-, -CH[OC(O)R]-, -CH[OC(O)NHR]-, -CH:CH-, -C(:NOR)-, -C(O)-, -CH(OR)-, -C(O)N(R)-, -N(R)C(O)-, -N(R)S(O)-, -N(R)S(O)<sub>2</sub>-, -OC(O)N(R)-, -N(R)C(O)N(R)-, -NRC(O)O-, -S(O)N(R)-, -S(O)<sub>2</sub>N(R)-, -N[C(O)R]S(O)-, -N[C(O)R]S(O)<sub>2</sub>-, -N(R)S(O)N(R)-, -N(R)S(O)<sub>2</sub>N(R)-, -C(O)N(R)C(O)-, -S(O)N(R)C(O)-, -S(O)<sub>2</sub>N(R)C(O)-, -OS(O)N(R)-, -OS(O)<sub>2</sub>N(R)-, -N(R)S(O)O-, -N(R)S(O)<sub>2</sub>O-, -N(R)S(O)C(O)-, -N(R)S(O)<sub>2</sub>C(O)-, -SON[C(O)R]-, -SO<sub>2</sub>N[C(O)R]-, -N(R)SON(R)-, -N(R)SO<sub>2</sub>N(R)-, -C(O)O-, -N(R)P(OR')O-, -N(R)P(OR')-, -N(R)P(O)(OR')O-, -N(R)P(O)(OR')-, -N[C(O)R]P(OR')O-, -N[C(O)R]P(OR')-, -N[C(O)R]P(O)(OR')O-, -N[C(O)R]P(OR')-, -CH(R)S(O)-, or -CH(R)S(O)<sub>2</sub>-. L is also -CH(R)N[C(O)OR]-, -CH(R)N[C(O)R]-, -CH(R)N(SO<sub>2</sub>R)-, -CH(R)O-, -CH(R)S-, -CH(R)N(R)-, -CH(R)N[C(O)R]-, -CH(R)N[C(O)OR]-, -CH(R)N(SO<sub>2</sub>R)-, -CH(R)C(:NOR)-, -CH(R)C(O)-, -CH(R)CH(OR)-, -CH(R)C(O)N(R)-, -CH(R)N(R)C(O)-, -CH(R)N(R)S(O)-, -CH(R)N(R)S(O)<sub>2</sub>-, -CH(R)OC(O)N(R)-, -CH(R)N(R)C(O)N(R)-, -CH(R)N(R)C(O)O-, -CH(R)S(O)N(R)-, -CH(R)S(O)<sub>2</sub>N(R)-, -CH(R)N[C(O)R]S(O)-, -CH(R)N[C(O)R]S(O)<sub>2</sub>-, -CH(R)N(R)S(O)N(R)-, -CH(R)N(R)S(O)<sub>2</sub>N(R)-, -CH(R)C(O)N(R)C(O)-, -CH(R)S(O)N(R)C(O)-, -CH(R)S(O)<sub>2</sub>N(R)C(O)-, -CH(R)OS(O)N(R)-, -CH(R)OS(O)<sub>2</sub>N(R)-, -CH(R)N(R)S(O)O-, -CH(R)N(R)S(O)<sub>2</sub>O-, -CH(R)N(R)S(O)C(O)-, -CH(R)N(R)S(O)<sub>2</sub>C(O)-, -CH(R)SON[C(O)R]-, -CH(R)S(O)<sub>2</sub>N[C(O)R]-, -CH(R)N(R)SON(R)-, -CH(R)N(R)S(O)<sub>2</sub>N(R)-, -CH(R)C(O)O-, -CH(R)N(R)P(OR')O-, -CH(R)N(R)P(OR')-, -CH(R)N(R)P(O)(OR')O-, -CH(R)N(R)P(O)(OR')-, -CH(R)N[C(O)R]P(OR')O-, -CH(R)N[C(O)R]P(OR')-, -CH(R)N[C(O)R]P(O)(OR')O- or -CH(R)N[C(O)R]P(OR')-. In L, each R and R' is, independently, -H, acyl, substituted or unsubstituted aliph., arom., arylalkyl, heteroarom., cycloalkyl or arylalkyl; or L is -RbN(R)S(O)<sub>2</sub>-, -RbN(R)P(O)-, or -RbN(R)P(O)O-, wherein Rb is an alkylene group which when taken together with the sulfonamide, phosphinamide, or phosphonamide group to which it is bound forms a five or six membered ring fused to ring A; or L is II (X = O or nil; Y = O or nil) or III (Y = O, nil) wherein R<sub>85</sub> taken together with

the phosphinamide, or phosphonamide is a 5-, 6-, or 7-membered, arom., heteroarom. or heterocycloalkyl ring system. G is a direct bond,  $-(CH_2)_j-$  ( $j = 1-6$ ), C2-C6-alkenylene, C3-C8-cycloalkylene or C1-C6-oxaalkylene group. R1 is substituted or optionally substituted aliph., cycloalkyl, bicycloalkyl, cycloalkenyl, arom., heteroarom., heteroaralkyl, heterocycloalkyl, heterobicycloalkyl, alkylamido, arylamido,  $-S(O)_2$ -alkyl,  $-S(O)_2$ -cycloalkyl,  $-C(O)$ alkyl, or  $-B-E$ , wherein B is substituted or unsubstituted cycloalkyl, heterocycloalkyl, arom., heteroarom., alkylene, aminoalkyl, alkylencarbonyl, or aminoalkylcarbonyl and E is substituted or unsubstituted azacycloalkyl, azacycloalkylcarbonyl, azacycloalkylsulfonyl, azacycloalkylalkyl, heteroaryl, heteroarylcarbonyl, heteroarylsulfonyl, heteroaralkyl, alkyl sulfonamido, aryl sulfonamido, bicycloalkyl, ureido, thioureido or aryl. R2 is  $-H$  or substituted or unsubstituted aliph., cycloalkyl, halogen,  $-OH$ , cyano, arom., heteroarom., heterocycloalkyl, aralkyl, heteroaralkyl,  $-(CH_2)_0-3NR_4R_5$ , or  $-(CH_2)_0-3C(O)NR_4R_5$ . R3 is substituted or unsubstituted aliph., alkenyl, cycloalkyl, arom., heteroarom., or heterocycloalkyl with provisos. R4, R5 and the N atom together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocycloalkyl, heterobicycloalkyl or heteroarom.; or R4 and R5 are each, independently,  $-H$ , azabicycloalkyl, heterocycloalkyl, substituted or unsubstituted alkyl or  $Y-Z$ ; Y is  $-C(O)-$ ,  $-(CH_2)p-$ ,  $-S(O)_2-$ ,  $-C(O)O-$ ,  $-SO_2NH-$ ,  $-CONH-$ ,  $-(CH_2)pO-$ ,  $-(CH_2)pNH-$ ,  $-(CH_2)pS-$ ,  $-(CH_2)pS(O)-$ , and  $-(CH_2)pS(O)_2-$ ;  $p = 0-6$ ; and Z is  $-H$ , or substituted or unsubstituted alkyl, amino, aryl, heteroaryl or heterocycloalkyl. 546 Example preps. are included. For example, addn. of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by treatment with  $Na[(AcO)_3BH]$ , workup and chromatog., gave cis- and trans-IV.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2000:210172 CAPLUS

DN 132:251160

TI Preparation of **pyrrolopyrimidines** as protein kinase inhibitors

IN Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Ritter, Kurt; Arnold, Lee D.

PA Basf A.-G., Germany

SO PCT Int. Appl., 304 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017203	A1	20000330	WO 1999-US21560	19990917
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				US 1998-100833PP 19980918
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NO 2001001356	A	20010516	
ZA 2001002204	A	20020318	

OS MARPAT 132:251160

IT 262443-00-5P 262443-51-6P 262443-53-8P  
 262443-55-0P 262443-57-2P 262443-59-4P  
 262443-61-8P 262445-25-0P 262445-27-2P  
 262445-29-4P 262445-31-8P 262445-33-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of 7H-pyrrolo[2,3-d]pyrimidin-4-amines as protein kinase inhibitors)



RN 262443-00-5 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

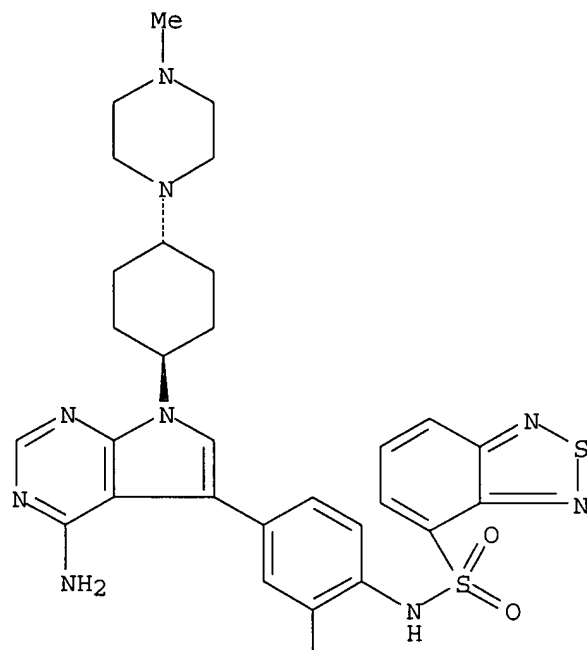
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CRN 262442-99-9

CMF C29 H32 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

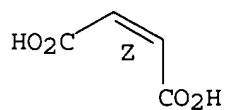
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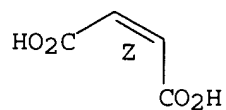
CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.





RN 262443-51-6 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

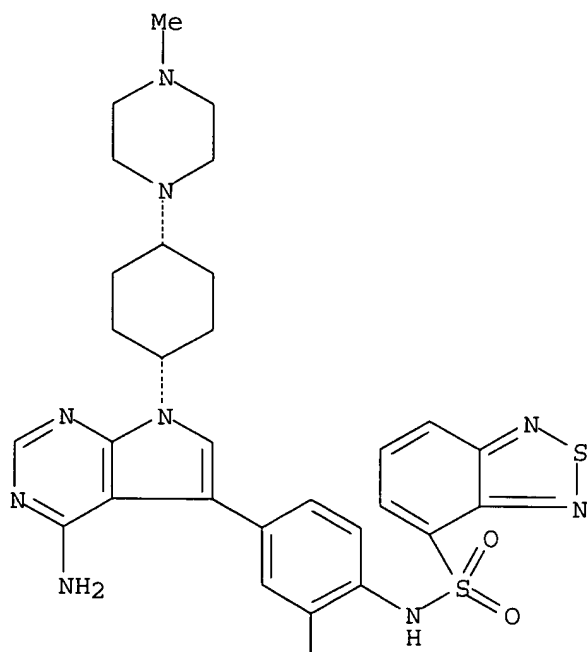
CM 1

CRN 262443-50-5

CMF C29 H32 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

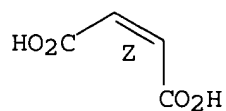
|  
F

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-53-8 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

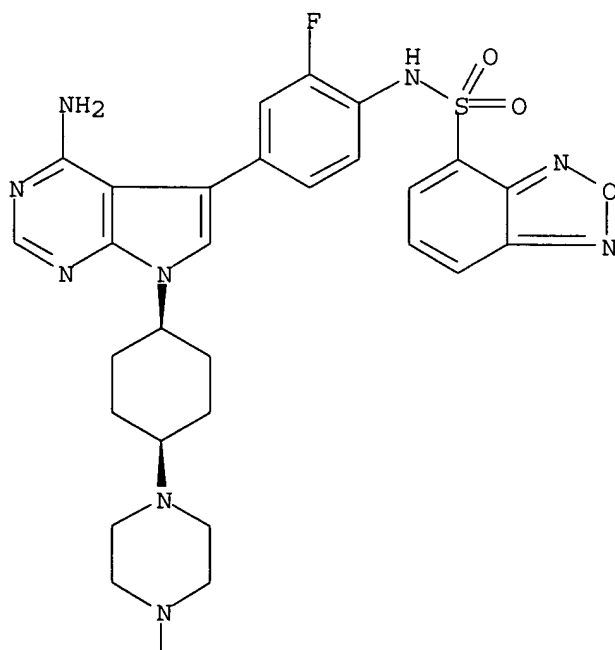
CM 1

CRN 262443-52-7

CMF C29 H32 F N9 O3 S

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

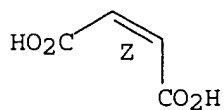
Me

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-55-0 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

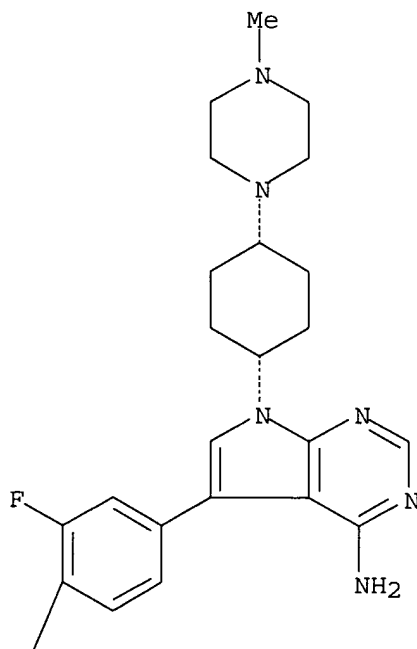
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CRN 262443-54-9

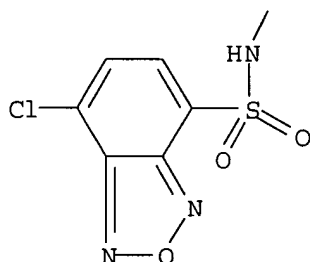
CMF C29 H31 Cl F N9 O3 S

Relative stereochemistry.

PAGE 1-A



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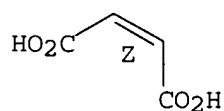


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-57-2 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

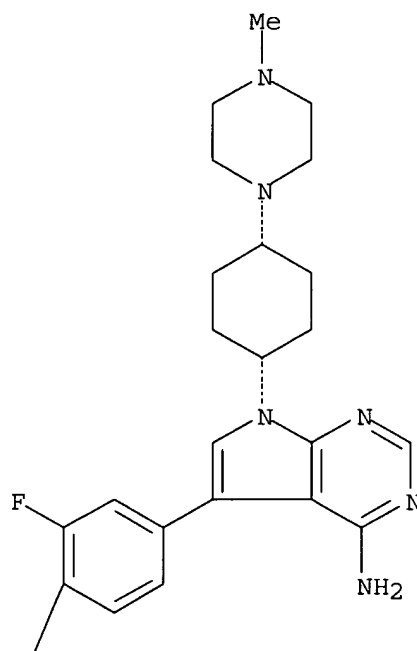
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CRN 262443-56-1

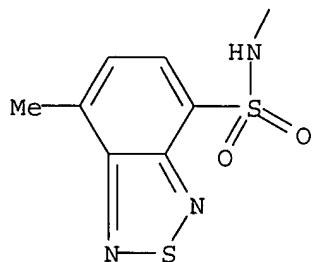
CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

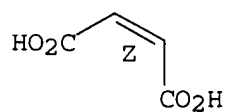


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-59-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-

Patel

&lt;5/18/2003&gt;

methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

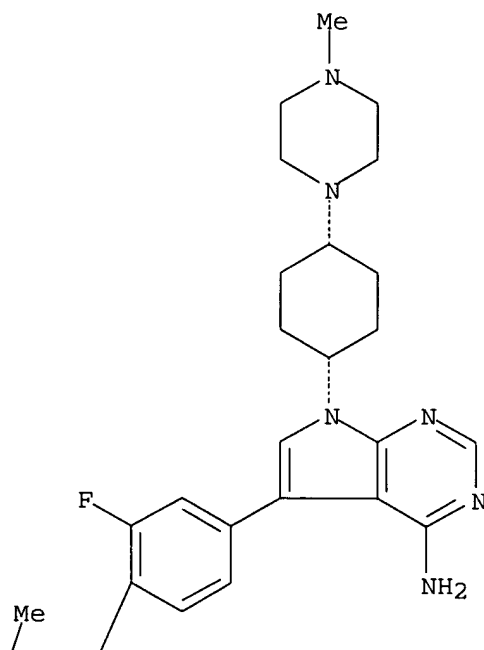
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CRN 262443-58-3

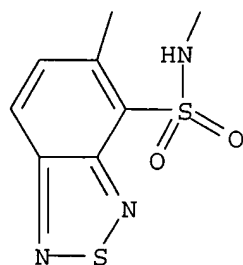
CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A



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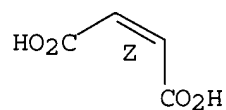


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262443-61-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

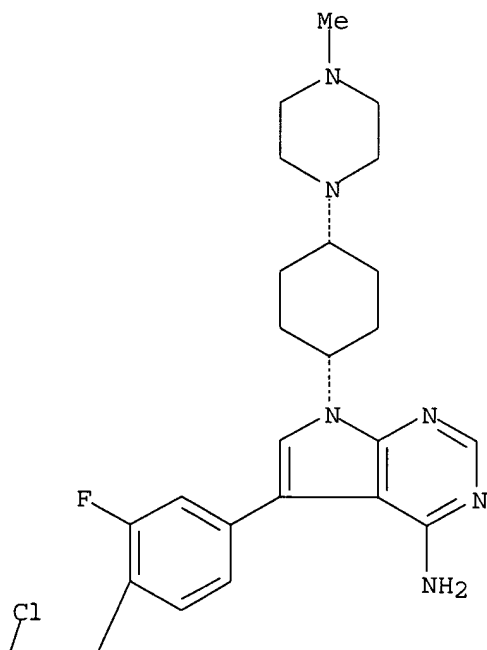
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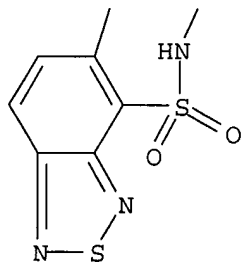
CMF C29 H31 Cl F N9 O2 S2

Relative stereochemistry.

PAGE 1-A



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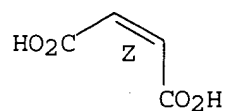


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-25-0 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

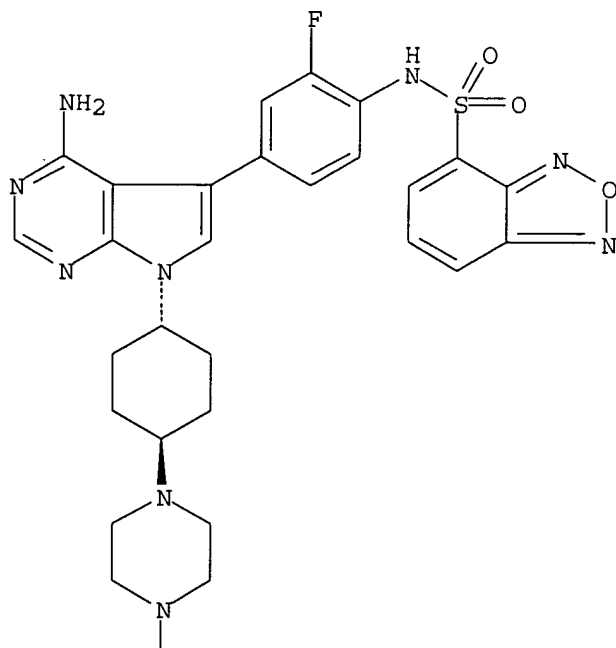
CM 1

CRN 262445-24-9

CMF C29 H32 F N9 O3 S

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

Me

PAGE 2-A

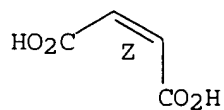


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-27-2 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

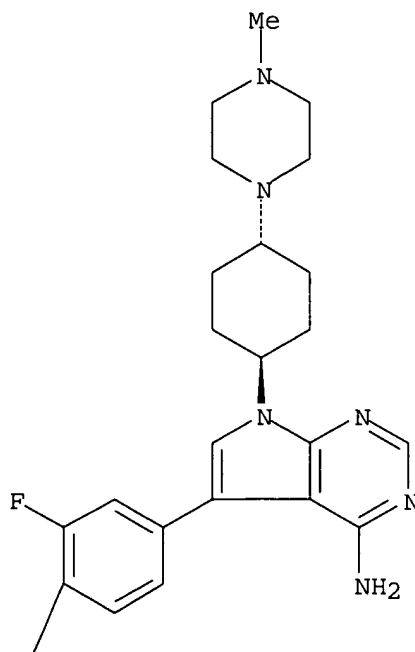
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CRN 262445-26-1

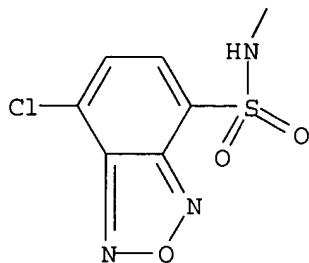
CMF C29 H31 Cl F N9 O3 S

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

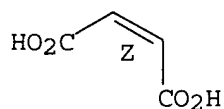


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-29-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

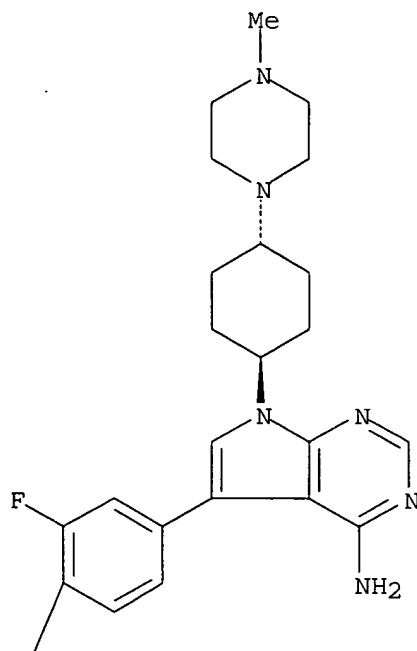
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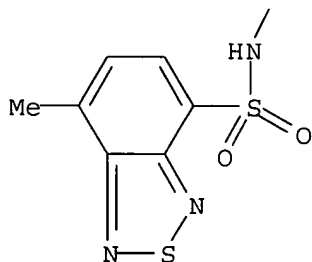
CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

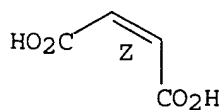


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-31-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-

Patel

&lt;5/18/2003&gt;

methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

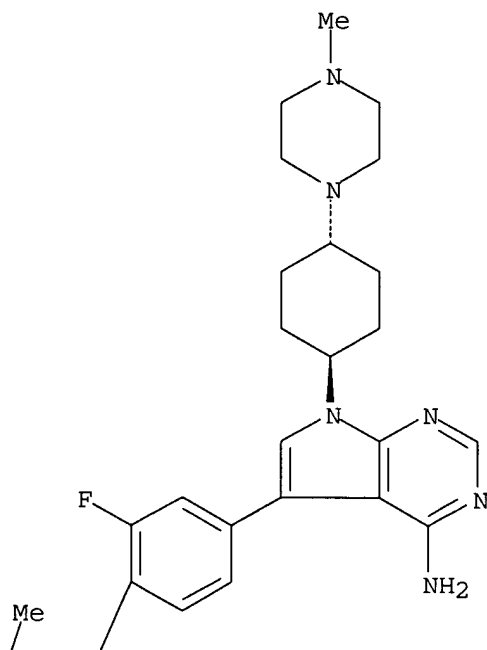
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CRN 262445-30-7

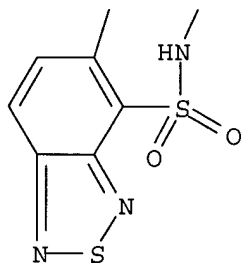
CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

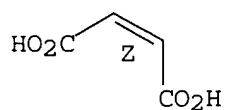


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RN 262445-33-0 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

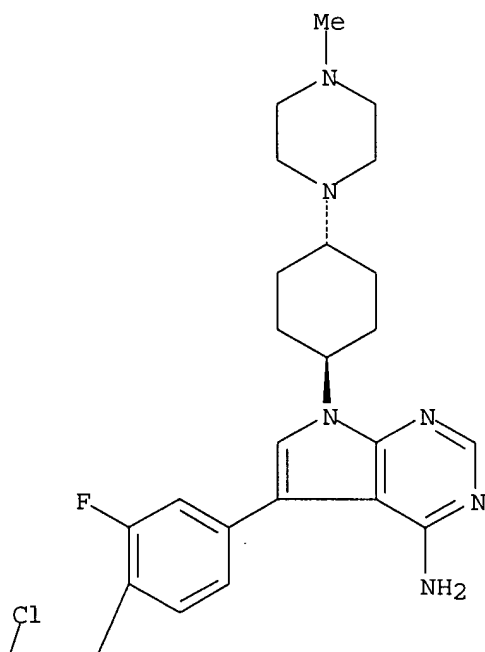
CM 1

CRN 262445-32-9

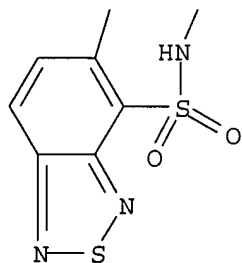
CMF C29 H31 Cl F N9 O2 S2

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

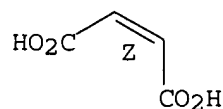


CM 2

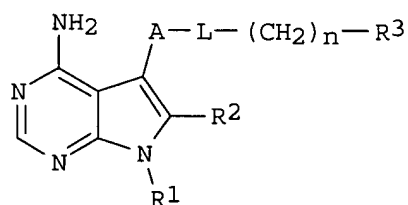
CRN 110-16-7

CMF C4 H4 O4

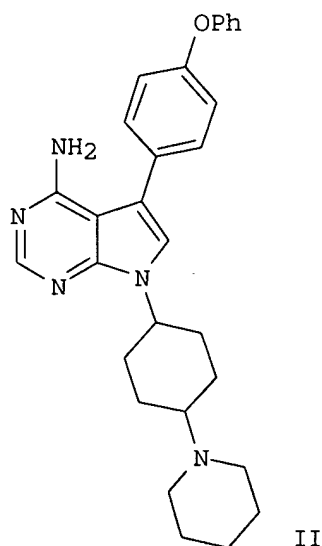
Double bond geometry as shown.



GI



I



II

- AB 7H-Pyrrolo[2,3-d]pyrimidin-4-amines (I) [wherein A = (un)substituted 6-membered arom. ring or 5- or 6-membered heteroarom. ring; L = RbN(R)S(O)<sub>2</sub>, RbN(R)P(O), or RbN(R)P(O)O, where Rb = alkylene group which when taken together with the sulfonamide, phosphinamide or phosphonamide group to which it is bound forms a 5- or 6-membered ring fused to ring A, or L = 5-, 6-, or 7-membered (oxa)azaphosphaarom. or (oxa)azaphosphacycloalkyl ring; R = H, acyl, or (un)substituted aliph., (hetero)arom., or cycloalkyl; R<sub>1</sub> = (un)substituted (hetero)cyclic, (hetero)arom., amido, acyl, or (cyclo)alkylsulfonyl; R<sub>2</sub> = H, halo, OH, CN, (un)substituted aliph., cycloalkyl, (hetero)arom., (hetero)aralkyl, amino, or amido; R<sub>3</sub> (un)substituted aliph., alkenyl, (hetero)cycloalkyl, or (hetero)arom.; n = 0-6], and physiol. acceptable salts and metabolites thereof, were prepd. For example, addn. of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by workup and chromatog., gave cis- and trans-II. I inhibit serine/threonine and tyrosine kinase activity, which are involved in immunol., hyperproliferative, and

angiogenic processes. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concns. of .ltoreq. 50 .mu.M, and some significantly inhibited cdc2 at concns. of 50 .ltoreq. .mu.M. Thus, these compds. are useful in the treatment of cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections, and inflammatory disorders.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2000:210171 CAPLUS

DN 132:251159

TI Preparation of 4-aminopyrrolopyrimidines as protein kinase inhibitors

IN Calderwood, David; Arnold, Lee D.; Mazdiyasni, Hormoz; Hirst, Gavin; Deng, Bojuan B.

PA BASF Aktiengesellschaft, Germany

SO PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017202	A1	20000330	WO 1999-US21536	19990917
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344262	AA	20000330	US 1998-100954PP	19980918
			CA 1999-2344262	19990917
			US 1998-100954PP	19980918
			WO 1999-US21536W	19990917
AU 9960475	A1	20000410	AU 1999-60475	19990917
AU 752474	B2	20020919		
			US 1998-100954PP	19980918
			WO 1999-US21536W	19990917
EP 1114052	A1	20010711	EP 1999-969414	19990917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1998-100954PP	19980918
			WO 1999-US21536W	19990917
BR 9913888	A	20020108	BR 1999-13888	19990917
			US 1998-100954PP	19980918
			WO 1999-US21536W	19990917
JP 2002527359	T2	20020827	JP 2000-574111	19990917
			US 1998-100954PP	19980918
			WO 1999-US21536W	19990917
NO 2001001357	A	20010514	NO 2001-1357	20010316
			US 1998-100954PP	19980918
			WO 1999-US21536W	19990917
BG 105355	A	20011130	BG 2001-105355	20010316
			US 1998-100954PP	19980918
			WO 1999-US21536A	19990917



ZA 2001002201 A 20020315 ZA 2001-2201 20010316  
US 1998-100954PP 19980918

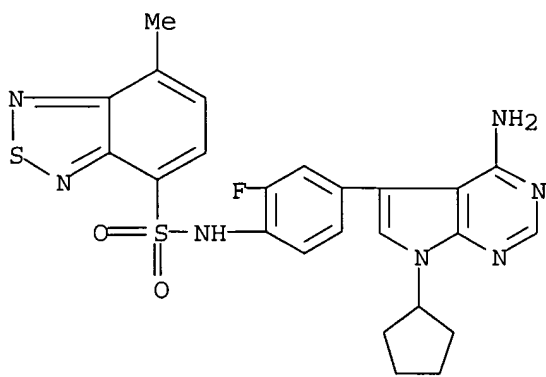
OS MARPAT 132:251159

IT 262432-65-5P 262432-92-8P 262432-93-9P  
262432-95-1P 262432-98-4P 262432-99-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(target compd.; prepn. of 7H-pyrrolo[2,3-d]pyrimidin-4-amines as protein kinase inhibitors)

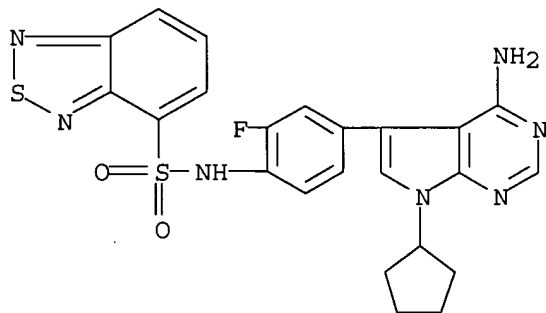
RN 262432-65-5 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]-7-methyl- (9CI) (CA INDEX NAME)



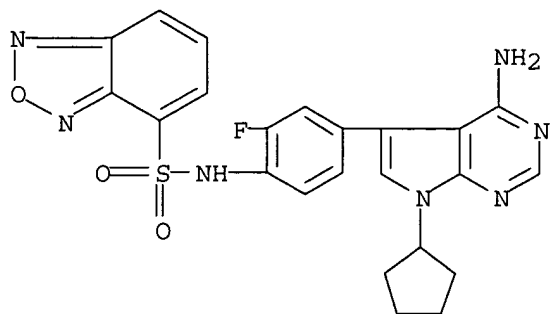
RN 262432-92-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]- (9CI) (CA INDEX NAME)



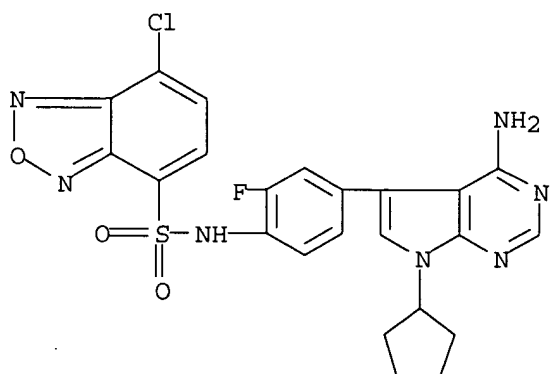
RN 262432-93-9 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]- (9CI) (CA INDEX NAME)



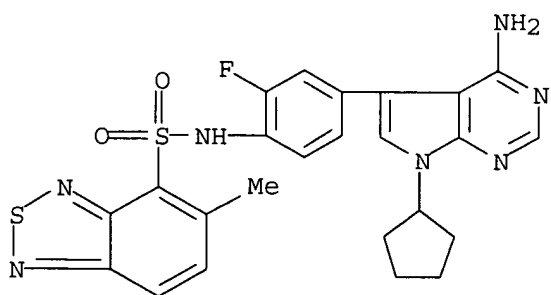
RN 262432-95-1 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]-7-chloro- (9CI) (CA INDEX NAME)



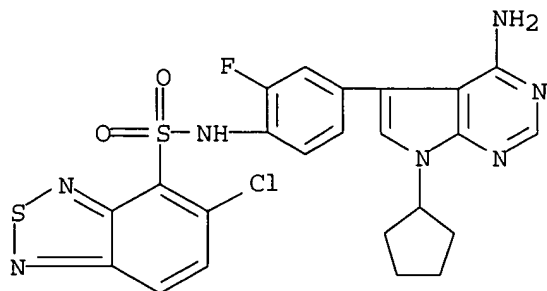
RN 262432-98-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]-5-methyl- (9CI) (CA INDEX NAME)

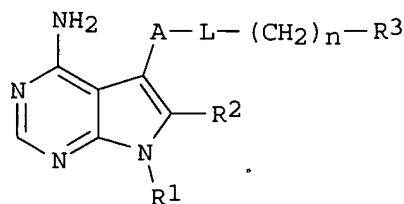


RN 262432-99-5 CAPLUS

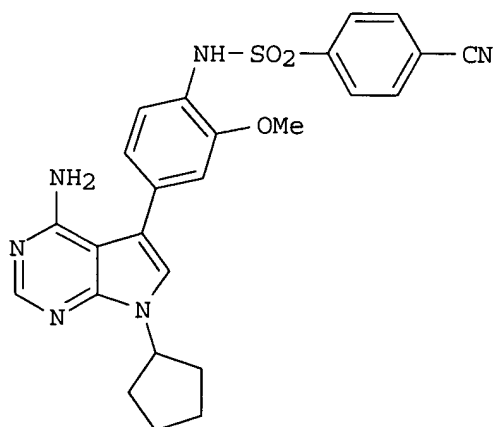
CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]-5-chloro- (9CI) (CA INDEX NAME)



GI



I



II

- AB 7H-Pyrrolo[2,3-d]pyrimidin-4-amines (I) [wherein A = (un)substituted 6-membered arom. ring or 5- or 6-membered heteroarom. ring; L = RbN(R)S(O)<sub>2</sub>, RbN(R)P(O), or RbN(R)P(O)O, where Rb = alkylene group which when taken together with the sulfonamide, phosphinamide or phosphonamide group to which it is bound forms a 5- or 6-membered ring fused to ring A, or L = O, S, N(R), 5-, 6-, or 7-membered (oxa)azaphosphaarom. or (oxa)azaphosphacycloalkyl ring, or a variety of linkers contg. functional groups; R = H, acyl, or (un)substituted aliph., (hetero)arom., or cycloalkyl; R1 = H, 2-Ph-1,3-dioxan-5-yl or (un)substituted (cyclo)alkyl, cycloalkenyl, or phenylalkyl; R2 = H, halo, OH, CN, (un)substituted aliph., cycloalkyl, (hetero)arom., (hetero)aralkyl, amino, or amido; R3 (un)substituted aliph., alkenyl, (hetero)cycloalkyl, or (hetero)arom.; n = 0-6], and physiologically acceptable salts and metabolites thereof, were prepared. For example, II was prepared in a 6-step sequence involving: (1) amine protection of 4-bromo-2-methoxyaniline with di-tert-Bu dicarbonate, (2)

4-addn. of diboron pinacol ester, (3) 4-substitution with 4-chloro-7-cyclopentyl-5-iodo-7H-pyrrolo[2,3-d]pyrimidine, (4) deprotection of the amine with F3CCO2H, (5) 4-amination of the **pyrrolopyrimidine**, and (6) addn. of 4-cyanobenzenesulfonyl chloride to the anilino amine. I inhibit serine/threonine and tyrosine kinase activity, affecting immunol., hyperproliferative, and angiogenic processes. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concns. of .1toeq. 50 .mu.M, and some significantly inhibited cdc2 at concns. of 50 .1toeq. .mu.M. Thus, these compds. are useful in the treatment of cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections, and inflammatory disorders.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 126 fbib hitstr abs total

L26 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2002:539534 CAPLUS

DN 137:109285

TI Preparation of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists

IN Gillespie, Roger John; Lerpiniere, Joanne; Gaur, Suneel; Bamford, Samantha Jayne; Stratton, Gemma Caroline; Leonardi, Stefania; Weiss, Scott Murray

PA Vernalis Research Limited, UK

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002055083	A1	20020718	WO 2002-GB91	20020110
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				GB 2001-624	A 20010110

OS MARPAT 137:109285

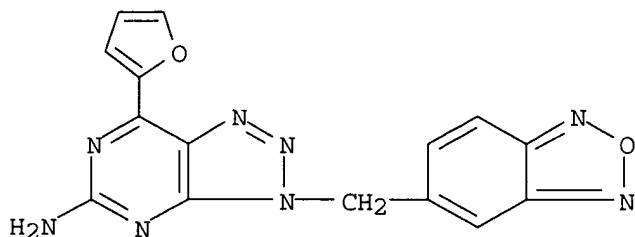
IT **442908-24-9P 442908-43-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists)

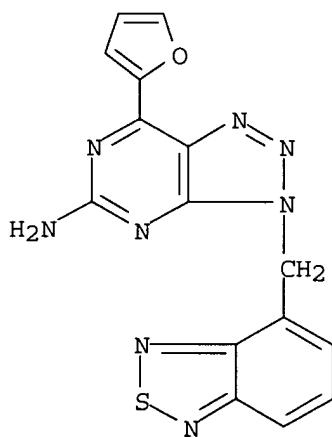
RN 442908-24-9 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzoxadiazol-5-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)

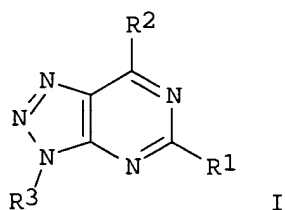


RN 442908-43-2 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzothiadiazol-4-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = aryl attached via an unsatd. carbon; R3 = H, alkyl, COR5, CO2R7, CONR5R6, CONR4NR5R6, SO2R7; R4-R6 = H, alkyl, aryl; or NR5R6 = heterocyclyl; or where R4-R6 are in a CONR4NR5R6 group, R4 and R5 may be linked to form a heterocyclic group; R7 = alkyl, aryl], useful in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A2A receptors, may be beneficial, particularly wherein said disorder is a movement disorder such as Parkinson's disease or depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection, were prepd. Thus, reacting 7-(2-furyl)-1H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (prepn. given)

with 2-fluorobenzyl bromide in the presence of NaH in DMF afforded 22% I  
[R1 = NH2; R2 = 2-furyl; R3 = 2-FC6H4CH2] which showed Ki of 3 nM against  
A2A receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2000:210169 CAPLUS

DN 132:251158

TI Preparation of [1,2,4]triazolo[1,5-c]pyrimidine derivatives as adenosine  
A2A receptor antagonists

IN Shimada, Junichi; Imma, Hironori; Osakada, Naoto; Shiozaki, Shizuo; Kanda,  
Tomoyuki; Kuwana, Yoshihisa

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017201	A1	20000330	WO 1999-JP5176	19990922
W: AU, BG, BR, CA, CN, CZ, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2344828	AA	20000330	JP 1998-267178 A	19980922
			CA 1999-2344828	19990922
			JP 1998-267178 A	19980922
AU 9957579	A1	20000410	WO 1999-JP5176 W	19990922
			AU 1999-57579	19990922
			JP 1998-267178 A	19980922
EP 1116722	A1	20010718	WO 1999-JP5176 W	19990922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
			JP 1998-267178 A	19980922
BR 9914040	A	20020115	WO 1999-JP5176 W	19990922
			BR 1999-14040	19990922
			JP 1998-267178 A	19980922
NO 2001001417	A	20010521	WO 1999-JP5176 W	19990922
			NO 2001-1417	20010320
			JP 1998-267178 A	19980922
US 6545000	B1	20030408	WO 1999-JP5176 W	19990922
			US 2001-787779	20010322
			JP 1998-267178 A	19980922
			WO 1999-JP5176 W	19990922

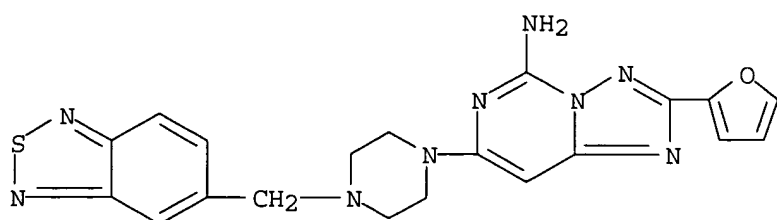
OS MARPAT 132:251158

IT 262452-17-5P

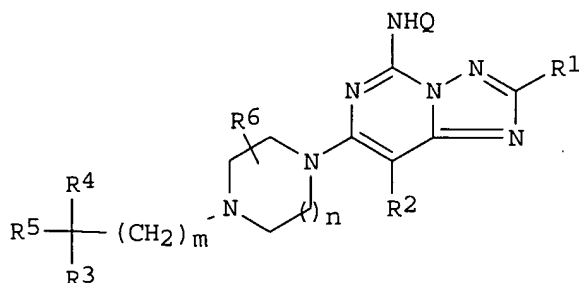
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of **triazolopyrimidines** as receptors inhibitors)

RN 262452-17-5 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5-amine, 7-[4-(2,1,3-benzothiadiazol-5-ylmethyl)-1-piperazinyl]-2-(2-furanyl)- (9CI) (CA INDEX NAME)



GI



I

AB Title compds. [I; wherein R1 represents heteroaryl, etc.; R2 represents hydrogen, etc.; n and m represent each an integer of 0 to 4; Q represents hydrogen, etc.; R6 represents hydrogen, etc.; R3 represents hydroxy, hydroxy(lower alkyl), lower alkoxy, imidazo[1,2-a]pyridyl, etc.; and R4 and R5 represent each lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a satd. carbon ring when R3 is any of OH, alkylhydroxy, alkoxy; or R4 and R5 represent each hydrogen, lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a satd. carbon ring when R3 is imidazo[1,2-l]pyridyl] and pharmacol. acceptable salts thereof are prepd. and tested as adenosine A2A receptor antagonists. The title compd. II was prepd.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

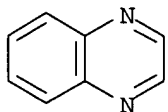
DT Patent

LA English

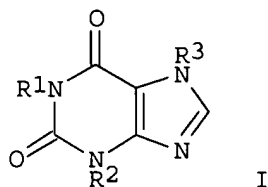
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9513075	A1	19950518	WO 1994-US12821	19941114
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1993-152117	19931112
	AU 9510907	A1	19950529	AU 1995-10907	19941114

US 1993-152117 19931112  
WO 1994-US12821 19941114  
EP 728003 A1 19960828 EP 1995-901808 19941114  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE  
US 1993-152117 19931112  
WO 1994-US12821 19941114  
US 5856331 A 19990105 US 1997-948747 19971010  
US 1993-152117 19931112  
US 1994-353756 19941212  
OS MARPAT 124:21803  
IT **167427-02-3D**, aminoalkyl derivs.  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(method and agents for preventing tissue injury from hypoxia)  
RN 167427-02-3 CAPLUS  
CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)  
CM 1  
CRN 91-19-0  
CMF C8 H6 N2



GI



AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary



mononuclear cells, etc.).

=> d his

(FILE 'HOME' ENTERED AT 17:17:40 ON 18 MAY 2003)

FILE 'REGISTRY' ENTERED AT 17:17:47 ON 18 MAY 2003

L1 STRUCTURE UPLOADED  
L2 13138 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:19:20 ON 18 MAY 2003

L3 2810 S L2  
L4 0 S L3 AND QUINIXALINE AND PYRIDINE  
L5 8 S L3 AND QUINOXALINE AND PYRIMIDINE  
L6 2 S L3 AND QUINOXALINE AND TRIAZINE  
L7 0 S L3 AND QUINOXALINE AND PYRROLOPYRIMIDINE  
L8 0 S L3 AND QUINOXALINE AND IMIDAZOLOPYRIMIDINE  
L9 0 S L3 AND QUINOXALINE AND PYRAZOLOPYRIMIDINE  
L10 1 S L3 AND QUINOXALINE AND TRIAZOLOPYRIMIDINE  
L11 0 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE  
L12 138 S L3 AND BENZOTHIADIAZOLE  
L13 7 S L12 AND PYRIMIDINE  
L14 2 S L12 AND TRIAZINE  
L15 0 S L12 AND PYRROLOPYRIMIDINE  
L16 0 S L12 AND IMIDAZOLOPYRIMIDINE  
L17 0 S L12 AND PYROZOLOPYRIMIDINE  
L18 0 S L12 AND TRIAZOLOPYRIMIDINE  
L19 6 S L3 AND BENZTRIAZOLE  
L20 0 S L3 AND BENZ-METHYLTRIAZOLE  
L21 0 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE  
L22 3 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE  
L23 2 S L3 AND BENZOXADIAZOLE AND TRIAZINE  
L24 3 S L3 AND PYRROLOPYRIMIDINE  
L25 0 S L3 AND IMIDAZOLOPYRIMIDINE  
L26 3 S L3 AND TRIAZOLOPYRIMIDINE

=> d cost

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
CONNECT CHARGES	11.56	12.73
NETWORK CHARGES	2.04	2.28
SEARCH CHARGES	59.04	206.79
DISPLAY CHARGES	205.84	205.84
	-----	-----
	278.48	427.64
CAPLUS FEE (5%)	13.82	13.82
	-----	-----
FULL ESTIMATED COST	292.30	441.46
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.09	-24.09

IN FILE 'CAPLUS' AT 17:39:58 ON 18 MAY 2003

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	Apr 08	"Ask CAS" for self-help around the clock
NEWS	3	Jun 03	New e-mail delivery for search results now available
NEWS	4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS	5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS	6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS	7	Sep 03	JAPIO has been reloaded and enhanced
NEWS	8	Sep 16	Experimental properties added to the REGISTRY file
NEWS	9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS	10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS	11	Oct 24	BEILSTEIN adds new search fields
NEWS	12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS	13	Nov 18	DKILIT has been renamed APOLLIT
NEWS	14	Nov 25	More calculated properties added to REGISTRY
NEWS	15	Dec 04	CSA files on STN
NEWS	16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS	17	Dec 17	TOXCENTER enhanced with additional content
NEWS	18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS	19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS	20	Feb 13	CANCERLIT is no longer being updated
NEWS	21	Feb 24	METADEx enhancements
NEWS	22	Feb 24	PCTGEN now available on STN
NEWS	23	Feb 24	TEMA now available on STN
NEWS	24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS	25	Feb 26	PCTFULL now contains images
NEWS	26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS	27	Mar 20	EVENTLINE will be removed from STN
NEWS	28	Mar 24	PATDPAFULL now available on STN
NEWS	29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS	30	Apr 11	Display formats in DGENE enhanced
NEWS	31	Apr 14	MEDLINE Reload
NEWS	32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS	33	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS	34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS	35	Apr 28	RDISCLOSURE now available on STN
NEWS	36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS	37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS	38	May 15	Supporter information for ENCOMPAT and ENCOMPLIT updated
NEWS	39	May 16	CHEMREACT will be removed from STN

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 16:05:03 ON 18 MAY 2003

=> le reg

LE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (=>).

=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 16:05:14 ON 18 MAY 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 MAY 2003 HIGHEST RN 517103-55-8

DICTIONARY FILE UPDATES: 16 MAY 2003 HIGHEST RN 517103-55-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 10077150.7

L1        STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1        STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:05:57 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 39871 TO ITERATE

2.5% PROCESSED        1000 ITERATIONS

30 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*INCOMPLETE\*\*  
                                      BATCH    \*\*INCOMPLETE\*\*

PROJECTED ITERATIONS:        785537 TO    809303

PROJECTED ANSWERS:            21848 TO    25996

L2            30 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:06:05 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 791354 TO ITERATE

50.5% PROCESSED    400000 ITERATIONS

13138 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.12

FULL FILE PROJECTIONS:    ONLINE    \*\*INCOMPLETE\*\*  
                                      BATCH    \*\*INCOMPLETE\*\*

PROJECTED ITERATIONS:        791354 TO    791354

PROJECTED ANSWERS:            25509 TO    26475

L3            13138 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.95

149.16

FILE 'CAPLUS' ENTERED AT 16:06:46 ON 18 MAY 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 18 May 2003 VOL 138 ISS 21  
FILE LAST UPDATED: 16 May 2003 (20030516/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 2810 L3

=> s l4 and quinoxaline

L5 120 L4 AND QUINOXALINE

=> L4 and CFR receptor

L4 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> L5 and CFR receptor

L5 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> L5 and CFR inhibitors

L5 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> s l4 and CFR receptor

L6 0 L4 AND CFR RECEPTOR

=>

=> s l5 CFR inhibitors

MISSING OPERATOR L5 CFR

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s L5 and CFR inhibitors

L7 0 L5 AND CFR INHIBITORS

=> s L4 and pyrimidine

L8 68 L4 AND PYRIMIDINE

=> s L8 and L5

L9 8 L8 AND L5

=> s L4 and bezothiadiazole

L10 0 L4 AND BEZOTHIADIAZOLE

=> s L4 and benz oxadiazole

L11 0 L4 AND BENZ OXADIAZOLE

=> s L4 and benzoxadiazole

L12 163 L4 AND BENZOXADIAZOLE

=> s L4 and benzothiadiazole

L13 138 L4 AND BENZOTHIADIAZOLE

=> s L4 and benzotriazole

L14 825 L4 AND BENZOTRIAZOLE

=> L14 and 2-methyl benzotriazole

L14 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (=>).

=> s l14 and 2-methyl benzotriazole

L15 0 L14 AND 2-METHYL BENZOTRIAZOLE

=> s l14 and methyl trizole

L16 0 L14 AND METHYL TRIZOLE

=> s L14 and pyrimidine

L17 7 L14 AND PYRIMIDINE

=> s l4 and 1,3,5-triazine

L18 0 L4 AND 1,3,5-TRAZINE

=> s l4 and pyrazole

L19 65 L4 AND PYRAZOLE

=> s l19 and pyrazolopyrimidine

L20 0 L19 AND PYRAZOLOPYRIMIDINE

=> s l4 and triazole

L21 89 L4 AND TRIAZOLE

=> s l21 and trazolopyrimidine

L22 0 L21 AND TRAZOLOPYRIMIDINE

=> s l21 and triazolopyrimidine

L23 1 L21 AND TRIAZOLOPYRIMIDINE

=> s l4 and pyrimidine and quinoxaline

L24 8 L4 AND PYRIMIDINE AND QUINOXALINE

=> s l4 and pyrimidine and benzoxadiazole

L25 3 L4 AND PYRIMIDINE AND BENZOXADIAZOLE

=> s l4 and pyrrolo-pyrimidine and quinoxaline

L26 0 L4 AND PYRROLO-PYRIMIDINE AND QUINOXALINE

=> s l4 and imidazo-pyrimidine and quinoxaline

L27 0 L4 AND IMIDAZO-PYRIMIDINE AND QUINOXALINE

=> s l4 and benzothiadiazole and quinoxaline

L28 6 L4 AND BENZOTHIADIAZOLE AND QUINOXALINE

=> s l4 and quinoxaline  
L29 120 L4 AND QUINOXALINE

=> s l4 and benzothiadiazole  
L30 138 L4 AND BENZOTHIADIAZOLE

=> s l4 and benzoxadiazole  
L31 163 L4 AND BENZOXADIAZOLE

=> s l4 and benzotriazole  
L32 6 L4 AND BENZOTRIAZOLE

=> d his

(FILE 'HOME' ENTERED AT 16:05:03 ON 18 MAY 2003)

FILE 'REGISTRY' ENTERED AT 16:05:14 ON 18 MAY 2003

L1 STRUCTURE UPLOADED  
L2 30 S L1  
L3 13138 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:06:46 ON 18 MAY 2003

L4 2810 S L3  
L5 120 S L4 AND QUINOXALINE  
L6 0 S L4 AND CFR RECEPTOR  
L7 0 S L5 AND CFR INHIBITORS  
L8 68 S L4 AND PYRIMIDINE  
L9 8 S L8 AND L5  
L10 0 S L4 AND BEZOTHIADIAZOLE  
L11 0 S L4 AND BENZ OXADIAZOLE  
L12 163 S L4 AND BENZOXADIAZOLE  
L13 138 S L4 AND BENZOTHIADIAZOLE  
L14 825 S L4 AND BENZOTRIAZOLE  
L15 0 S L14 AND 2-METHYL BENZOTRIAZOLE  
L16 0 S L14 AND METHYL TRIZOLE  
L17 7 S L14 AND PYRIMIDINE  
L18 0 S L4 AND 1,3,5-TRAZINE  
L19 65 S L4 AND PYRAZOLE  
L20 0 S L19 AND PYRAZOLOPYRIMIDINE  
L21 89 S L4 AND TRIAZOLE  
L22 0 S L21 AND TRAZOLOPYRIMIDINE  
L23 1 S L21 AND TRIAZOLOPYRIMIDINE  
L24 8 S L4 AND PYRIMIDINE AND QUINOXALINE  
L25 3 S L4 AND PYRIMIDINE AND BENZOXADIAZOLE  
L26 0 S L4 AND PYRROLO-PYRIMIDINE AND QUINOXALINE  
L27 0 S L4 AND IMIDAZO-PYRIMIDINE AND QUINOXALINE  
L28 6 S L4 AND BENZOTHIADIAZOLE AND QUINOXALINE  
L29 120 S L4 AND QUINOXALINE  
L30 138 S L4 AND BENZOTHIADIAZOLE  
L31 163 S L4 AND BENZOXADIAZOLE  
L32 6 S L4 AND BENZOTRIAZOLE

=> s l4 ands quinoxaline  
MISSING OPERATOR L4 ANDS

The search profile that was entered contains terms or  
nested terms that are not separated by a logical operator.

=> s L4 and quinoxaline

L33           120 L4 AND QUINOXALINE

=> s l12 and l13 and l14 and pyrimidine

MISSING OPERATOR L13 AND L14

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s l12 and l13 and l14 and pyrimidine

L34           0 L12 AND L13 AND L14 AND PYRIMIDINE

=> s l12 and pyrimidine

L35           3 L12 AND PYRIMIDINE

=> s l13 and pyrimidine

L36           7 L13 AND PYRIMIDINE

=> s l14 and pyrimidine

L37           7 L14 AND PYRIMIDINE

=> s l33 and pyrimidine

L38           8 L33 AND PYRIMIDINE

=> d l35 fbibhitstr abs total

'FBIBHITSTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB

ALL ----- BIB, AB, IND, RE

APPS ----- AI, PRAI

BIB ----- AN, plus Bibliographic Data and PI table (default)

CAN ----- List of CA abstract numbers without answer numbers

CBIB ----- AN, plus Compressed Bibliographic Data

DALL ----- ALL, delimited (end of each field identified)

DMAX ----- MAX, delimited for post-processing

FAM ----- AN, PI and PRAI in table, plus Patent Family data

FBIB ----- AN, BIB, plus Patent FAM

IND ----- Indexing data

IPC ----- International Patent Classifications

MAX ----- ALL, plus Patent FAM, RE

PATS ----- PI, SO

SAM ----- CC, SX, TI, ST, IT

SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;  
SCAN must be entered on the same line as the DISPLAY,  
e.g., D SCAN or DISPLAY SCAN)

STD ----- BIB, IPC, and NCL

IABS ----- ABS, indented with text labels

IALL ----- ALL, indented with text labels

IBIB ----- BIB, indented with text labels

IMAX ----- MAX, indented with text labels

ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)

OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations



SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms

HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)  
containing hit terms

HITRN ----- HIT RN and its text modification

HITSTR ----- HIT RN, its text modification, its CA index name, and  
its structure diagram

HITSEQ ----- HIT RN, its text modification, its CA index name, its  
structure diagram, plus NTE and SEQ fields

FHITSTR ----- First HIT RN, its text modification, its CA index name, and  
its structure diagram

FHITSEQ ----- First HIT RN, its text modification, its CA index name, its  
structure diagram, plus NTE and SEQ fields

KWIC ----- Hit term plus 20 words on either side

OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

ENTER DISPLAY FORMAT (BIB):bib

L35 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2002:869496 CAPLUS

DN 137:363033

TI Peptidomimetic modulators of cell adhesion

IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang;  
Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian

PA Can.

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.  
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002168761	A1	20021114	US 2001-769145	20010124
PRAI	US 2000-491078	A2	20000124		
OS	MARPAT 137:363033				

L35 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2001:479145 CAPLUS

DN 135:81810

TI Hair dyeing preparations containing benzofurazan derivs.

IN Moeller, Hinrich; Oberkobusch, Doris; Hoeffkes, Horst

PA Henkel K.-G.a.A., Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19962880	A1	20010628	DE 1999-19962880	19991224
	WO 2001047485	A1	20010705	WO 2000-EP12821	20001215
	W: AU, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
PRAI	DE 1999-19962880	A	19991224		
OS	MARPAT 135:81810				

L35 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2001:63992 CAPLUS

DN 134:116237

TI Preparation of bradykinin B1 receptor antagonists

IN Ohlmeyer, Michael H. J.; Baldwin, John J.; Dolle, Roland E., III; Paradkar, Vidyadhar; Quintero, Jorge Gabriel; Pan, Gonghua

PA Pharmacoepia, Inc., USA

SO PCT Int. Appl., 231 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001005783	A1	20010125	WO 2000-US19185	20000714
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1196411	A1	20020417	EP 2000-950343	20000714
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003505384	T2	20030212	JP 2001-511442	20000714
PRAI	US 1999-143990P	P	19990715		
	WO 2000-US19185	W	20000714		
OS	MARPAT 134:116237				

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=&gt; d 136 fbib hitstr abs total

L36 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029211	A1	20030410	WO 2002-JP10142	20020930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

JP 2001-299687 A 20010928

JP 2002-142329 A 20020517

OS MARPAT 138:304304

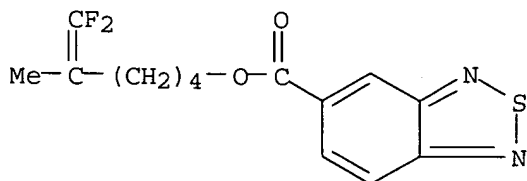
IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

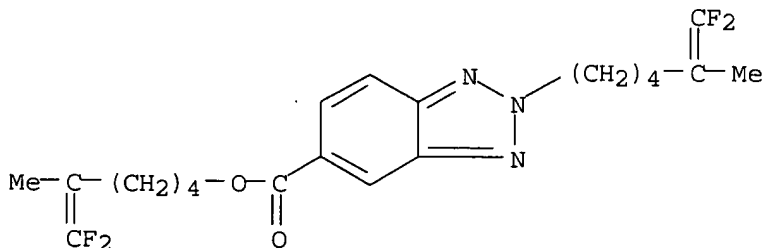
RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



RN 509098-56-0 CAPLUS

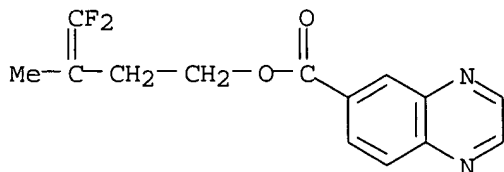
CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI)

(CA INDEX NAME)



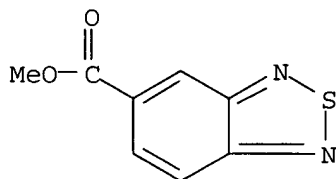
IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)



AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula  $Q-C(:L1)-L2-(CH_2)_n-C(CF_3):CF_2$  or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL  $CH_2Cl_2$ , treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4-carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of *Nilaparvata lugens*.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:964355 CAPLUS

DN 138:55951

TI Preparation of 1-(2,1,3-benzothiadiazolyl)-3-pyridylpropyl-1,8-naphthyridine derivatives as phosphodiesterase (PDE) IV inhibitors

IN Aotsuka, Tomoji; Kumazawa, Kentarou; Wagatsuma, Nagatoshi; Ishitani,

Kouki; Nose, Takashi  
 PA Grelan Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100859	A1	20021219	WO 2002-JP5804	20020611
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				JP 2001-176550 A	20010612

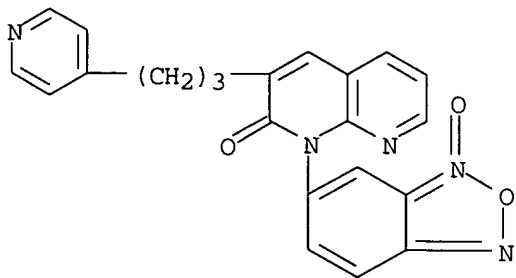
OS MARPAT 138:55951

IT **479073-52-4P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (PDE IV inhibitor; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyr idine derivs. as PDE IV inhibitors)

RN 479073-52-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(3-oxido-2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



IT **479073-27-3P 479073-28-4P 479073-29-5P**

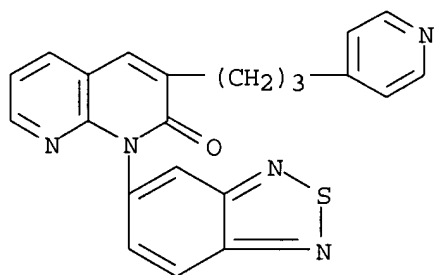
**479073-50-2P 479073-53-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE IV inhibitor; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyr idine derivs. as PDE IV inhibitors)

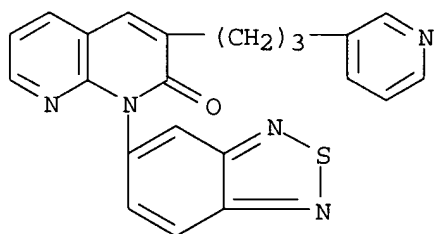
RN 479073-27-3 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



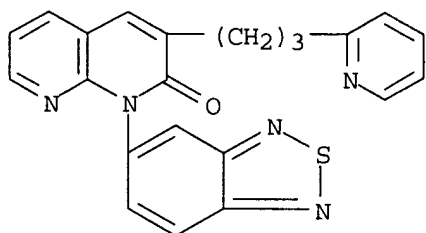
RN 479073-28-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



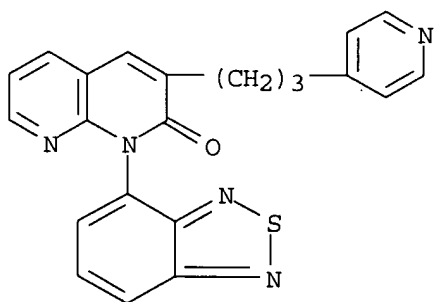
RN 479073-29-5 CAPLUS

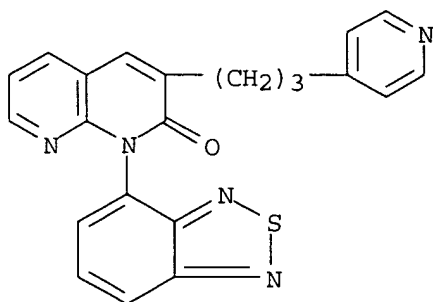
CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(2-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 479073-50-2 CAPLUS

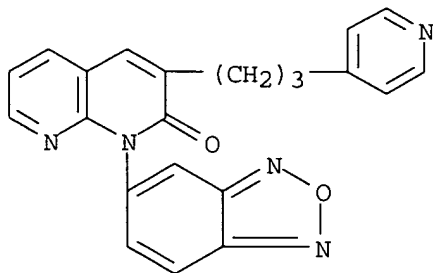
CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-4-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)





RN 479073-53-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



IT 479073-54-6P 479073-55-7P 479073-56-8P

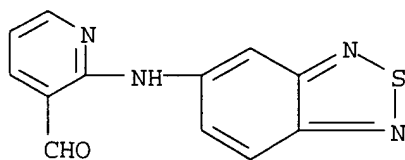
479073-57-9P 479073-58-0P 479073-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyridine derivs. as PDE IV inhibitors)

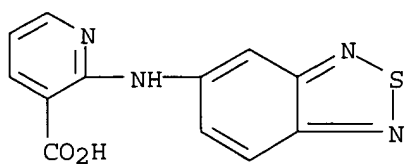
RN 479073-54-6 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)



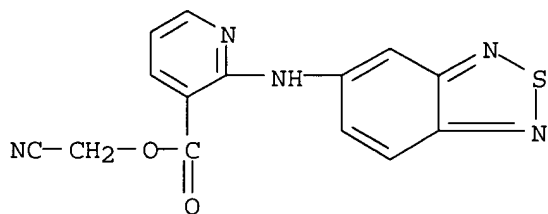
RN 479073-55-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)



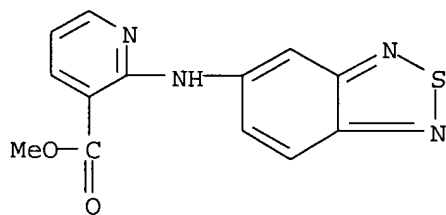
RN 479073-56-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, cyanomethyl ester (9CI) (CA INDEX NAME)



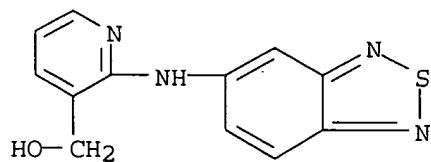
RN 479073-57-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, methyl ester (9CI) (CA INDEX NAME)



RN 479073-58-0 CAPLUS

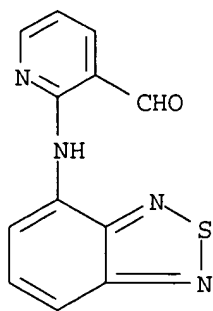
CN 3-Pyridinemethanol, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)



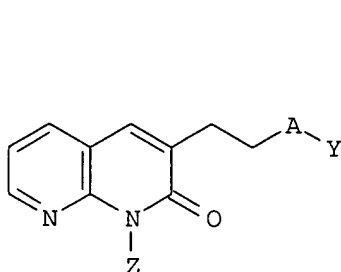
RN 479073-59-1 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-4-ylamino)- (9CI) (CA INDEX NAME)

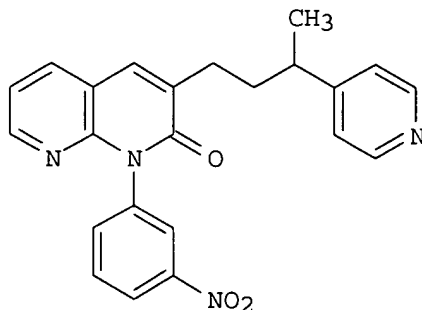




GI



I



II

AB The title compds. I [wherein A = CH<sub>2</sub>, alkyl-CH<sub>2</sub>, CO, HOCH<sub>2</sub>, or alkyl-CO<sub>2</sub>CH<sub>2</sub>; Y = heteroaryl; Z = heteroaryl or (un)substituted Ph] and pharmaceutically acceptable salts thereof are prepd as PDE IV inhibitors for the treatment of asthma. For example, 2-(3-nitrophenylamino)nicotinaldehyde (prepn given) was reacted with Et 5-methyl-5-(pyrid-4-yl)pentanoate (prepn given) in THF in the presence of LDA to afford the naphthyridine II (37%). II showed IC<sub>50</sub> of 0.070 .mu.M against PDE IV and ED<sub>50</sub> of 0.12 mg/kg against asthma in guinea pig.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:790220 CAPLUS

DN 137:294982

TI Preparation of piperazinyipyrazinyl aryloxyalkyl ethers as 5-HT<sub>2C</sub> receptor agonists

IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias

PA Biovitrum AB, Swed.

SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

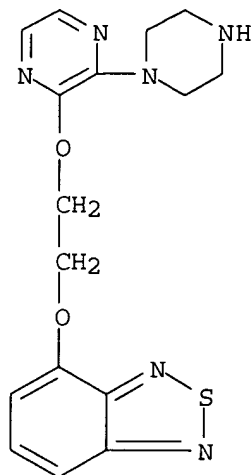
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 6465467	B1	20021015	US 2000-589282	20000608
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				US 2000-573348	B220000519
	US 2003092694	A1	20030515	US 2002-269670	20021011
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				US 2000-573348	B220000519
				US 2000-589282	A320000608

## PATENT FAMILY INFORMATION:

FAN 2000:900625

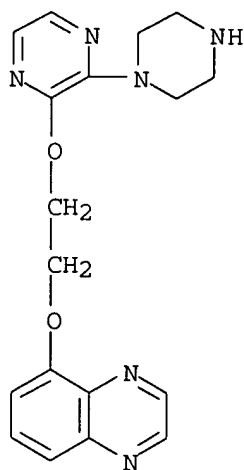
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
	WO 2000076984	A3	20010208		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
	EP 1178973	A2	20020213	EP 2000-931877	20000519
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				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519
	BR 2000010783	A	20020409	BR 2000-10783	20000519
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519
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				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519
	NO 2001005686	A	20020115	NO 2001-5686	20011121
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519
OS	MARPAT 137:294982				
IT	<b>313655-27-5P</b> , 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-2,1,3-benzothiadiazole Dihydrochloride <b>313655-31-1P</b> , 5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]quinoxaline Hydrochloride RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT <sub>2C</sub> receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)				
RN	313655-27-5 CAPLUS				
CN	2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)				



● 2 HCl

RN 313655-31-1 CAPLUS

CN Quinoxaline, 5-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **313655-28-6P**, tert-Butyl 4-[3-[2-(2,1,3-benzothiadiazol-4-yl)oxy]ethoxy]-1-piperazinecarboxylate

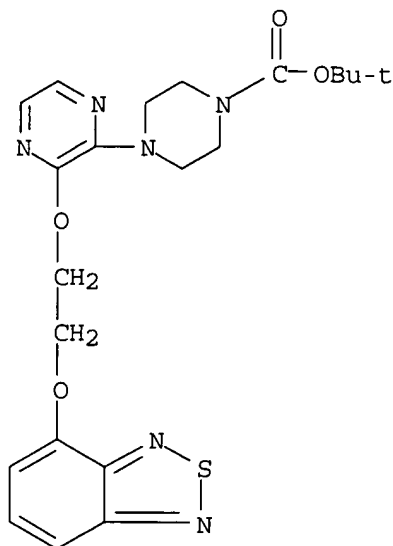
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)

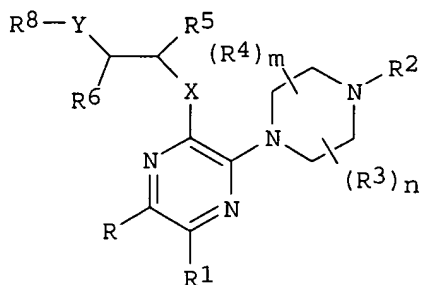
RN 313655-28-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[2-(2,1,3-benzothiadiazol-4-

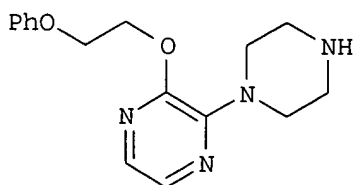
xyloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. (I) [wherein X and Y = independently O, S, or NR<sub>7</sub>; R and R<sub>1</sub> = independently H, alkyl, or halo; or C<sub>2</sub>RR<sub>1</sub> = optionally halo substituted benzene or thiophene; R<sub>2</sub> = H, OH, or alkyl; R<sub>3</sub>, R<sub>4</sub>, and R<sub>5</sub> = independently H or alkyl; R<sub>6</sub> = H or alkyl; or CYR<sub>6</sub>R<sub>8</sub> for a 5-6 membered heterocycle; R<sub>7</sub> = H or alkyl, preferably Me or Et; R<sub>8</sub> = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT<sub>2C</sub> receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K<sub>2</sub>CO<sub>3</sub> in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT<sub>2C</sub> receptor protein with K<sub>i</sub> values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds.

I exhibited agonist efficacy at the 5-HT<sub>2C</sub> receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2001:78009 CAPLUS

DN 134:115954

TI Preparation of N-pyrazolylsulfonamides and their use as endothelin antagonists

IN Banks, Bernard Joseph; Chubb, Nathan Anthony Logan; Eshelby, James John; Schulz, Darren John

PA Pfizer Ltd., UK; Pfizer Inc.

SO Eur. Pat. Appl., 131 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1072597	A1	20010131	EP 2000-306475	20000728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000003233	A	20010313	GB 1999-17858	A 19990729
			GB 2000-13368	A 20000531
			BR 2000-3233	20000731
			GB 1999-17858	A 19990729
			GB 2000-13368	A 20000531
JP 2001064262	A2	20010313	JP 2000-231611	20000731
			GB 1999-17858	A 19990729
			GB 2000-13368	A 20000531
JP 2002034585	A2	20020205	JP 2001-151888	20010522
			GB 2000-13368	A 20000531
EP 1160331	A1	20011205	EP 2001-304646	20010525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002012977	A1	20020131	GB 2000-13368	A 20000531
			US 2001-867347	20010529
			GB 2000-13368	A 20000531
			US 2000-220285PP	20000724
BR 2001002165	A	20020213	BR 2001-2165	20010529
			GB 2000-13368	A 20000531
US 2002019408	A1	20020214	US 2001-867488	20010530
US 6387915	B2	20020514		
			GB 2000-13368	A 20000531
			US 2000-220285PP	20000724
			GB 2000-18356	A 20000726
			US 2000-230112PP	20000905

PATENT FAMILY INFORMATION:

FAN 2001:885416

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 1160248	A1	20011205	EP 2001-304626	20010525
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				GB 2000-13368	A 20000531
				GB 2000-18356	A 20000726
	JP 2002034585	A2	20020205	JP 2001-151888	20010522
				GB 2000-13368	A 20000531
	EP 1160331	A1	20011205	EP 2001-304646	20010525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				GB 2000-13368	A 20000531
	JP 2002020385	A2	20020123	JP 2001-158190	20010528
				GB 2000-13368	A 20000531
				GB 2000-18356	A 20000726
	BR 2001002150	A	20020312	BR 2001-2150	20010528
				GB 2000-13368	A 20000531
				GB 2000-18356	A 20000726
	US 2002012977	A1	20020131	US 2001-867347	20010529
				GB 2000-13368	A 20000531
				US 2000-220285PP	20000724
	BR 2001002165	A	20020213	BR 2001-2165	20010529
				GB 2000-13368	A 20000531
	US 2002019408	A1	20020214	US 2001-867488	20010530
	US 6387915	B2	20020514		
				GB 2000-13368	A 20000531
				US 2000-220285PP	20000724
				GB 2000-18356	A 20000726
				US 2000-230112PP	20000905

OS MARPAT 134:115954

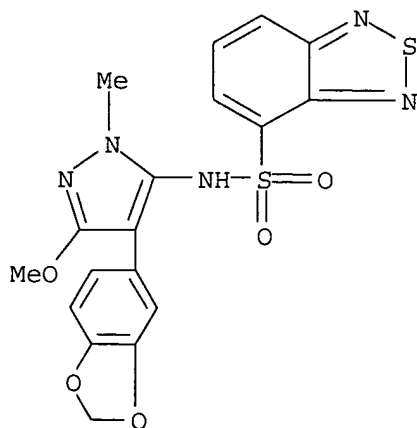
IT **321565-64-4P**, N-[4-(1,3-Benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]-2,1,3-benzothiadiazole-4-sulfonamide

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazoles and use as endothelin antagonists)

RN 321565-64-4 CAPLUS

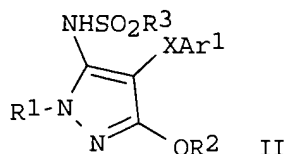
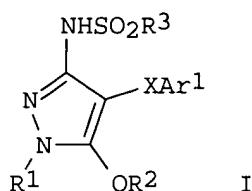
CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(1,3-benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



GI

Patel

&lt;5/18/2003&gt;



AB I and II, wherein R1, R2, R3, Ar1 and X are as defined below, pharmaceutically acceptable derivs. thereof, and their uses as endothelin antagonists are claimed. R1 = H, C1-6 alkyl (optionally substituted by .gtoreq.1 halo, OR4 or NR4R5 groups), C2-6 alkenyl (optionally substituted by .gtoreq.1 halo groups), C2-6 alkynyl (optionally substituted by .gtoreq.1 halo groups), C(O)R4, CO2R4, CH2aryl4, CONR4R5, aryl or het1. R2 = C1-6 alkyl, cyclopropylmethyl, or CH2CH2OG (G = H, C1-6 alkyl (optionally substituted by a C3-6 cycloalkyl group), C(O)R4, CONHAr or Ar2). R4 and R5 = independently H or C1-6 alkyl optionally substituted by .gtoreq.1 halo groups. X = direct link, O, S, SO, SO2, CO or CH2. R3 = (a) C1-6 arom. hydrocarbon group; or (b) an optionally benzofused 5- or 6-membered heterocyclic group with one to three heteroatoms in the heterocyclic ring, which heteroatoms are independently N, O and S; or (c) CH2CH2Ph, CH:CHPh; or (d) C1-6 alkyl, optionally substituted by 1-4 substituents halo, C1-6 alkoxy, CO2R4, OC(O)R4 and NR4R5; each of which groups (a), (b) and (c) is optionally substituted by up to four substituents = independently (i) C1-6 alkyl, optionally substituted by 1-4 substituents selected from: halo, OR4, CO2R4, OC(O)R4 and NR4R5; (ii) C1-6 alkoxy; (iii) CO2R4 and OC(O)R4; (iv) halo; (v) NO2; (vi) CN; (vii) NR4R5; (viii) C1-3 alkylenedioxy; (ix) OH; (x) alkoxycarbonyl. Ar1 and Ar2 = independently aryl5 or het1. Aryl4 = Ph or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF3O, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2. Aryl5 = Ph, 1,3-benzodioxyl or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, OCF3, OH, NO2, CN, NR4R5, C(O)R4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR3, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2. Het1 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms = independently N, O and S, which heterocyclic ring is optionally benzofused, which group may be fully satd. or partially or fully unsatd., and which is optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF3O, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2, and, when present in the G moiety, is linked to the O atom to which it is joined to the remainder of the compd. I or II via a C atom in said het1 group. Het2 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms are independently selected from N, O and S, which group may be fully satd. or partially or fully unsatd. P = 0, 1 or 2. The claimed compds. are claimed to be useful (no quant. data given) in the prepn. of a medicament for the treatment of restenosis, acute and chronic renal failure, systemic and pulmonary hypertension;

benign prostatic hyperplasia, male erectile dysfunction, prostate cancer, metastatic bone cancer, congestive heart failure, stroke, subarachnoid hemorrhage, angina, atherosclerosis, cerebral and cardiac ischemia, prevention of ischemia/reperfusion injury (e.g. allografts), cyclosporin induced nephrotoxicity, glaucoma, radiocontrast nephropathy, diabetic neuropathy, allergy, restoration of organ perfusion in hemorrhagic shock, lipoprotein lipase related disorders, chronic obstructive pulmonary disease and hyaline membrane disease in newborn. More than 100 prepn. of the claimed compds. are described but the methods of prepn. are not claimed.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1999:375544 CAPLUS

DN 131:19000

TI Preparation of phenyloxazolidinones as bactericides

IN Betts, Michael John; Swain, Michael Lingard

PA Zeneca Limited, UK

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

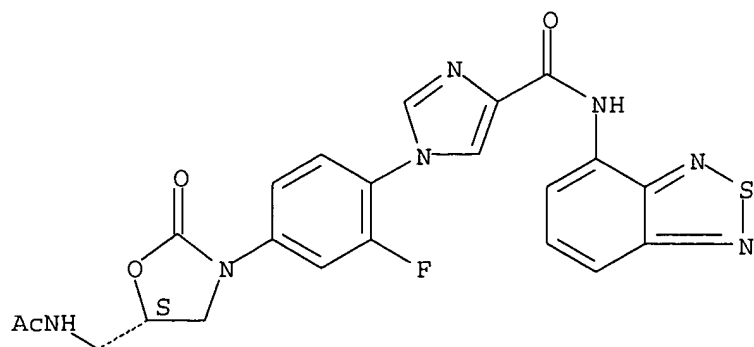
LA English

FAN.CNT 1

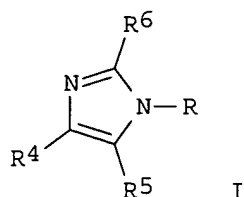
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9928317	A1	19990610	WO 1998-GB3496	19981124
	W: JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1997-25244 A	19971129
EP	1034175	A1	20000913	EP 1998-955759	19981124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				GB 1997-25244 A	19971129
				WO 1998-GB3496 W	19981124
JP	2001525320	T2	20011211	JP 2000-523209	19981124
				GB 1997-25244 A	19971129
				WO 1998-GB3496 W	19981124
US	6495551	B1	20021217	US 2000-555203	20000525
				GB 1997-25244 A	19971129
				WO 1998-GB3496 W	19981124
OS	MARPAT 131:19000				
IT	<b>226385-08-6P</b>				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of phenyloxazolidinones as bactericides)				
RN	226385-08-6 CAPLUS				
CN	1H-Imidazole-4-carboxamide, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-N-2,1,3-benzothiadiazol-4-yl- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.





GI



I

AB Title compds. [I; R = Z1ZCH2R1; R1 = Cl, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5,R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxooxazolidine-3,5-diyl throughout; Z1 = (2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; m = 0-3] were prepd. Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene) (II; R3 = NHC02CH2Ph, R7 = Me3CMe2SiO) (prepn. given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4 steps to (R)-II (R3 = ZCH2NHAc) (III; R7 = OH) which was thioetherified by **pyrimidine**-2-thiol to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of 1 prepd. I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:711261 CAPLUS

DN 126:47192

TI Ambident reactivity of nitro heteroaromatic anions

AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan

SO Tetrahedron Letters (1996), 37(46), 8391-8394

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

OS CASREACT 126:47192

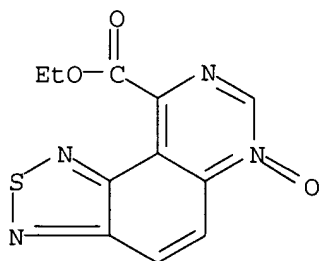
IT **180723-45-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)

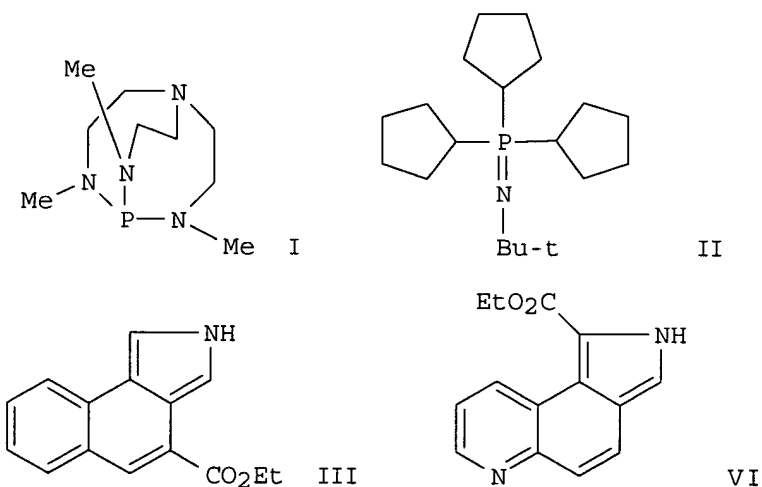
(reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)



GI



AB The reaction of nitro heteroarom. compds. such as quinoxalines, **benzothiadiazoles** and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding **pyrimidine** N-oxides, while, in contrast, use of a proazaphosphatane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidene]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

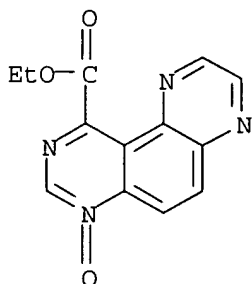
L36 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:387378 CAPLUS

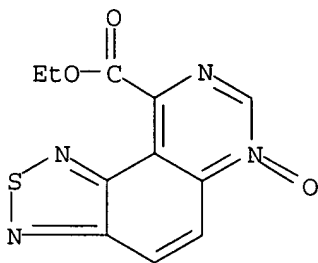
DN 125:195457

TI A new facet of the reaction of nitro heteroaromatic compounds with ethyl isocyanoacetate

AU Murashima, Takashi; Fujita, Ken-ichi; Ono, Kazuo; Ogawa, Takuji; Uno, Hidemitsu; Ono, Noboru  
 CS Dep. Chem., Fac. Sci., Ehime Univ., Matsuyama, 790, Japan  
 SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (12), 1403-1407  
 CODEN: JCPRB4; ISSN: 0300-922X  
 PB Royal Society of Chemistry  
 DT Journal  
 LA English  
 IT **180723-41-5P 180723-45-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of fused pyrrole and **pyrimidine** derivs. by  
 cyclocondensation of isocyanoacetate with nitro heteroarom. compds.)  
 RN 180723-41-5 CAPLUS  
 CN Pyrazino[2,3-f]quinazoline-10-carboxylic acid, ethyl ester, 7-oxide (9CI)  
 (CA INDEX NAME)



RN 180723-45-9 CAPLUS  
 CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)



AB Nitro heteroarenes react with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5.4.0]undecene (DBU) to give pyrroles or **pyrimidine** N-oxides depending on the structure of the starting nitro compds. For example, 4-nitro-2,1,3-**benzothiadiazole** reacted with Et isocyanoacetate to give Et 2,1,3-benzothiadiazolo[3,4-c]pyrrole-2-carboxylate (33%), while a similar reaction with 5-nitro-2,1,3-**benzothiadiazole** gave the corresponding compd., Et pyrimido[5,4-e][2,1,3]**benzothiadiazole**-9-carboxylate (21%), as a sole product. A plausible mechanism for these reactions is presented.

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## MISSING OPERATOR L36 FBIB

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d l36 fbib hitstr abs total

L36 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029211	A1	20030410	WO 2002-JP10142	20020930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

JP 2001-299687 A 20010928

JP 2002-142329 A 20020517

OS MARPAT 138:304304

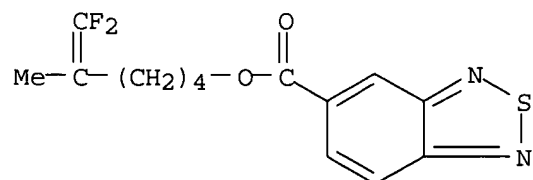
IT **509098-35-5P 509098-56-0P 509100-31-6P**

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

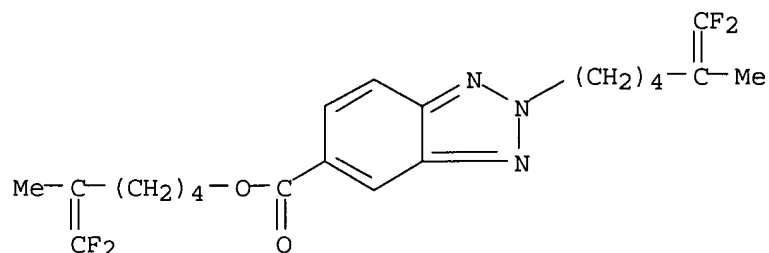
RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



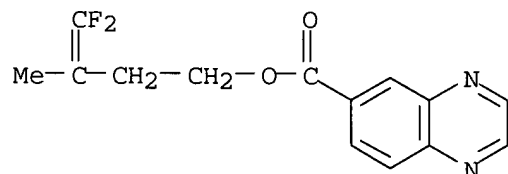
RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)



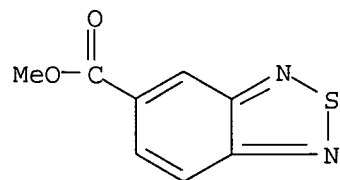
IT **175204-21-4**

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)



AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula  $Q-C(:L1)-L2-(CH_2)_n-C(CF_3):CF_2$  or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus,

4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL CH<sub>2</sub>Cl<sub>2</sub>, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4-carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of *Nilaparvata lugens*.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:964355 CAPLUS

DN 138:55951

TI Preparation of 1-(2,1,3-benzothiadiazolyl)-3-pyridylpropyl-1,8-naphthylidine derivatives as phosphodiesterase (PDE) IV inhibitors

IN Aotsuka, Tomoji; Kumazawa, Kentarou; Wagatsuma, Nagatoshi; Ishitani, Kouki; Nose, Takashi

PA Grelan Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100859	A1	20021219	WO 2002-JP5804	20020611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2001-176550 A 20010612				

OS MARPAT 138:55951

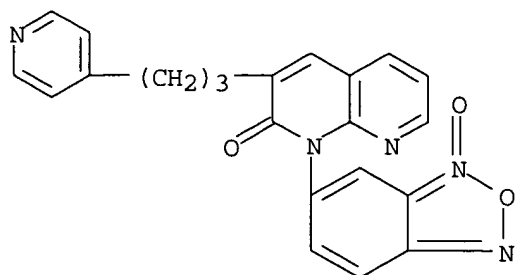
IT **479073-52-4P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(PDE IV inhibitor; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthylidine derivs. as PDE IV inhibitors)

RN 479073-52-4 CAPLUS

CN 1,8-Naphthylidin-2(1H)-one, 1-(3-oxido-2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



IT 479073-27-3P 479073-28-4P 479073-29-5P

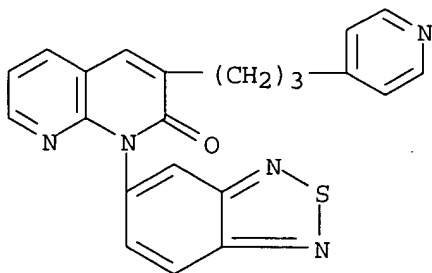
479073-50-2P 479073-53-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE IV inhibitor; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyridine derivs. as PDE IV inhibitors)

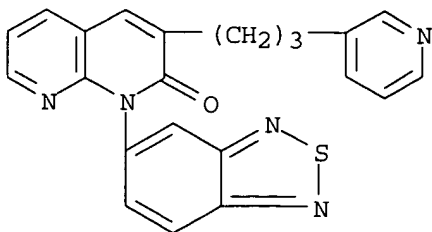
RN 479073-27-3 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



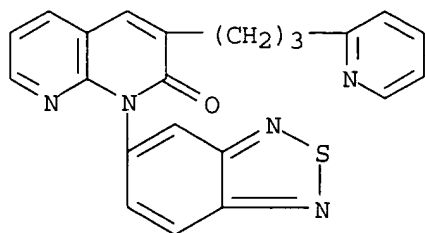
RN 479073-28-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



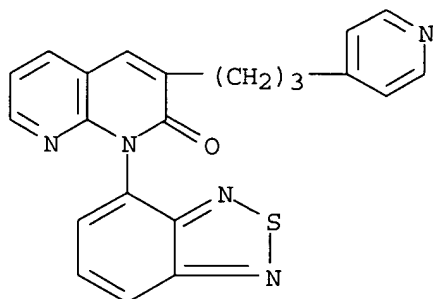
RN 479073-29-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(2-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



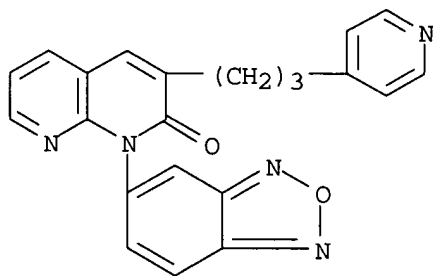
RN 479073-50-2 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-4-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



RN 479073-53-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)



IT 479073-54-6P 479073-55-7P 479073-56-8P

479073-57-9P 479073-58-0P 479073-59-1P

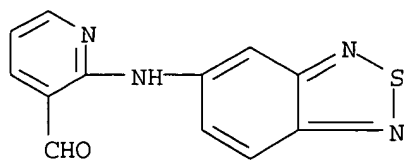
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyridine derivs. as PDE IV inhibitors)

RN 479073-54-6 CAPLUS

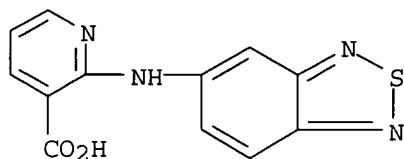
CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)





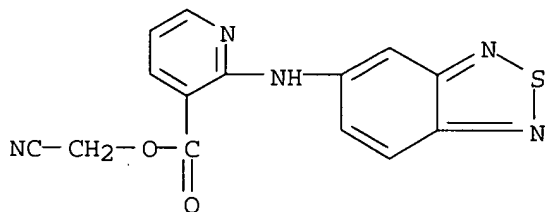
RN 479073-55-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)



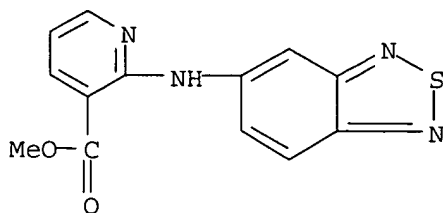
RN 479073-56-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, cyanomethyl ester (9CI) (CA INDEX NAME)



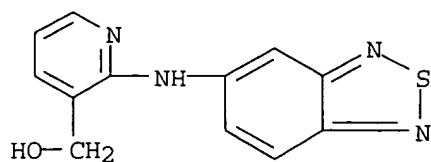
RN 479073-57-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, methyl ester (9CI) (CA INDEX NAME)



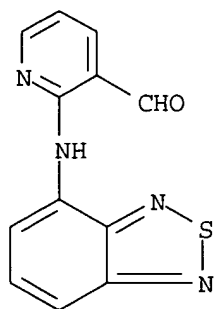
RN 479073-58-0 CAPLUS

CN 3-Pyridinemethanol, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

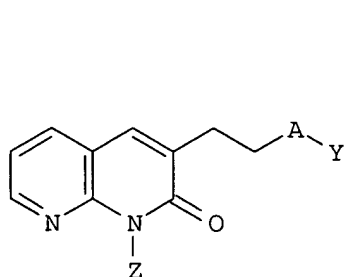


RN 479073-59-1 CAPLUS

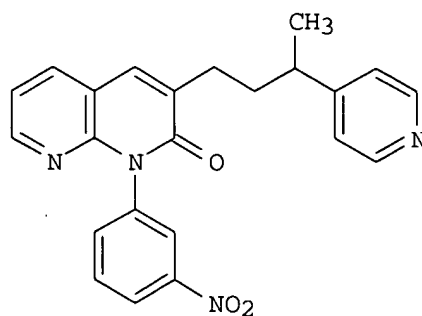
CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-4-ylamino)- (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. I [wherein A = CH<sub>2</sub>, alkyl-CH<sub>2</sub>, CO, HOCH<sub>2</sub>, or alkyl-CO<sub>2</sub>CH<sub>2</sub>; Y = heteroaryl; Z = heteroaryl or (un)substituted Ph] and pharmaceutically acceptable salts thereof are prepd as PDE IV inhibitors for the treatment of asthma. For example, 2-(3-nitrophenylamino)nicotinaldehyde (prepn given) was reacted with Et 5-methyl-5-(pyrid-4-yl)pentanoate (prepn given) in THF in the presence of LDA to afford the naphthyridine II (37%). II showed IC<sub>50</sub> of 0.070 .mu.M against PDE IV and ED<sub>50</sub> of 0.12 mg/kg against asthma in guinea pig.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:790220 CAPLUS

Patel

<5/18/2003>

DN 137:294982  
 TI Preparation of piperazinyldiprazinyl aryloxyalkyl ethers as 5-HT<sub>2C</sub> receptor agonists  
 IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias  
 PA Biovitrum AB, Swed.  
 SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6465467	B1	20021015	US 2000-589282	20000608
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
				US 2000-573348 B2	20000519
	US 2003092694	A1	20030515	US 2002-269670	20021011
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
				US 2000-573348 B2	20000519
				US 2000-589282 A3	20000608

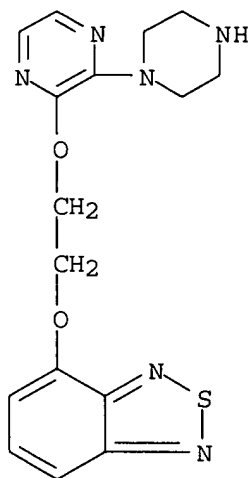
## PATENT FAMILY INFORMATION:

FAN 2000:900625

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
	WO 2000076984	A3	20010208		
	W:				
	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
	EP 1178973	A2	20020213	EP 2000-931877	20000519
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519
	BR 2000010783	A	20020409	BR 2000-10783	20000519
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519
	JP 2003502317	T2	20030121	JP 2001-503842	20000519
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519
	NO 2001005686	A	20020115	NO 2001-5686	20011121
				SE 1999-1884 A	19990521
				US 1999-137527PP	19990603
				WO 2000-SE1017 W	20000519

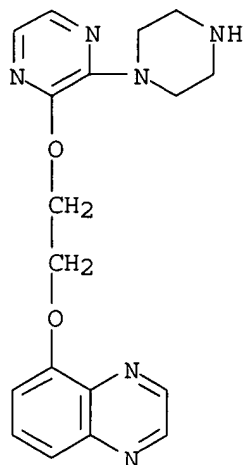
OS MARPAT 137:294982

IT **313655-27-5P**, 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-  
 2,1,3-**benzothiadiazole** Dihydrochloride **313655-31-1P**,  
 5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]quinoxaline Hydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT<sub>2C</sub> receptor  
 agonists from aryloxyalkanols, halopyrazines, and heterocycles)  
 RN 313655-27-5 CAPLUS  
 CN 2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)



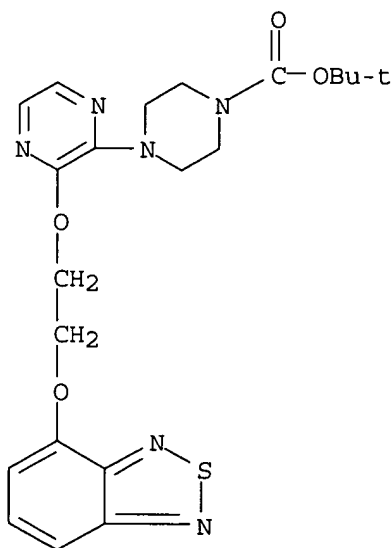
● 2 HCl

RN 313655-31-1 CAPLUS  
 CN Quinoxaline, 5-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-,  
 monohydrochloride (9CI) (CA INDEX NAME)

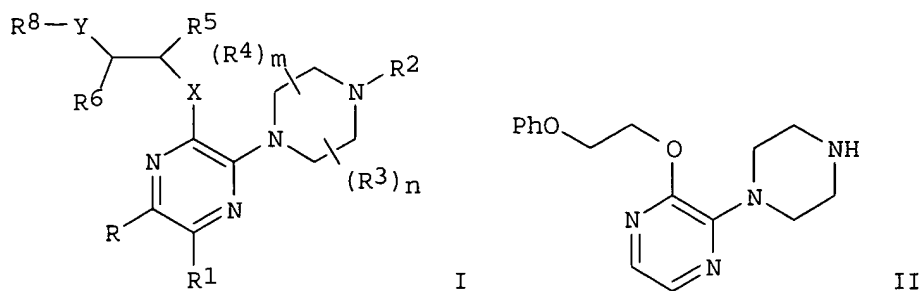


● HCl

IT **313655-28-6P**, tert-Butyl 4-[3-[2-(2,1,3-benzothiadiazol-4-yloxy)ethoxy]-2-pyrazinyl]-1-piperazinecarboxylate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)  
 RN 313655-28-6 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[3-[2-(2,1,3-benzothiadiazol-4-yloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI



AB The title compds. (I) [wherein X and Y = independently O, S, or NR<sub>7</sub>; R and R<sub>1</sub> = independently H, alkyl, or halo; or C<sub>2</sub>RR<sub>1</sub> = optionally halo substituted benzene or thiophene; R<sub>2</sub> = H, OH, or alkyl; R<sub>3</sub>, R<sub>4</sub>, and R<sub>5</sub> = independently H or alkyl; R<sub>6</sub> = H or alkyl; or C<sub>YR6R8</sub> for a 5-6 membered heterocycle; R<sub>7</sub> = H or alkyl, preferably Me or Et; R<sub>8</sub> = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT<sub>2C</sub> receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K<sub>2</sub>CO<sub>3</sub> in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT<sub>2C</sub> receptor protein with K<sub>i</sub> values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds. I exhibited agonist efficacy at the 5-HT<sub>2C</sub> receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2001:78009 CAPLUS

DN 134:115954

TI Preparation of N-pyrazolylsulfonamides and their use as endothelin antagonists

IN Banks, Bernard Joseph; Chubb, Nathan Anthony Logan; Eshelby, James John; Schulz, Darren John

PA Pfizer Ltd., UK; Pfizer Inc.

SO Eur. Pat. Appl., 131 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1072597	A1	20010131	EP 2000-306475	20000728

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

BR 2000003233	A	20010313	GB 1999-17858 A 19990729
			GB 2000-13368 A 20000531
			BR 2000-3233 20000731
			GB 1999-17858 A 19990729
			GB 2000-13368 A 20000531
JP 2001064262	A2	20010313	JP 2000-231611 20000731
			GB 1999-17858 A 19990729
			GB 2000-13368 A 20000531
JP 2002034585	A2	20020205	JP 2001-151888 20010522
			GB 2000-13368 A 20000531
EP 1160331	A1	20011205	EP 2001-304646 20010525
			R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
			GB 2000-13368 A 20000531
US 2002012977	A1	20020131	US 2001-867347 20010529
			GB 2000-13368 A 20000531
			US 2000-220285PP 20000724
BR 2001002165	A	20020213	BR 2001-2165 20010529
			GB 2000-13368 A 20000531
US 2002019408	A1	20020214	US 2001-867488 20010530
US 6387915	B2	20020514	
			GB 2000-13368 A 20000531
			US 2000-220285PP 20000724
			GB 2000-18356 A 20000726
			US 2000-230112PP 20000905

## PATENT FAMILY INFORMATION:

FAN 2001:885416

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 1160248	A1	20011205	EP 2001-304626	20010525
					R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
				GB 2000-13368 A 20000531	
				GB 2000-18356 A 20000726	
	JP 2002034585	A2	20020205	JP 2001-151888	20010522
				GB 2000-13368 A 20000531	
	EP 1160331	A1	20011205	EP 2001-304646	20010525
					R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
				GB 2000-13368 A 20000531	
	JP 2002020385	A2	20020123	JP 2001-158190	20010528
				GB 2000-13368 A 20000531	
				GB 2000-18356 A 20000726	
	BR 2001002150	A	20020312	BR 2001-2150	20010528
				GB 2000-13368 A 20000531	
				GB 2000-18356 A 20000726	
	US 2002012977	A1	20020131	US 2001-867347	20010529
				GB 2000-13368 A 20000531	
				US 2000-220285PP	20000724
	BR 2001002165	A	20020213	BR 2001-2165	20010529
				GB 2000-13368 A 20000531	
	US 2002019408	A1	20020214	US 2001-867488	20010530
	US 6387915	B2	20020514		
				GB 2000-13368 A 20000531	
				US 2000-220285PP	20000724
				GB 2000-18356 A 20000726	

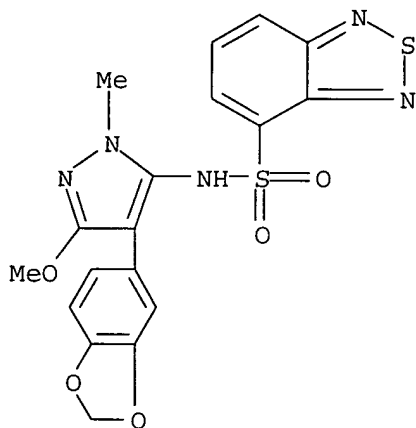
US 2000-230112PP 20000905

OS MARPAT 134:115954

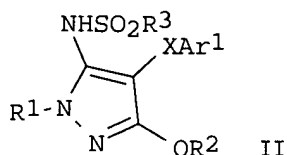
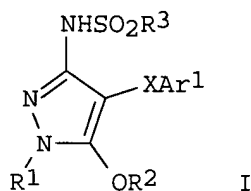
IT **321565-64-4P**, N-[4-(1,3-Benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]-2,1,3-benzothiadiazole-4-sulfonamide  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of pyrazoles and use as endothelin antagonists)

RN 321565-64-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(1,3-benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



GI



AB I and II, wherein R1, R2, R3, Ar1 and X are as defined below, pharmaceutically acceptable derivs. thereof, and their uses as endothelin antagonists are claimed. R1 = H, C1-6 alkyl (optionally substituted by .gtoreq.1 halo, OR4 or NR4R5 groups), C2-6 alkenyl (optionally substituted by .gtoreq.1 halo groups), C2-6 alkynyl (optionally substituted by .gtoreq.1 halo groups), C(O)R4, CO2R4, CH2aryl4, CONR4R5, aryl or het1. R2 = C1-6 alkyl, cyclopropylmethyl, or CH2CH2OG (G = H, C1-6 alkyl (optionally substituted by a C3-6 cycloalkyl group), C(O)R4, CONHAr or Ar2). R4 and R5 = independently H or C1-6 alkyl optionally substituted by .gtoreq.1 halo groups. X = direct link, O, S, SO, SO2, CO or CH2. R3 = (a) C1-6 arom. hydrocarbon group; or (b) an optionally benzofused 5- or 6-membered heterocyclic group with one to three heteroatoms in the heterocyclic ring, which heteroatoms are independently N, O and S; or (c) CH2CH2Ph, CH:CHPh; or (d) C1-6 alkyl, optionally substituted by 1-4



substituents halo, C1-6 alkoxy, CO<sub>2</sub>R<sub>4</sub>, OC(O)R<sub>4</sub> and NR<sub>4</sub>R<sub>5</sub>; each of which groups (a), (b) and (c) is optionally substituted by up to four substituents = independently (i) C1-6 alkyl, optionally substituted by 1-4 substituents selected from: halo, OR<sub>4</sub>, CO<sub>2</sub>R<sub>4</sub>, OC(O)R<sub>4</sub> and NR<sub>4</sub>R<sub>5</sub>; (ii) C1-6 alkoxy; (iii) CO<sub>2</sub>R<sub>4</sub> and OC(O)R<sub>4</sub>; (iv) halo; (v) NO<sub>2</sub>; (vi) CN; (vii) NR<sub>4</sub>R<sub>5</sub>; (viii) C1-3 alkylenedioxy; (ix) OH; (x) alkoxycarbonyl. Ar<sub>1</sub> and Ar<sub>2</sub> = independently aryl<sub>5</sub> or het<sub>1</sub>. Aryl<sub>4</sub> = Ph or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF<sub>3</sub>, halogen, C1-3 alkoxy, CF<sub>3</sub>O, OH, NO<sub>2</sub>, CN, NR<sub>4</sub>R<sub>5</sub>, COR<sub>4</sub>, CO<sub>2</sub>R<sub>4</sub>, CONR<sub>4</sub>R<sub>5</sub>, S(O)p(C1-3 alkyl), CH<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>, NR<sub>4</sub>COR<sub>5</sub>, COCF<sub>3</sub>, CH<sub>2</sub>OH, S(O)pCF<sub>3</sub>, C(:NH)NH<sub>2</sub>. Aryl<sub>5</sub> = Ph, 1,3-benzodioxyl or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF<sub>3</sub>, halogen, C1-3 alkoxy, OCF<sub>3</sub>, OH, NO<sub>2</sub>, CN, NR<sub>4</sub>R<sub>5</sub>, C(O)R<sub>4</sub>, CO<sub>2</sub>R<sub>4</sub>, CONR<sub>4</sub>R<sub>5</sub>, S(O)p(C1-3 alkyl), CH<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>, NR<sub>4</sub>COR<sub>3</sub>, COCF<sub>3</sub>, CH<sub>2</sub>OH, S(O)pCF<sub>3</sub>, C(:NH)NH<sub>2</sub>, C2-3 alkynyl, C2-3 alkenyl, Ph and het<sub>2</sub>. Het<sub>1</sub> = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms = independently N, O and S, which heterocyclic ring is optionally benzofused, which group may be fully satd. or partially or fully unsatd., and which is optionally substituted by up to three substituents = independently C1-3 alkyl, CF<sub>3</sub>, halogen, C1-3 alkoxy, CF<sub>3</sub>O, OH, NO<sub>2</sub>, CN, NR<sub>4</sub>R<sub>5</sub>, COR<sub>4</sub>, CO<sub>2</sub>R<sub>4</sub>, CONR<sub>4</sub>R<sub>5</sub>, S(O)p(C1-3 alkyl), CH<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>, NR<sub>4</sub>COR<sub>5</sub>, COCF<sub>3</sub>, CH<sub>2</sub>OH, S(O)pCF<sub>3</sub>, C(:NH)NH<sub>2</sub>, C2-3 alkynyl, C2-3 alkenyl, Ph and het<sub>2</sub>, and, when present in the G moiety, is linked to the Oatom to which it is joined to the remainder of the compd. I or II via a C atom in said het<sub>1</sub> group. Het<sub>2</sub> = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms are independently selected from N, O and S, which group may be fully satd. or partially or fully unsatd. P = 0, 1 or 2. The claimed compds. are claimed to be useful (no quant. data given) in the prepn. of a medicament for the treatment of restenosis, acute and chronic renal failure, systemic and pulmonary hypertension; benign prostatic hyperplasia, male erectile dysfunction, prostate cancer, metastatic bone cancer, congestive heart failure, stroke, subarachnoid hemorrhage, angina, atherosclerosis, cerebral and cardiac ischemia, prevention of ischemia/reperfusion injury (e.g. allografts), cyclosporin induced nephrotoxicity, glaucoma, radiocontrast nephropathy, diabetic neuropathy, allergy, restoration of organ perfusion in hemorrhagic shock, lipoprotein lipase related disorders, chronic obstructive pulmonary disease and hyaline membrane disease in newborn. More than 100 prepn. of the claimed compds. are described but the methods of prepn. are not claimed.

RE.CNT 4      THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS  
AN 1999:375544 CAPLUS  
DN 131:19000  
TI Preparation of phenyloxazolidinones as bactericides  
IN Betts, Michael John; Swain, Michael Lingard  
PA Zeneca Limited, UK  
SO PCT Int. Appl., 79 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9928317	A1	19990610	WO 1998-GB3496	19981124
	W: JP, US				

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

EP 1034175 A1 20000913 GB 1997-25244 A 19971129  
 EP 1998-955759 19981124  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2001525320 T2 20011211 GB 1997-25244 A 19971129  
 WO 1998-GB3496 W 19981124  
 JP 2000-523209 19981124  
 GB 1997-25244 A 19971129  
 WO 1998-GB3496 W 19981124  
 US 6495551 B1 20021217 US 2000-555203 20000525  
 GB 1997-25244 A 19971129  
 WO 1998-GB3496 W 19981124

OS MARPAT 131:19000

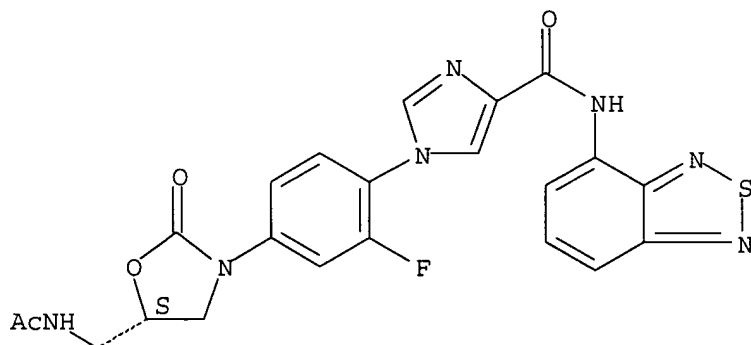
IT **226385-08-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of phenyloxazolidinones as bactericides)

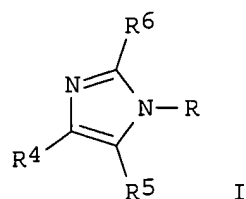
RN 226385-08-6 CAPLUS

CN 1H-Imidazole-4-carboxamide, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-N-2,1,3-benzothiadiazol-4-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

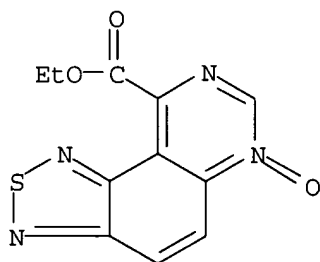


AB Title compds. [I; R = Z1ZCH2R1; R1 = Cl, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5,R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxooxazolidine-3,5-diyl throughout; Z1 =

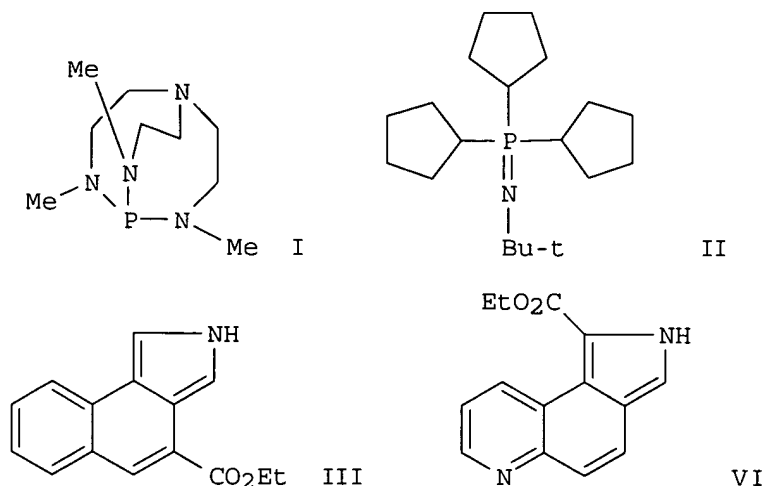
(2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; m = 0-3] were prepd. Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene) (II; R3 = NHCO2CH2Ph, R7 = Me3CMe2SiO) (prepn. given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4 steps to (R)-II (R3 = ZCH2NHAc) (III; R7 = OH) which was thioetherified by **pyrimidine-2-thiol** to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of 1 prepd. I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS  
AN 1996:711261 CAPLUS  
DN 126:47192  
TI Ambident reactivity of nitro heteroaromatic anions  
AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru  
CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan  
SO Tetrahedron Letters (1996), 37(46), 8391-8394  
CODEN: TELEAY; ISSN: 0040-4039  
PB Elsevier  
DT Journal  
LA English  
OS CASREACT 126:47192  
IT **180723-45-9P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(reaction of nitroarenes with base and Et isocyanoacetate)  
RN 180723-45-9 CAPLUS  
CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)



GI



AB The reaction of nitro heteroarom. compds. such as quinoxalines, **benzothiadiazoles** and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding **pyrimidine** N-oxides, while, in contrast, use of a proazaphosphatane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidene]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

L36 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:387378 CAPLUS

DN 125:195457

TI A new facet of the reaction of nitro heteroaromatic compounds with ethyl isocyanoacetate

AU Murashima, Takashi; Fujita, Ken-ichi; Ono, Kazuo; Ogawa, Takuji; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Fac. Sci., Ehime Univ., Matsuyama, 790, Japan

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (12), 1403-1407

CODEN: JCPRB4; ISSN: 0300-922X

PB Royal Society of Chemistry

DT Journal

LA English

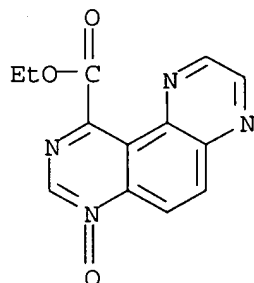
IT **180723-41-5P 180723-45-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of fused pyrrole and **pyrimidine** derivs. by cyclocondensation of isocyanoacetate with nitro heteroarom. compds.)

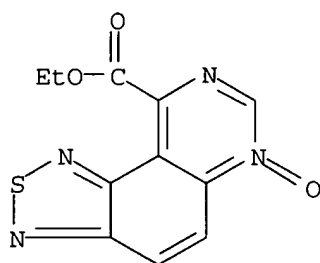
RN 180723-41-5 CAPLUS

CN Pyrazino[2,3-f]quinazoline-10-carboxylic acid, ethyl ester, 7-oxide (9CI) (CA INDEX NAME)



RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)



AB Nitro heteroarenes react with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5.4.0]undecene (DBU) to give pyrroles or **pyrimidine** N-oxides depending on the structure of the starting nitro compds. For example, 4-nitro-2,1,3-**benzothiadiazole** reacted with Et isocyanoacetate to give Et 2,1,3-benzothiadiazolo[3,4-c]pyrrole-2-carboxylate (33%), while a similar reaction with 5-nitro-2,1,3-**benzothiadiazole** gave the corresponding compd., Et pyrimido[5,4-e][2,1,3]**benzothiadiazole**-9-carboxylate (21%), as a sole product. A plausible mechanism for these reactions is presented.

=> d 137 fbib hitstr abs total

L37 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029211	A1	20030410	WO 2002-JP10142	20020930

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2001-299687 A 20010928

JP 2002-142329 A 20020517

OS MARPAT 138:304304

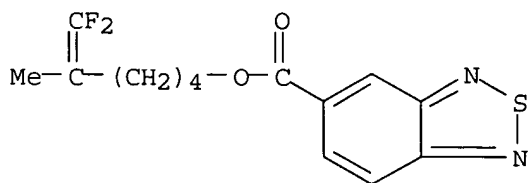
IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

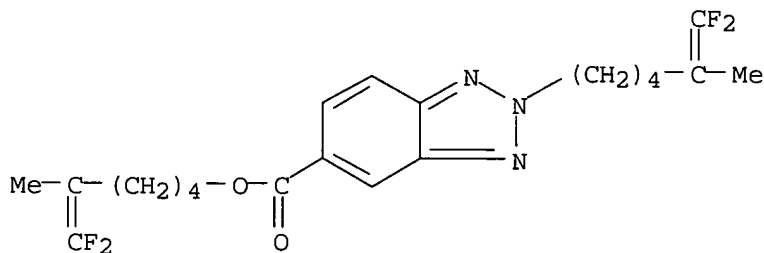
RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



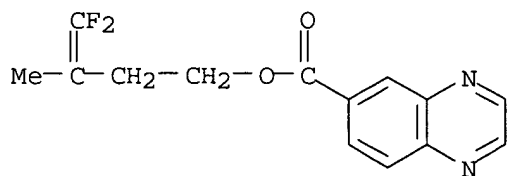
RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)

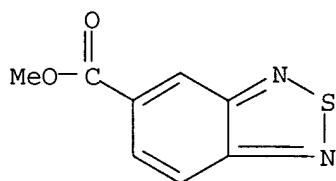
IT **175204-21-4**

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)



AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula  $Q-C(:L1)-L2-(CH_2)_n-C(CF_3):CF_2$  or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL  $CH_2Cl_2$ , treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4-carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of *Nilaparvata lugens*.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:869496 CAPLUS

DN 137:363033

TI Peptidomimetic modulators of cell adhesion

IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian

PA Can.

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.  
CODEN: USXXCO

DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002168761	A1	20021114	US 2001-769145	20010124
				US 2000-491078	A220000124

## PATENT FAMILY INFORMATION:

FAN 2001:545724

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053331	A2	20010726	WO 2001-US2508	20010124
	WO 2001053331	A3	20020711		
	WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2000-491078 A 20000124

OS MARPAT 137:363033

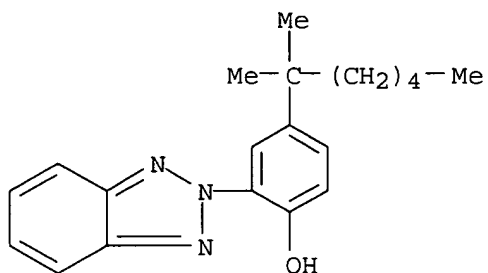
IT **188966-22-5D**, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)-, derivs. **351857-41-5**, 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- **351857-49-3**, Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- **351857-50-6**, 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]- **351857-54-0**, Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- **351857-55-1**, 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- **351857-56-2**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester **351857-57-3**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester **351857-58-4**, Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- **351857-70-0**, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester **351858-16-7**, 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- **351858-17-8**, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- **351858-60-1**, 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)-  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 188966-22-5 CAPLUS

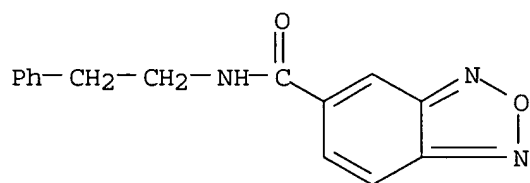
CN Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX NAME)





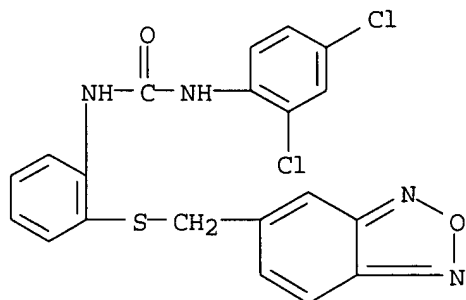
RN 351857-41-5 CAPLUS

CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



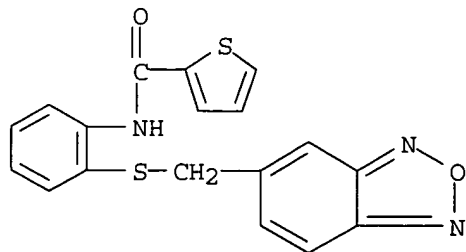
RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

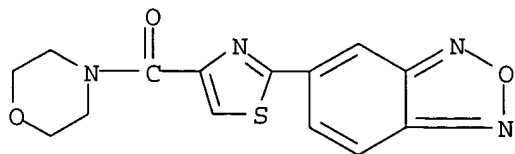


RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]- (9CI) (CA INDEX NAME)

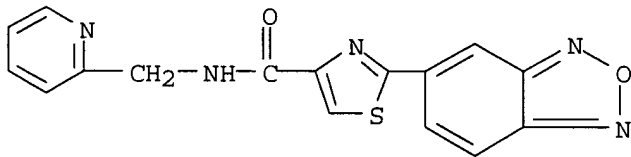


RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- (9CI)  
(CA INDEX NAME)

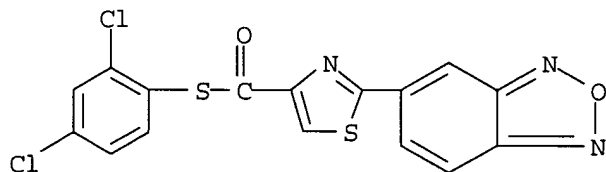
RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



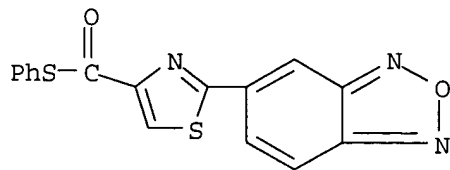
RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)



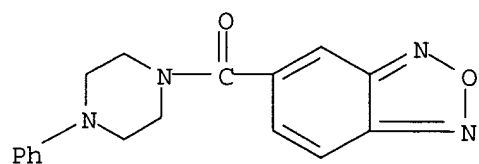
RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)



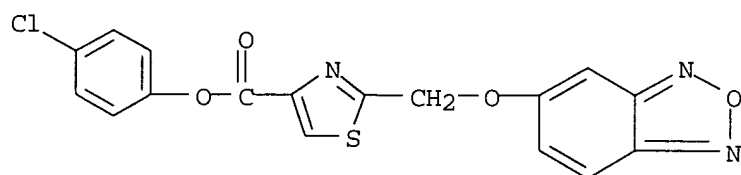
RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)



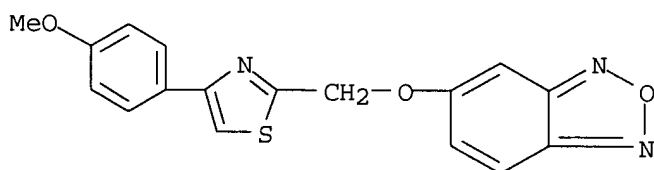
RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yl)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



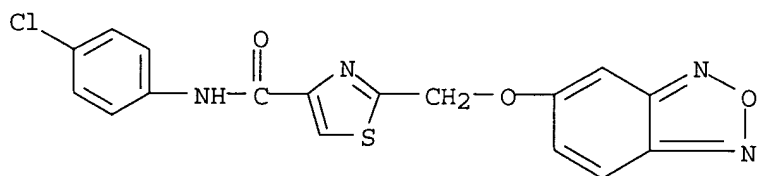
RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)



RN 351858-17-8 CAPLUS

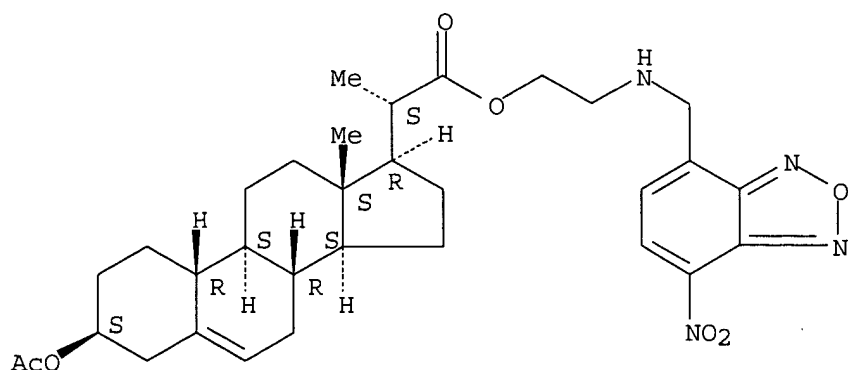
CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yl)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

L37 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:539534 CAPLUS

DN 137:109285

TI Preparation of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists

IN Gillespie, Roger John; Lerpiniere, Joanne; Gaur, Suneel; Bamford, Samantha Jayne; Stratton, Gemma Caroline; Leonardi, Stefania; Weiss, Scott Murray

PA Vernalis Research Limited, UK

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055083	A1	20020718	WO 2002-GB91	20020110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG GB 2001-624 A 20010110				

OS MARPAT 137:109285

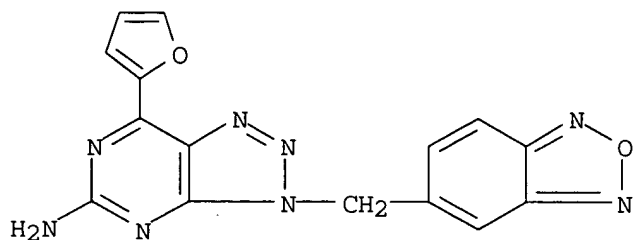
IT **442908-24-9P 442908-43-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists)

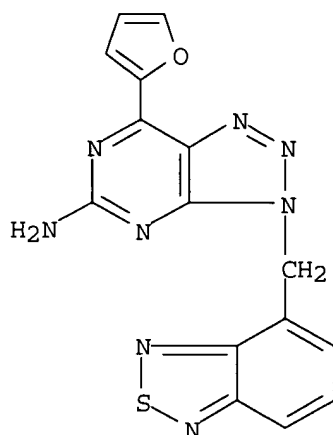
RN 442908-24-9 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzoxadiazol-5-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)

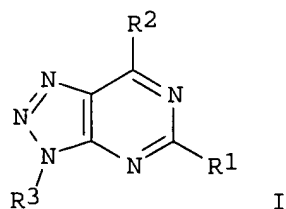


RN 442908-43-2 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzothiadiazol-4-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)



GI

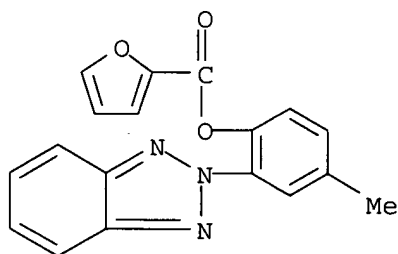


AB The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = aryl attached via an unsatd. carbon; R3 = H, alkyl, COR5, CO2R7, CONR5R6, CONR4NR5R6, SO2R7; R4-R6 = H, alkyl, aryl; or NR5R6 = heterocyclyl; or where R4-R6 are in a CONR4NR5R6 group, R4 and R5 may be linked to form a heterocyclic group; R7 = alkyl, aryl], useful in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A2A receptors, may be beneficial, particularly

wherein said disorder is a movement disorder such as Parkinson's disease or depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection, were prepd. Thus, reacting 7-(2-furyl)-1H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (prepn. given) with 2-fluorobenzyl bromide in the presence of NaH in DMF afforded 22% I [R1 = NH2; R2 = 2-furyl; R3 = 2-FC6H4CH2] which showed Ki of 3 nM against A2A receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS  
AN 2001:888194 CAPLUS  
DN 136:356366  
TI Spectral-luminescent property of solutions of heterocyclic compounds  
AU Grachev, A. V.; Siling, S. A.; Tsiganova, O. Yu.; Shamshin, S. V.;  
Yuzakov, V. I.; Abramov, I. G.; Plakhtinskii, V. V.  
CS Physical Faculty, M.V. Lomonosov Moscow State University, Moscow, Russia  
SO Synthesis and Properties of Heterocyclic Compounds (2001), 85-91.  
Editor(s): Siling, Svetlana Alexandrovna; Zaikov, Guennadi Efremovich.  
Publisher: Nova Science Publishers, Inc., Huntington, N. Y.  
CODEN: 69CBNT  
DT Conference  
LA English  
IT 300590-26-5  
RL: PRP (Properties)  
(spectral-luminescent properties of heterocyclic fluorophore solns.)  
RN 300590-26-5 CAPLUS  
CN 2-Furancarboxylic acid, 2-(2H-benzotriazol-2-yl)-4-methylphenyl ester  
(9CI) (CA INDEX NAME)



AB To establish dependence between a structure of a fluorophore and its spectral-optical characteristics, 15 heterocyclic fluorophores with wide varying structures were selected and their optical properties were detd. in DMF soln. Spectra of absorption, fluorescence, and excitation of fluorescence are discussed with respect to luminescence characteristics and concn. dependence.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS  
AN 2001:816656 CAPLUS  
DN 135:357932  
TI Preparation of heterocyclic pharmaceutical compositions as muscarinic agonists  
IN Andersson, Carl-magnus A.; Friberg, Bo Lennart M.; Skjaerbaek, Niels;  
Spalding, Tracy; Uldam, Allan K.

PA Acadia Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083472	A1	20011108	WO 2001-US13561	20010427
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002037886	A1	20020328	US 2000-200791PP	20000428
				US 2001-844685	20010427
				US 2000-200791PP	20000428
	EP 1278741	A1	20030129	EP 2001-932682	20010427
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2000-200791PP	20000428
				WO 2001-US13561W	20010427
	NO 2002005115	A	20021219	NO 2002-5115	20021024
				US 2000-200791PP	20000428
				WO 2001-US13561W	20010427

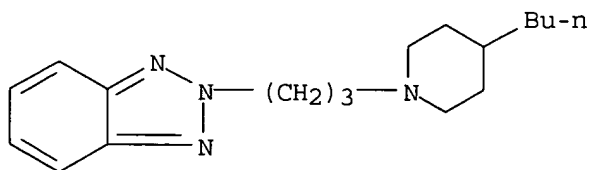
OS MARPAT 135:357932

IT **372197-02-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of heterocyclic pharmaceutical compns. with agonist activity at the M1/M4 muscarinic receptors)

RN 372197-02-9 CAPLUS

CN 2H-Benzotriazole, 2-[3-(4-butyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



IT **372197-04-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of heterocyclic pharmaceutical compns. with agonist activity at the M1/M4 muscarinic receptors)

RN 372197-04-1 CAPLUS

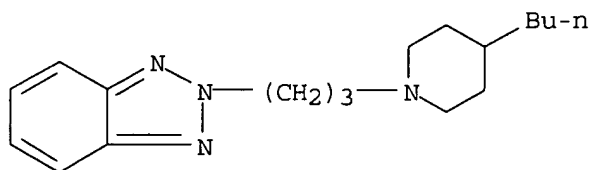
CN 2H-Benzotriazole, 2-[3-(4-butyl-1-piperidinyl)propyl]-, ethanedioate (1:1)

(9CI) (CA INDEX NAME)

CM 1

CRN 372197-02-9

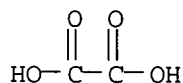
CMF C18 H28 N4



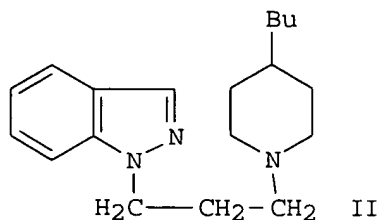
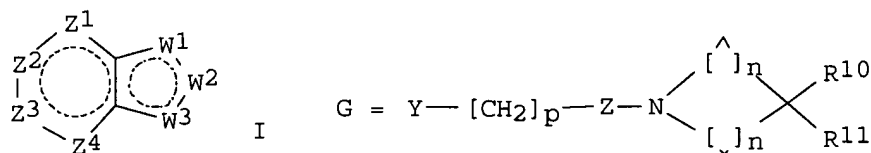
CM 2

CRN 144-62-7

CMF C2 H2 O4



GI



AB Heterocyclic pharmaceutical compns. I (Z1-Z4 = N or carbon substituted with H, NH2, OH, halo, alkyl, alkenyl, heteroalkyl, haloalkyl, CN, CF3, etc. and no more than two of Z1-Z4 = N; W1 = O, S, N; W2 and W3 = N or CR6 or CG where R6 = H, alkyl, CHO, cycloalkyl, (un)substituted aryl; Y = O, S, CHO, NHC(O), C(O)NH, C(O), OC(O), (O)CO, CH=N or absent; p = 1-5; Z (un)substituted carbon or absent; n = 1-3; R10 = R11 = H, straight/branched (un)substituted alkyl, alkenyl, alkynyl, alkylidene, alkoxy, alkylthio, etc.) or pharmaceutically acceptable salt, ester or prodrug were prepd. for treating disease conditions where modification of



cholinergic, esp. muscarinic M1, M4, or both M1 and M4, receptor activity has a beneficial effect. Thus 35AKU-21 (II) was prepd. from 4-butylpiperidine and 1-(3-bromopropyl)-1H-indazole and tested for ocular hypotensive effect in glaucomatous monkeys and had a -29.2% IOP change in 6 h. Data is provided for the screening of test compds. I demonstrating the selective agonist activity using muscarinic receptor subtypes M1, M2, M3, M4 and M5.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2000:161290 CAPLUS

DN 132:194389

TI Preparation of thieno[2,3-d]pyrimidine-2,4(1H,3H)-diones as immunosuppressants

IN Bantick, John; Cooper, Martin; Perry, Matthew; Thorne, Philip

PA Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag

SO PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012514	A1	20000309	WO 1999-SE1400	19990818
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339664	AA	20000309	SE 1998-2895	A 19980828
			CA 1999-2339664	19990818
			SE 1998-2895	A 19980828
AU 9957677	A1	20000321	WO 1999-SE1400 W	19990818
			AU 1999-57677	19990818
			SE 1998-2895	A 19980828
EP 1107973	A1	20010620	WO 1999-SE1400 W	19990818
			EP 1999-944964	19990818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523511	T2	20020730	SE 1998-2895	A 19980828
			WO 1999-SE1400 W	19990818
			JP 2000-567536	19990818
			SE 1998-2895	A 19980828
NZ 509809	A	20021126	WO 1999-SE1400 W	19990818
			NZ 1999-509809	19990818
			SE 1998-2895	A 19980828
US 6300334	B1	20011009	WO 1999-SE1400 W	19990818
			US 1999-402837	19991013
			SE 1998-2895	A 19980828
			WO 1999-SE1400 W	19990818

OS MARPAT 132:194389

IT **259861-49-9P**

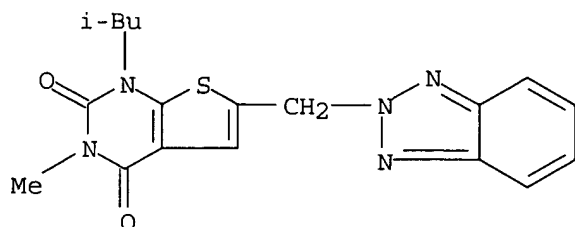
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

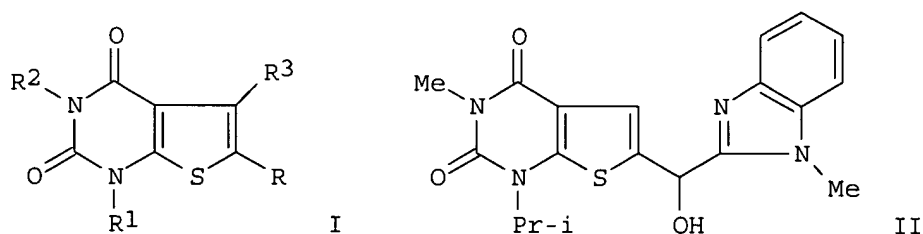
(target compd.; prepn. of thieno[2,3-d]pyrimidine  
-2,4(1H,3H)-diones as immunosuppressants)

RN 259861-49-9 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-(2H-benzotriazol-2-ylmethyl)-3-methyl-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



GI



AB The title compds. (I) [wherein R = C(O)Ar1 or C(R4)(R5)Ar1; R1 and R2 = independently H, (cyclo)alkyl, alkenyl, or cycloalkylmethyl; R3 = H or XR9 or XAr2; R4 = H or alkyl; R5 = H or OH; R9 = Me optionally substituted by 1 or more CN, CO2H, alkoxycarbonyl, tetrazolyl, (un)substituted carboxyamido; R10 = H, alkyl, or R9; X = O, S(O)n, C(O)NR10, C(O)O, NHC(O)NR10, NHC(O)O, or SO2NR10; Ar1 = (un)substituted heteroaryl, Ar2 = (un)substituted Ph, pyridinyl, thienyl, pyridone, or pyridine N-oxide; n = 0-2] were prepd. as immunosuppressants. for the treatment of reversible obstructive airway diseases, such as asthma, bronchitis, and rhinitis. For example, II was formed in a 4-step sequence involving (1) N-addn. of 1-iodo-2-methylpropane to 6-chloro-3-methyl-1H-pyrimidine-2,4-(1H,3H)-dione, (2) thiolation of the chloro compd. with NaSH.H2O, (3) cycloaddn. of the 6-thioxopyrimidinedione with aq. ClCH2CHO, and (4) coupling of the thienopyrimidinedione with 1-methylbenzimidazole-2-carboxaldehyde. In a PMA/ionomycin-stimulated peripheral blood mononuclear cell (PBMC) proliferation assay, I exhibited IA50 values of < 1 .mu.M.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

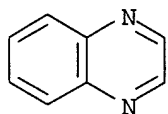
CODEN: PIXXD2

DT Patent

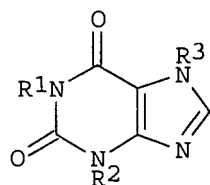
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9513075	A1	19950518	WO 1994-US12821	19941114
	W: AU, CA, JP			US 1993-152117	19931112
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			AU 1995-10907	19941114
	AU 9510907	A1	19950529	US 1993-152117	19931112
				WO 1994-US12821	19941114
	EP 728003	A1	19960828	EP 1995-901808	19941114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			US 1993-152117	19931112
				WO 1994-US12821	19941114
	US 5856331	A	19990105	US 1997-948747	19971010
				US 1993-152117	19931112
				US 1994-353756	19941212
OS	MARPAT 124:21803				
IT	167427-02-3D, aminoalkyl derivs.				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(method and agents for preventing tissue injury from hypoxia)				
RN	167427-02-3 CAPLUS				
CN	Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)				
CM	1				
CRN	91-19-0				
CMF	C8 H6 N2				



GI



AB Tissue injury, caused by tissue hypoxia and reoxygenation, is administering a xanthine deriv. I [R1 = (.omega.-1) secondary

Patel

&lt;5/18/2003&gt;

alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d 138 fbib hitstr abs total

L38 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2002:790220 CAPLUS

DN 137:294982

TI Preparation of piperazinylpyrazinyl aryloxyalkyl ethers as 5-HT2C receptor agonists

IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias

PA Biovitrum AB, Swed.

SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

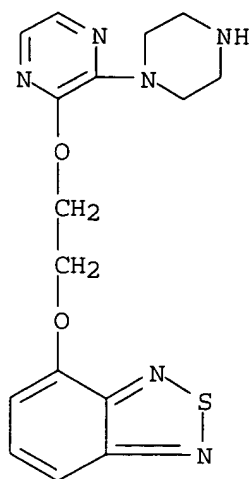
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6465467	B1	20021015	US 2000-589282	20000608
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				US 2000-573348	B220000519
	US 2003092694	A1	20030515	US 2002-269670	20021011
				SE 1999-1884	A 19990521
				US 1999-137527PP	19990603
				US 2000-573348	B220000519
				US 2000-589282	A320000608

# PATENT FAMILY INFORMATION:

FAN 2000:900625

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
	WO 2000076984	A3	20010208		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				SE 1999-1884	A 19990521

EP 1178973 A2 20020213 US 1999-137527PP 19990603  
 EP 2000-931877 20000519  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 SE 1999-1884 A 19990521  
 US 1999-137527PP 19990603  
 WO 2000-SE1017 W 20000519  
 BR 2000010783 A 20020409 BR 2000-10783 20000519  
 SE 1999-1884 A 19990521  
 US 1999-137527PP 19990603  
 WO 2000-SE1017 W 20000519  
 JP 2003502317 T2 20030121 JP 2001-503842 20000519  
 SE 1999-1884 A 19990521  
 US 1999-137527PP 19990603  
 WO 2000-SE1017 W 20000519  
 NO 2001005686 A 20020115 NO 2001-5686 20011121  
 SE 1999-1884 A 19990521  
 US 1999-137527PP 19990603  
 WO 2000-SE1017 W 20000519  
 OS MARPAT 137:294982  
 IT **313655-27-5P**, 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-  
 2,1,3-benzothiadiazole Dihydrochloride **313655-31-1P**,  
 5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy] **quinoxaline**  
 Hydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT<sub>2C</sub> receptor  
 agonists from aryloxyalkanols, halopyrazines, and heterocycles)  
 RN 313655-27-5 CAPLUS  
 CN 2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)



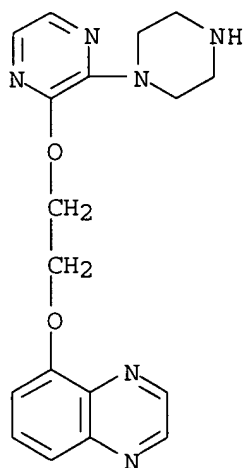
2 HCl

RN 313655-31-1 CAPLUS

Patel

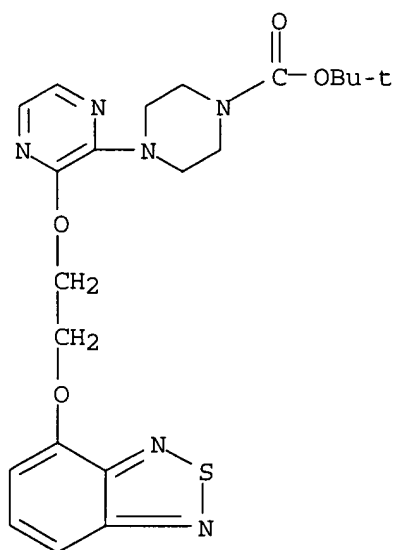
<5/18/2003>

CN Quinoxaline, 5-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

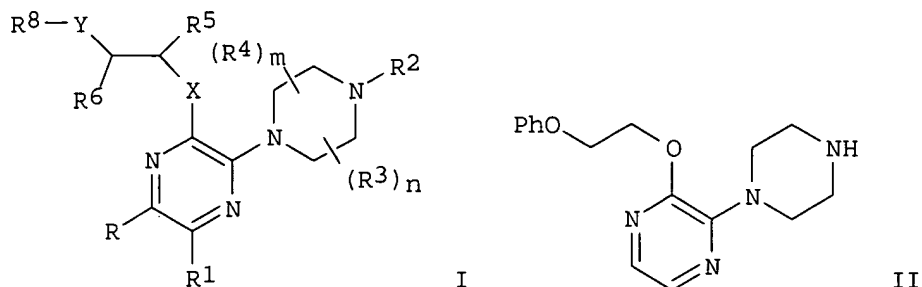


● HCl

IT **313655-28-6P**, tert-Butyl 4-[3-[2-(2,1,3-benzothiadiazol-4-yloxy)ethoxy]-2-pyrazinyl]-1-piperazinecarboxylate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)  
 RN 313655-28-6 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[3-[2-(2,1,3-benzothiadiazol-4-yloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI



AB The title compds. (I) [wherein X and Y = independently O, S, or NR<sub>7</sub>; R and R<sub>1</sub> = independently H, alkyl, or halo; or C<sub>2</sub>RR<sub>1</sub> = optionally halo substituted benzene or thiophene; R<sub>2</sub> = H, OH, or alkyl; R<sub>3</sub>, R<sub>4</sub>, and R<sub>5</sub> = independently H or alkyl; R<sub>6</sub> = H or alkyl; or CYR<sub>6</sub>R<sub>8</sub> for a 5-6 membered heterocycle; R<sub>7</sub> = H or alkyl, preferably Me or Et; R<sub>8</sub> = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT<sub>2C</sub> receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K<sub>2</sub>CO<sub>3</sub> in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT<sub>2C</sub> receptor protein with K<sub>i</sub> values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds. I exhibited agonist efficacy at the 5-HT<sub>2C</sub> receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS  
AN 2002:754196 CAPLUS  
DN 137:257677  
TI Methods of treating or preventing Alzheimer's disease using  
4-aryl-3-aralkoxypiperidines and -azabicyclooctanes  
IN Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara  
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company  
SO PCT Int. Appl., 449 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076440	A2	20021003	WO 2002-US9100	20020321
	WO 2002076440	A3	20021128		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	US 2001-308729PP 20010730				

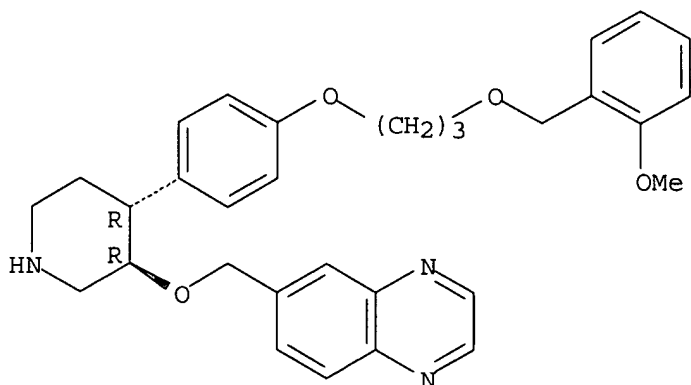
OS MARPAT 137:257677

IT **188876-01-9P, Quinoxaline**, 6-[[[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-, trans-  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)

RN 188876-01-9 CAPLUS

CN Quinoxaline, 6-[[[(3R,4R)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



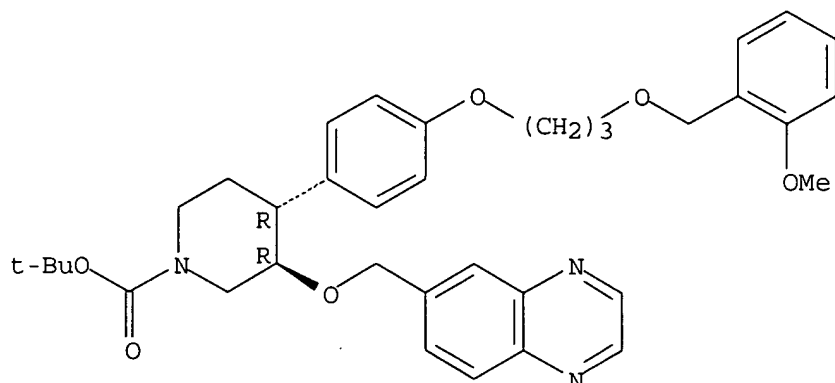
IT **188876-23-5P, 1-Piperidinecarboxylic acid**, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-(6-quinoxalinylmethoxy)-, 1,1-dimethylethyl ester, trans-  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)

RN 188876-23-5 CAPLUS

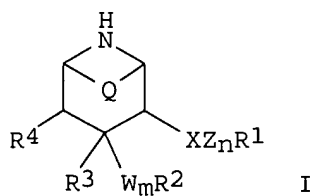
CN 1-Piperidinecarboxylic acid, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-(6-quinoxalinylmethoxy)-, 1,1-dimethylethyl ester, (3R,4R)-rel- (9CI) (CA INDEX NAME)



Relative stereochemistry.



GI



I

AB Disclosed are methods for treating or preventing Alzheimer's disease, and other diseases, and/or inhibiting .beta.-secretase enzyme, and/or inhibiting deposition of A beta peptide in a mammal, using 3,4-disubstituted piperidinyl compds. (I) wherein the variables R1, R2, R3, R4, Q, W, X, Z, m, and n are defined below. Although neither the compds. nor the methods of prepn. are claimed, .apprx.150 example preps., translations from the German examples of patent WO 9709311, are included. I inhibit .beta.-secretase with IC50 < 50 .mu.M; compds. that are effective inhibitors of .beta.-secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, or furyl, optionally substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is: H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11- (R11 defined in claims), -CHOR9- (R9 defined in claims), -OCO-, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxy-carbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a satd. C atom of group Z or to R1; W is: -O-, or -S-; Z is: lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene, -O-, -S-, -O-Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N is: 1, or 0 or 1 when X is -O-CO; and where m is 0 or 1; with provisos.

L38 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2000:725471 CAPLUS

DN 133:281794

TI Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors

IN Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara

Lakshman; Zembrowski, William James

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 328 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2000059510	A1	20001012	WO 2000-IB296	20000316
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				WO 2000-IB296 W	20000316
	EE 200100509	A	20021216	EE 2001-509	20000316
				US 1999-127437PP	19990401
				WO 2000-IB296 W	20000316
	US 6414149	B1	20020702	US 2000-538039	20000329
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				US 1999-127437PP	19990401
				WO 2000-IB296 W	20000316
	BG 106038	A	20020628	BG 2001-106038	20011023
				US 1999-127437PP	19990401
				WO 2000-IB296 W	20000316
	US 2003065179	A1	20030403	US 2002-87869	20020228
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				US 2000-538039 A320000329	

OS MARPAT 133:281794

IT 300551-69-3P

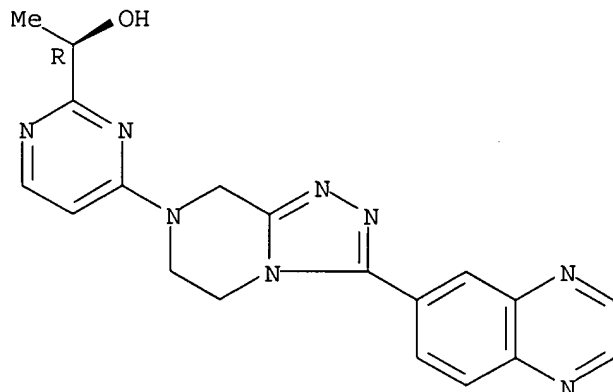
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)

RN 300551-69-3 CAPLUS

CN 2-Pyrimidinemethanol, 4-[5,6-dihydro-3-(6-quinoxaliny1)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxy, carbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.g., a multi-step synthesis of the **pyrimidine** (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1999:595180 CAPLUS

DN 131:214301

TI Preparation of bicyclic heterocyclic amides as modulators of protein tyrosine phosphatases (PTPases)

IN Andersen, Henrik Sune; Jones, Todd Kevin; Holsworth, Daniel Dale

PA Novo Nordisk A/S, Den.; Ontogen Corporation

SO PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9946268	A1	19990916	WO 1999-DK124	19990311
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				DK 1998-474	A 19980403
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PATENT FAMILY INFORMATION:

FAN 1999:595124

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9946236	A1	19990916	WO 1999-DK122	19990311
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				DK 1998-345	A 19980312
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			DK 1998-939	A	19980715
			DK 1998-940	A	19980715
			DK 1998-1385	A	19981028
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US 6410586	B1	20020625	US 2001-810266		20010316
			DK 1998-344	A	19980312
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			DK 1998-1561	A	19981126
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			US 2001-810266	A3	20010316
FAN	1999:595137				
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CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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AU 9927137	A1	19990927	DK 1998-343 A 19980312
			DK 1998-473 A 19980403
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			DK 1998-343 A 19980312
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EP 1062204	A1	20001227	EP 1999-907334 19990311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			DK 1998-343 A 19980312
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			DK 1998-343 A 19980312
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			DK 1998-939 A 19980715
			US 1998-93620P P 19980721
			DK 1998-1561 A 19981126
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			DK 1998-343 A 19980312
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			WO 1999-DK123 W 19990311
ZA 9902032	A	19990927	ZA 1999-2032 19990312
			DK 1998-343 A 19980312
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			DK 1998-343 A 19980312
			DK 1998-473 A 19980403
			US 1998-82368P P 19980420
			DK 1998-939 A 19980715
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			DK 1998-1561 A 19981126
			US 1999-115528PP 19990112
			US 1999-266395 B119990311
FAN 1999:595178			
WO 9946267	A1	19990916	WO 1999-DK121 19990311
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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			DK 1998-344 A 19980312
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AU 9927135	A1	19990927	AU 1999-27135 19990311
			DK 1998-344 A 19980312
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			DK 1998-344 A 19980312
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US 6262044	B1	20010717	US 1999-268490 19990311
			DK 1998-344 A 19980312
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ZA 9902036	A	19991001	ZA 1999-2036 19990312
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NO 2000004527	A	20001107	NO 2000-4527 20000911
			DK 1998-344 A 19980312
			DK 1998-480 A 19980403
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			DK 1998-1385 A 19981028
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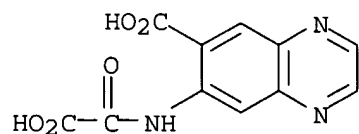
OS MARPAT 131:214301

IT **243463-49-2P**, 7-(Oxalylamino)**quinoxaline**-6-carboxylic acid

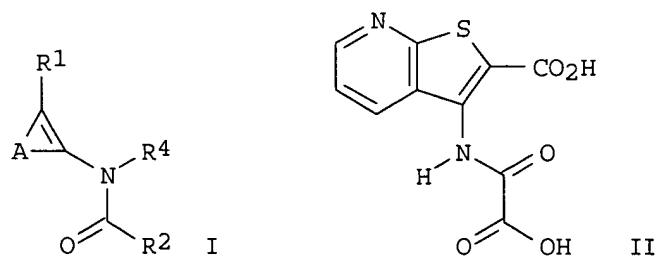
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (target compd.; prepn. of bicyclic heterocyclic amides as modulators of protein tyrosine phosphatases (PTPases))

RN 243463-49-2 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 7-[(carboxycarbonyl)amino]- (9CI) (CA INDEX NAME)



GI

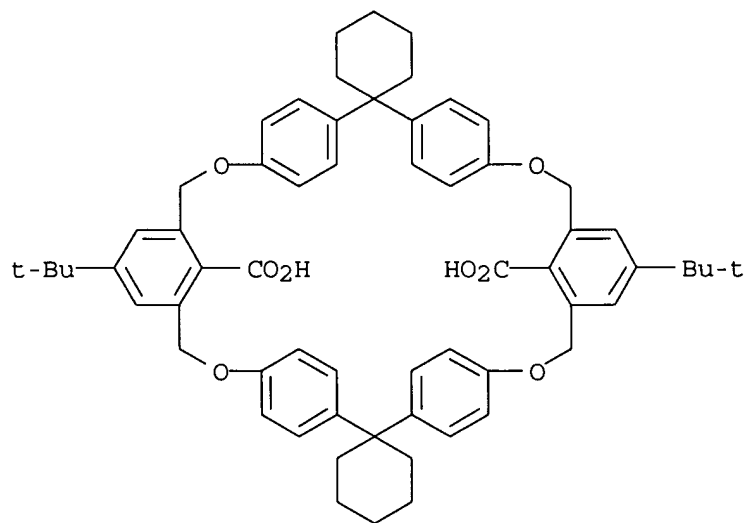


AB The invention provides novel compds., novel compns., methods of their use, and methods of their manuf., where such compds. are pharmacol. useful inhibitors of protein tyrosine phosphatases (PTPases) such as PTP1B, CD45, SHP-1, SHP-2, PTP.alpha., LAR, and HePTP, or the like. The compds. are

depicted by formula I [A = atoms to complete various 5/5 and 5/6 bicyclic heterocycles, e.g., thienopyridines; R1 = acyl, OH or derivs., CF3, NO2, cyano, SO3H, (un)substituted NH2, or various 5-membered heterocycles; R2 = acyl, OH or derivs., CF3, NO2, cyano, SO3H, (un)substituted NH2, various 5-membered heterocycles; R4 = H, OH, alkyl, (un)substituted aryl or aralkyl, (un)substituted NH2, alkoxy], and include salts, optical isomers, and tautomers. The compds. are useful in the treatment of type I diabetes, type II diabetes, impaired glucose tolerance, insulin resistance, obesity, immune dysfunctions including autoimmunity diseases with dysfunctions of the coagulation system, allergic diseases including asthma, osteoporosis, proliferative disorders including cancer and psoriasis, diseases with decreased or increased synthesis or effects of growth hormone, diseases with decreased or increased synthesis of hormones or cytokines that regulate the release of/or response to growth hormone, diseases of the brain including Alzheimer's disease and schizophrenia, and infectious diseases. For instance, 3-aminothieno[2,3-b]pyridine-2-carboxylic acid Me ester was amidated with Et oxalyl chloride (61%), followed by hydrolysis of the ester functions with NaOH in aq. EtOH (30%), to give title compd. II as the mono-Na salt (III). In an in vitro test against PTP1B expressed in E. coli and purified by known methods, III had Ki of 330 .mu.M.

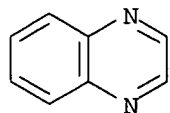
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS  
AN 1999:255217 CAPLUS  
DN 131:44803  
TI Preorganized macrocyclic receptors featuring endo-carboxylic acid groups. Host synthesis and inclusion compounds with alcohol and amine guests  
AU Weber, Edwin; Haase, Reinhard; Pollex, Rolf; Czugler, Matyas  
CS Institut Organische Chemie, Technische Universitat-Bergakademie Freiberg, Freiberg, D-09596, Germany  
SO Journal fuer Praktische Chemie (Weinheim, Germany) (1999), 341(3), 274-283  
CODEN: JPCHF4; ISSN: 1436-9966  
PB Wiley-VCH Verlag GmbH  
DT Journal  
LA English  
OS CASREACT 131:44803  
IT **227293-34-7P 227293-42-7P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 227293-34-7 CAPLUS  
CN Dispiro[cyclohexane-1,2'-[7,15,25,33]tetraoxaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45-octadecaene]-20',1''-cyclohexane]-39',44''-dicarboxylic acid, 11',29''-bis(1,1-dimethylethyl)-, compd. with quinoxaline (1:1) (9CI) (CA INDEX NAME)  
  
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CRN 223397-25-9  
CMF C62 H68 O8



CM 2

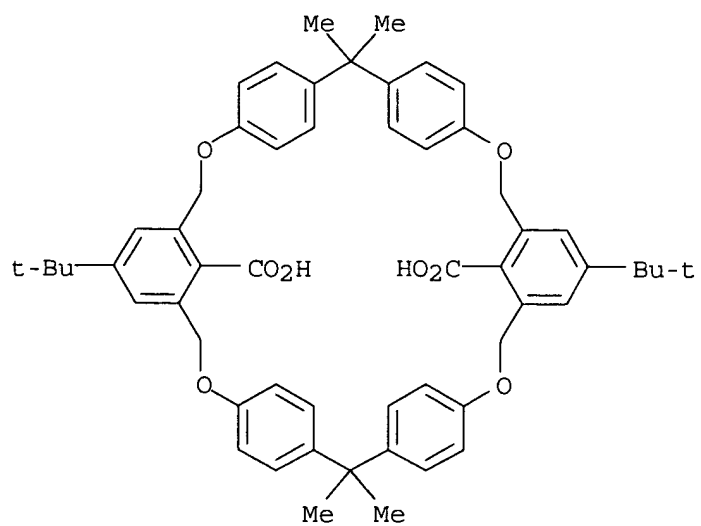
CRN 91-19-0  
CMF C8 H6 N2



RN 227293-42-7 CAPLUS  
CN 7,15,25,33-Tetraoxaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta-3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45-octadecaene-39,44-dicarboxylic acid, 11,29-bis(1,1-dimethylethyl)-2,2,20,20-tetramethyl-, compd. with quinoxaline (1:1) (9CI) (CA INDEX NAME)

CM 1

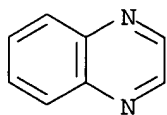
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CMF C56 H60 O8



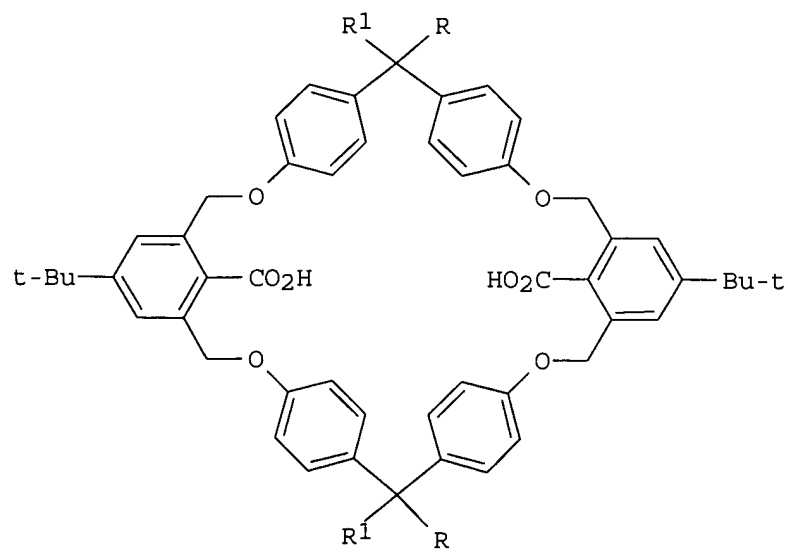
CM 2

CRN 91-19-0

CMF C8 H6 N2



GI



I

AB The synthesis and characterization of macrocyclic host compds. I [RR1 = (CH2)5; R, R1 = Me; RR1 = O; R = Me, R1 = CH2CO2H] having modified diphenylmethane units as bridging elements and 2 endo-oriented carboxyl groups attached to arom. building blocks are described. The complexation properties of the macrocycles towards amines and alcs. are reported, showing that the ability to form convergent inclusion compds. depends on the type of the spacer element. For the dicarboxylic hosts I [RR1 = (CH2)5; R, R1 = Me] endo-complexation of guest mols. based on H bonding to the acid functions is proved using 1H NMR and x-ray crystal structure anal.

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1998:761764 CAPLUS

DN 130:54817

TI Secondary lithium batteries

IN Yakata, Hiroshi; Amano, Kosuke; Sakauchi, Hiroshi; Sato, Masaharu

PA NEC Corp., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

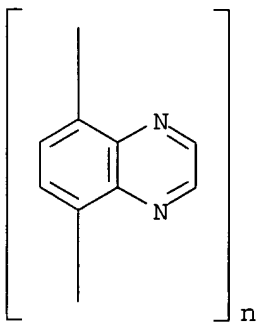
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

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PI	JP 10312827	A2	19981124	JP 1997-123979	19970514
	JP 3114651	B2	20001204		
				JP 1997-123979	19970514
IT	<b>164363-68-2</b> , Poly( <b>quinoxaline</b> -5,8-diyl)				
	RL: DEV (Device component use); USES (Uses)				
	(cathodes with elec. attached porous conducting polymer member on anode side for lithium batteries)				
RN	164363-68-2 CAPLUS				
CN	Poly(5,8-quinoxalinediyl) (9CI) (CA INDEX NAME)				



AB The batteries have a cathode, a Li intercalating or Li depositing anode, an electrolyte, and a porous member of a conducting polymer, which can be doped by N type dopant, between the electrodes and elec. connected to the cathode and insulated from the anode. The polymer is selected from polythiophene, poly(p-aniline), poly(pyridine-diyl), poly(**pyrimidine**-diyl), poly(**quinoxaline**-diyl), poly(naphthalidine-diyl), or their derivs.



L38 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1996:711261 CAPLUS

DN 126:47192

TI Ambident reactivity of nitro heteroaromatic anions

AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan

SO Tetrahedron Letters (1996), 37(46), 8391-8394

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

OS CASREACT 126:47192

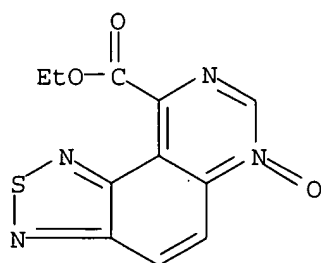
IT **180723-45-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)

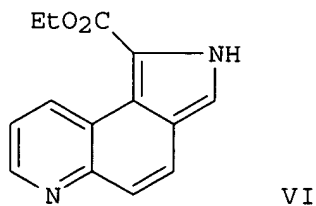
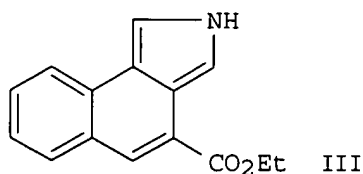
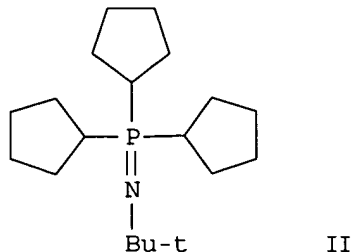
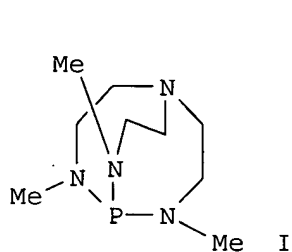
(reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)



GI



AB The reaction of nitro heteroarom. compds. such as **quinoxalines**, benzothiadiazoles and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding **pyrimidine** N-oxides, while, in contrast, use of a proazaphosphatane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidene]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

L38 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9513075	A1	19950518	WO 1994-US12821	19941114
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1993-152117	19931112
	AU 9510907	A1	19950529	AU 1995-10907	19941114
				US 1993-152117	19931112
				WO 1994-US12821	19941114
	EP 728003	A1	19960828	EP 1995-901808	19941114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				US 1993-152117	19931112
				WO 1994-US12821	19941114
	US 5856331	A	19990105	US 1997-948747	19971010
				US 1993-152117	19931112
				US 1994-353756	19941212

OS MARPAT 124:21803

IT **167427-02-3D**, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

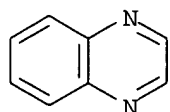
RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

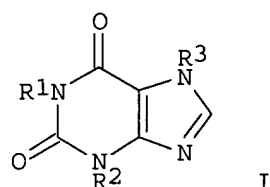
CM 1

CRN 91-19-0

CMF C8 H6 N2



GI



AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclalalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=&gt; d cost

COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
CONNECT CHARGES	19.04	20.21
NETWORK CHARGES	3.36	3.60
SEARCH CHARGES	80.36	228.11
DISPLAY CHARGES	168.41	168.41
	-----	-----
	271.17	420.33
CAPLUS FEE (5%)	13.39	13.39
	-----	-----
FULL ESTIMATED COST	284.56	433.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.88	-18.88

IN FILE 'CAPLUS' AT 16:40:06 ON 18 MAY 2003

=&gt; d his

Patel

&lt;5/18/2003&gt;

(FILE 'HOME' ENTERED AT 16:05:03 ON 18 MAY 2003)

FILE 'REGISTRY' ENTERED AT 16:05:14 ON 18 MAY 2003

L1 STRUCTURE UPLOADED  
L2 30 S L1  
L3 13138 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:06:46 ON 18 MAY 2003

L4 2810 S L3  
L5 120 S L4 AND QUINOXALINE  
L6 0 S L4 AND CFR RECEPTOR  
L7 0 S L5 AND CFR INHIBITORS  
L8 68 S L4 AND PYRIMIDINE  
L9 8 S L8 AND L5  
L10 0 S L4 AND BEZOTHIADIAZOLE  
L11 0 S L4 AND BENZ OXADIAZOLE  
L12 163 S L4 AND BENZOXADIAZOLE  
L13 138 S L4 AND BENZOTHIADIAZOLE  
L14 825 S L4 AND BENZOTRIAZOLE  
L15 0 S L14 AND 2-METHYL BENZOTRIAZOLE  
L16 0 S L14 AND METHYL TRIZOLE  
L17 7 S L14 AND PYRIMIDINE  
L18 0 S L4 AND 1,3,5-TRAZINE  
L19 65 S L4 AND PYRAZOLE  
L20 0 S L19 AND PYRAZOLOPYRIMIDINE  
L21 89 S L4 AND TRIAZOLE  
L22 0 S L21 AND TRAZOLOPYRIMIDINE  
L23 1 S L21 AND TRIAZOLOPYRIMIDINE  
L24 8 S L4 AND PYRIMIDINE AND QUINOXALINE  
L25 3 S L4 AND PYRIMIDINE AND BENZOXADIAZOLE  
L26 0 S L4 AND PYRROLO-PYRIMIDINE AND QUINOXALINE  
L27 0 S L4 AND IMIDAZO-PYRIMIDINE AND QUINOXALINE  
L28 6 S L4 AND BENZOTHIADIAZOLE AND QUINOXALINE  
L29 120 S L4 AND QUINOXALINE  
L30 138 S L4 AND BENZOTHIADIAZOLE  
L31 163 S L4 AND BENZOXADIAZOLE  
L32 6 S L4 AND BENZTRIAZOLE  
L33 120 S L4 AND QUINOXALINE  
L34 0 S L12 AND L13 AND L14 AND PYRIMIDINE  
L35 3 S L12 AND PYRIMIDINE  
L36 7 S L13 AND PYRIMIDINE  
L37 7 S L14 AND PYRIMIDINE  
L38 8 S L33 AND PYRIMIDINE

=> d l12 and 1,3,5 triazine

'AND' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

'TRIAZINE' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB

ALL ----- BIB, AB, IND, RE

APPS ----- AI, PRAI

BIB ----- AN, plus Bibliographic Data and PI table (default)

CAN ----- List of CA abstract numbers without answer numbers

CBIB ----- AN, plus Compressed Bibliographic Data

DALL ----- ALL, delimited (end of each field identified)

DMAX ----- MAX, delimited for post-processing  
FAM ----- AN, PI and PRAI in table, plus Patent Family data  
FBIB ----- AN, BIB, plus Patent FAM  
IND ----- Indexing data  
IPC ----- International Patent Classifications  
MAX ----- ALL, plus Patent FAM, RE  
PATS ----- PI, SO  
SAM ----- CC, SX, TI, ST, IT  
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;  
SCAN must be entered on the same line as the DISPLAY,  
e.g., D SCAN or DISPLAY SCAN)  
STD ----- BIB, IPC, and NCL  
  
IABS ----- ABS, indented with text labels  
IALL ----- ALL, indented with text labels  
IBIB ----- BIB, indented with text labels  
IMAX ----- MAX, indented with text labels  
ISTD ----- STD, indented with text labels  
  
OBIB ----- AN, plus Bibliographic Data (original)  
OIBIB ----- OBIB, indented with text labels  
  
SBIB ----- BIB, no citations  
SIBIB ----- IBIB, no citations  
  
HIT ----- Fields containing hit terms  
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)  
containing hit terms  
HITRN ----- HIT RN and its text modification  
HITSTR ----- HIT RN, its text modification, its CA index name, and  
its structure diagram  
HITSEQ ----- HIT RN, its text modification, its CA index name, its  
structure diagram, plus NTE and SEQ fields  
FHITSTR ----- First HIT RN, its text modification, its CA index name, and  
its structure diagram  
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its  
structure diagram, plus NTE and SEQ fields  
KWIC ----- Hit term plus 20 words on either side  
OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.  
ENTER DISPLAY FORMAT (BIB):end

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=> s 112 and 1,3,5-triazine
L39      2 L12 AND 1,3,5-TRIAZINE

=> s 113 and 1,3,5,-triazine
L40      2 L13 AND 1,3,5,-TRIAZINE
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=&gt; s 114 and 1,3,5-triazine

L41 39 L14 AND 1,3,5-TRIAZINE

=&gt; s 133 and 1,3,5-triazine

L42 1 L33 AND 1,3,5-TRIAZINE

=&gt; d 139 fbib hitstr abs total

L39 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2002:869496 CAPLUS

DN 137:363033

TI Peptidomimetic modulators of cell adhesion

IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang;  
Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian

PA Can.

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002168761	A1	20021114	US 2001-769145	20010124
				US 2000-491078 A220000124	

PATENT FAMILY INFORMATION:

FAN 2001:545724

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053331	A2	20010726	WO 2001-US2508	20010124
	WO 2001053331	A3	20020711		
	WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2000-491078 A 20000124

OS MARPAT 137:363033

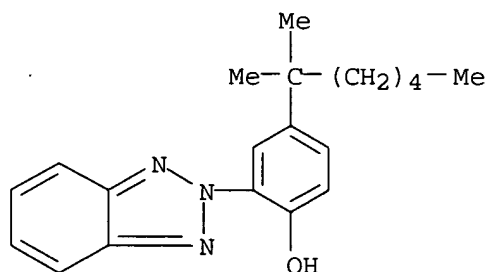
IT **188966-22-5D**, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)-, derivs. **351857-41-5**, 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)-**351857-49-3**, Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- **351857-50-6**, 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]- **351857-54-0**, Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- **351857-55-1**, 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- **351857-56-2**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester **351857-57-3**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester **351857-58-4**, Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- **351857-70-0**, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester **351858-16-7**, 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]-

**351858-17-8**, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- **351858-60-1**, 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)-  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

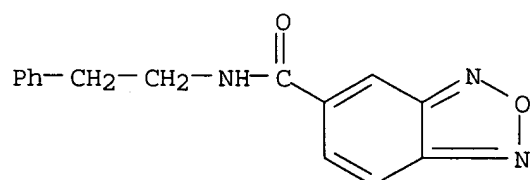
RN 188966-22-5 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX NAME)



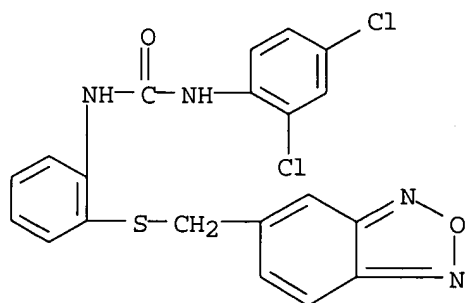
RN 351857-41-5 CAPLUS

CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



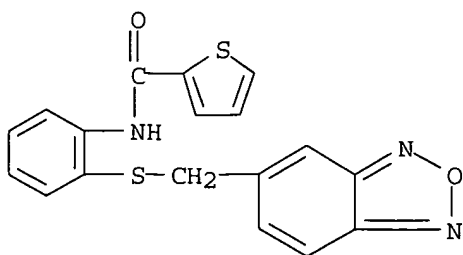
RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



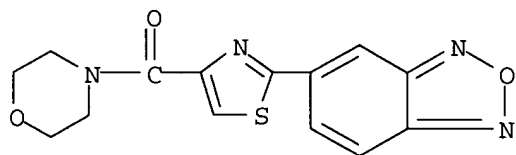
RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-yl)methyl]thio]phenyl] -  
(9CI) (CA INDEX NAME)



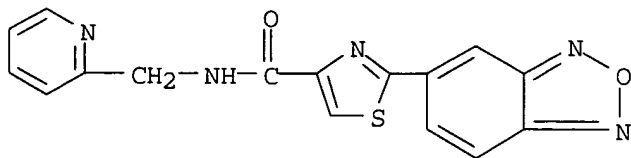
RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl] - (9CI)  
(CA INDEX NAME)



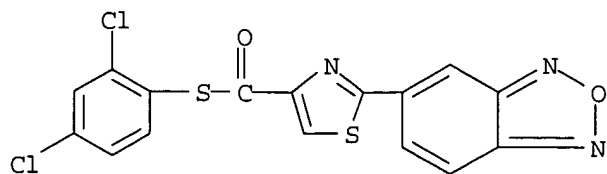
RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl) -  
(9CI) (CA INDEX NAME)



RN 351857-56-2 CAPLUS

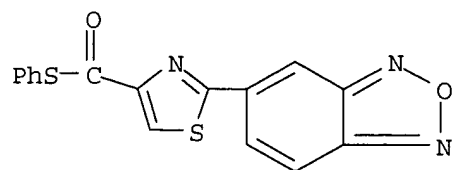
CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)



RN 351857-57-3 CAPLUS

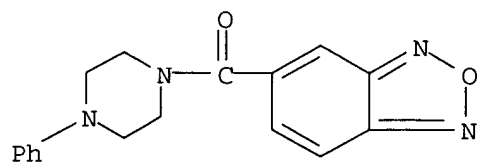
CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester  
(9CI) (CA INDEX NAME)





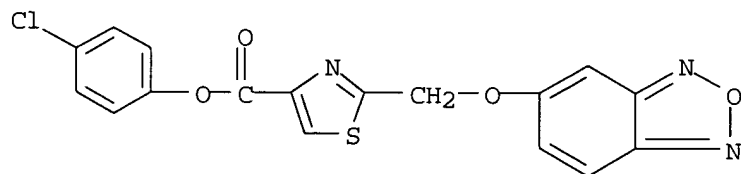
RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)



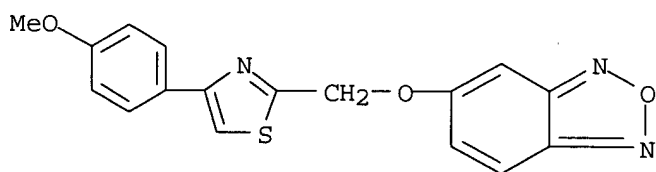
RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



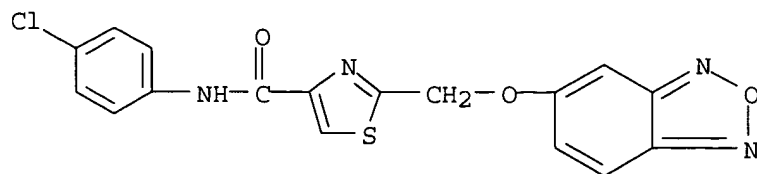
RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)



RN 351858-17-8 CAPLUS

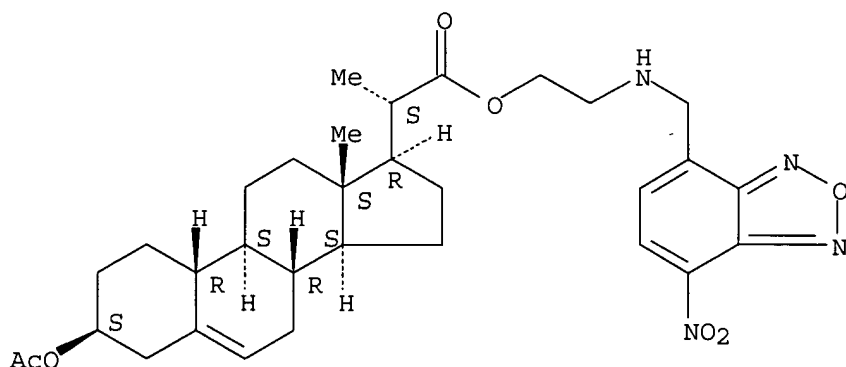
CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

L39 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2000:384156 CAPLUS

DN 133:30662

TI Preparation of N-heteroaroyl-.beta.-alanines as .alpha.4 integrin inhibitors

IN Porter, John Robert; Head, John Clifford; Warrellow, Graham John; Archibald, Sarah Catherine

PA Celltech Therapeutics Limited, UK

SO PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032575	A1	20000608	WO 1999-GB3986	19991129
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,				

SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1135371 A1 20010926 GB 1998-26174 A 19981130  
 EP 1999-973020 19991129  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

JP 2002531439 T2 20020924 GB 1998-26174 A 19981130  
 WO 1999-GB3986 W 19991129  
 JP 2000-585217 19991129  
 GB 1998-26174 A 19981130  
 WO 1999-GB3986 W 19991129

OS MARPAT 133:30662

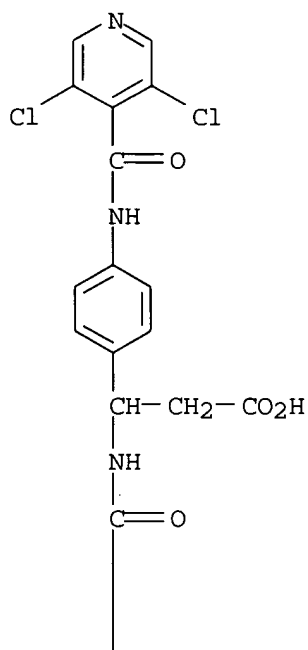
IT **273920-09-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-heteroaroyl-.beta.-alanines as .alpha.4 integrin inhibitors)

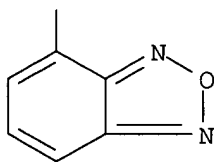
RN 273920-09-5 CAPLUS

CN Benzenepropanoic acid, .beta.-[(2,1,3-benzoxadiazol-4-ylcarbonyl)amino]-4-  
 [[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

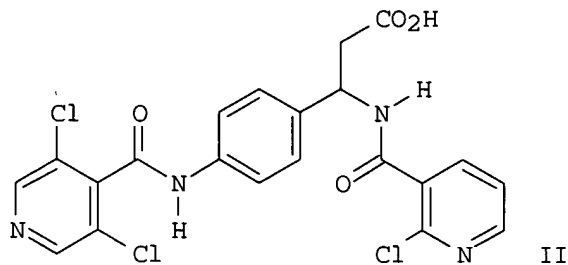
PAGE 1-A



PAGE 2-A



GI



AB R4ZZ1Z2CHR1CRR5R6 [I; R = (un)derivatized CO<sub>2</sub>H; R<sub>1</sub> = NHR<sub>3</sub>, NHSO<sub>2</sub>R<sub>3</sub>, NHCOR<sub>3</sub>, etc.; R<sub>3</sub> = aliph. group, (hetero)aryl, etc.; R<sub>4</sub> = (un)substituted (hetero)aryl; R<sub>5</sub>, R<sub>6</sub> = H, halo, alkyl, alkoxy, etc.; Z = bond, (un)substituted (hetero)aliph. chain (sic); Z<sub>1</sub> = bond, O, (alkyl)imino, CONH, CO<sub>2</sub>H, etc.; Z<sub>2</sub> = (un)substituted phenylene, pyridinediyl, pyrazinediyl, etc.] were prepd. Thus, 4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>CH(NHCO<sub>2</sub>CMe<sub>3</sub>)CH<sub>2</sub>CO<sub>2</sub>Me (prepn. given) was amidated by 3,5-dichloroisonicotinoyl chloride and the deprotected product amidated by 2-chloronicotinic acid to give, after sapon., title compd. II. Data for biol. activity of I were given.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 140 fbib hitstr abs total

L40 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029211	A1	20030410	WO 2002-JP10142	20020930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

Patel

<5/18/2003>

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,  
 RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
 NE, SN, TD, TG

JP 2001-299687 A 20010928

JP 2002-142329 A 20020517

OS MARPAT 138:304304

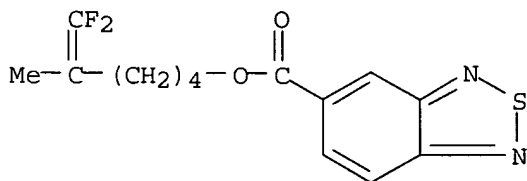
IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN  
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates  
 as pest control agents such as insecticides, acaricides, and  
 nematocides)

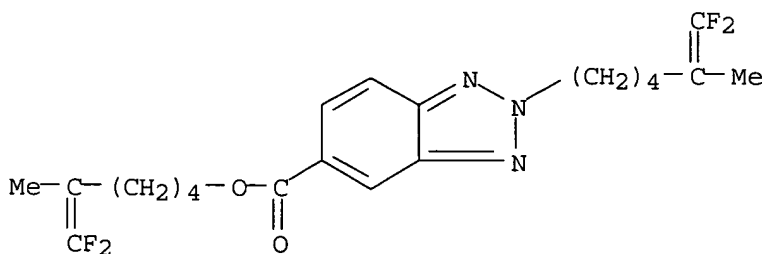
RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl  
 ester (9CI) (CA INDEX NAME)



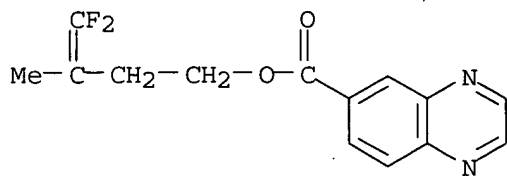
RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-,  
 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI)  
 (CA INDEX NAME)



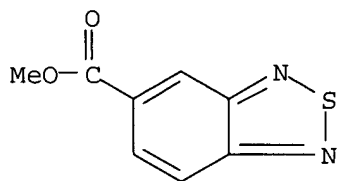
IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)



AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula  $Q-C(:L1)-L2-(CH_2)_n-C(CF_3):CF_2$  or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL  $CH_2Cl_2$ , treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4-carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of *Nilaparvata lugens*.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2000:772615 CAPLUS

DN 133:335247

TI Preparation of triazinamines, thiazolamines, and benzo[2,3]thiepine[4,5-d][1,3]thiazol-2-ylamines as selective NPY (Y5) antagonists

IN Marzabadi, Mohammad R.; Wong, Wai C.; Noble, Stewart A.; Desai, Mahesh N.

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 291 pp.

CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000064880	A1	20001102	WO 2000-US10784	20000421
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1999-296332	A219990422
				US 1999-343762	A219990630
				US 1999-343994	A219990630
	US 6340683	B1	20020122	US 1999-296332	19990422
	US 6124331	A	20000926	US 1999-343994	19990630
	US 6218408	B1	20010417	US 1999-343762	19990630
	EP 1183245	A1	20020306	EP 2000-923566	20000421
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
				US 1999-296332	A 19990422
				US 1999-343762	A 19990630
				US 1999-343994	A 19990630
				WO 2000-US10784W	20000421
	JP 2002543067	T2	20021217	JP 2000-613833	20000421
				US 1999-296332	A 19990422
				US 1999-343762	A 19990630
				US 1999-343994	A 19990630
				WO 2000-US10784W	20000421
	US 2002103201	A1	20020801	US 2002-37859	20020103
				US 1999-296332	A119990422

## PATENT FAMILY INFORMATION:

FAN 2000:687964

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6124331	A	20000926	US 1999-343994	19990630
	WO 2000064880	A1	20001102	WO 2000-US10784	20000421
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1999-296332	A219990422
				US 1999-343762	A219990630
				US 1999-343994	A219990630
	EP 1183245	A1	20020306	EP 2000-923566	20000421
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
				US 1999-296332	A 19990422
				US 1999-343762	A 19990630

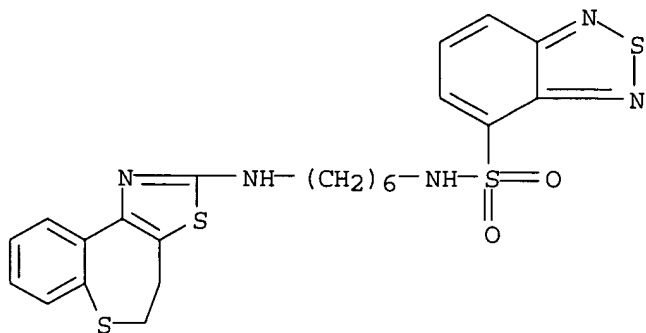
JP 2002543067 T2 20021217 US 1999-343994 A 19990630  
 WO 2000-US10784W 20000421  
 JP 2000-613833 20000421  
 US 1999-296332 A 19990422  
 US 1999-343762 A 19990630  
 US 1999-343994 A 19990630  
 WO 2000-US10784W 20000421

OS MARPAT 133:335247

IT **296270-08-1P**, N-[6-(4,5-Dihydrobenzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamino)hexyl]-2,1,3-**benzothiadiazole**-4-sulfonamide **296270-14-9P**, N-[[4-(4,5-Dihydrobenzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamino)cyclohexyl]methyl]-2,1,3-**benzothiadiazole**-4-sulfonamide **304006-08-4P**, N-[4-[[4,6-Di(ethylamino)-1,3,5-triazin-2-yl]aminomethyl]cyclohexyl]methyl-2,1,3-**benzothiadiazole**-5-sulfonamide **304008-38-6P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of triazinamines, thiazolamines, and benzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamine selective NPY (Y5) antagonists via various synthetic routes)

RN 296270-08-1 CAPLUS

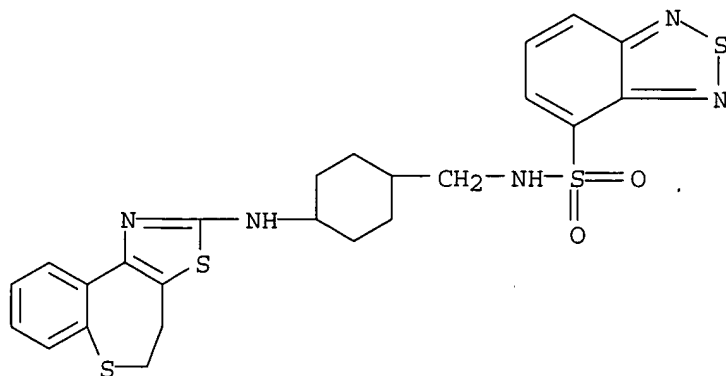
CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[6-[(4,5-dihydro[1]benzothiepino[5,4-d]thiazol-2-yl)amino]hexyl] - (9CI) (CA INDEX NAME)



RN 296270-14-9 CAPLUS

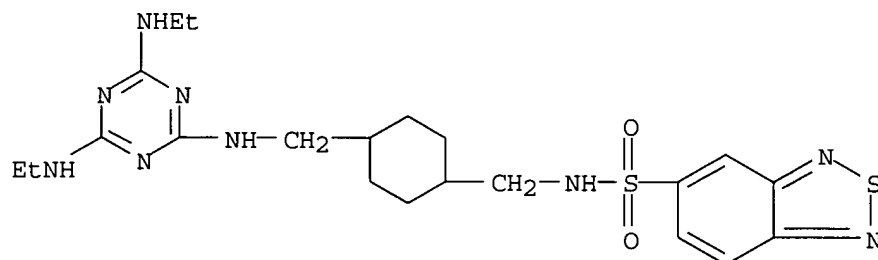
CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[4-[(4,5-dihydro[1]benzothiepino[5,4-d]thiazol-2-yl)amino]cyclohexyl]methyl] - (9CI) (CA INDEX NAME)





RN 304006-08-4 CAPLUS

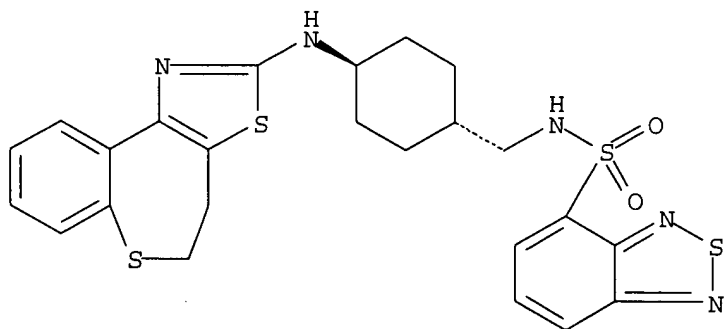
CN 2,1,3-Benzothiadiazole-5-sulfonamide, N-[[4-[[[4,6-bis(ethylamino)-1,3,5-triazin-2-yl]amino]methyl]cyclohexyl]methyl]- (9CI) (CA INDEX NAME)



RN 304008-38-6 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[trans-4-[(4,5-dihydro[1]benzothiepine[5,4-d]thiazol-2-yl)amino]cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. (I), (II), and (III) [wherein R1 = halo, NR3R4, or (un)substituted Ph or heteroaryl; R2 = NR3R4; R3 and R4 = independently H, hydroxyalkyl, thioalkyl, alkoxyalkyl, alkylthioalkyl, (thio)carbamoylalkyl, carboxyalkyl, aminoalkyl, cyanoalkyl, (thio)acyl, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (un)substituted phenyl(alkyl) or heteroarylalkyl; or R3 and R4 taken together with the N to which they are attached = (un)substituted azetidiny, pyrrolidinyl, piperidinyl, azepanyl, (thio)morpholinyl, oxazepanyl, thiazepanyl, piperazinyl, or diazepanyl; R5 = substituted amino(alkyl)cyclohexyl(alkyl)amino, amino(alkyl)piperidinyl, piperidinyl(alkyl)amino, piperazinyl, etc.; Y = O, S, or NH; Ar = (un)substituted heteroaryl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, or (un)substituted Ph; R7 = substituted aminoalkylamino or amino(alkyl)cyclohexyl(alkyl)amino; B = O, NH, or S; X = S, S(O), or SO<sub>2</sub>; R8 = H or alkyl; R9 = H, halo, CN, OH, NO<sub>2</sub>, amino, sulfo, hydroxyalkyl, alkoxyalkyl, carbamoylalkyl, alkylaminoalkyl, polyfluoroalkyl, or (amino)alkyl; m = 0-1; n = 1-2] were prepd. as selective antagonists for the neurotransmitter neuropeptide Y (Y5) receptor. For example, reaction of N-[[4-(aminomethyl)cyclohexyl]methyl]-1-naphthalenesulfonamide with 2,4-dichloro-6-(isopropylamino)triazine afforded the triazinediamine (IV) in 60% yield. Assays of IV against cloned human NPY receptors showed selectivity for NPY (Y5) with a K<sub>i</sub> of 138 nM compared to values of > 100,000 nM for NPY (Y1), (Y2), and (Y4). The functional in vitro activity for IV, characterized using a RIA of cAMP, was also detd. (pK<sub>b</sub> = 6.0). I are useful for the treatment of obesity, bulimia nervosa, sexual/reproductive disorders, depression, epileptic seizure, hypertension, cerebral hemorrhage, congestive heart failure, sleep disturbances, or any condition in which antagonism of the Y5 receptor may be beneficial.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 141 fbib hitstr abs total

L41 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:356073 CAPLUS

TI Insect repellent sunscreen compositions containing **benzotriazole** derivatives as light protecting agents

IN Goeppel, Anja

PA Beiersdorf AG, Germany

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

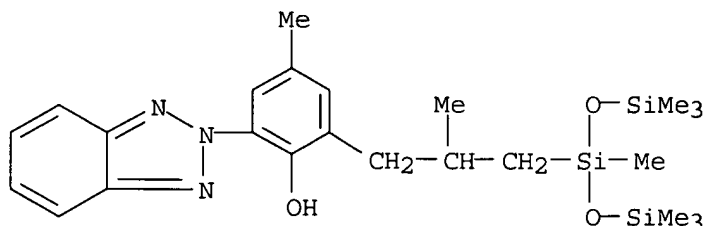
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1308153	A2	20030507	EP 2002-23341	20021018
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	DE 2001-10154111A 20011103				
IT	155633-54-8, Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]-				
	RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)				
	(insect repellent sunscreen compns. contg. <b>benzotriazole</b> derivs. as light protecting agents)				
RN	155633-54-8 CAPLUS				

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)



AB The invention concerns cosmetic and dermatol. compns. that contain at least one insect and/or spider repellent, sunscreens that are selected from the group of **benzotriazole** derivs. and addnl. UVA filters. Thus a formulation contained (wt./wt.%): Glycerin monostearate SE 0.50; glyceryl stearate citrate 2.00; PEG-100 stearate 0.50; cetyl alc. 2,50; disodium phenyldibenzimidazole tetrasulfonate 2.50; 4-methylbenzydilene camphor 4.00; diethylhexylbutamidotriazone 1.00; phenylbenzimidazole sulfonic acid 0.50; methylene bis-benzotriazolyl tetramethylbutylphenol 2.00; Repellent 3535 5.0; Titanium dioxide 1.00; butyleneglycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; PVP hexadecene copolymer 0.50; glycerin 3.00; Xanthan gum 0.15; Vitamin E acetate 0.50; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

L41 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:297607 CAPLUS

DN 138:308959

TI Compositions for giving the skin a natural suntan coloration based on Monascus-type pigments

IN Forestier, Serge; Candau, Didier; Seyler, Nathalie; Elguidj, Irene

PA L'Oreal, Fr.

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1302199	A2	20030416	EP 2002-292395	20020927
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
				FR 2001-13334	A 20011016
				FR 2001-13335	A 20011016
				FR 2001-13336	A 20011016
	FR 2830755	A1	20030418	FR 2001-13334	20011016
	FR 2830756	A1	20030418	FR 2001-13335	20011016
	FR 2830757	A1	20030418	FR 2001-13336	20011016

OS MARPAT 138:308959

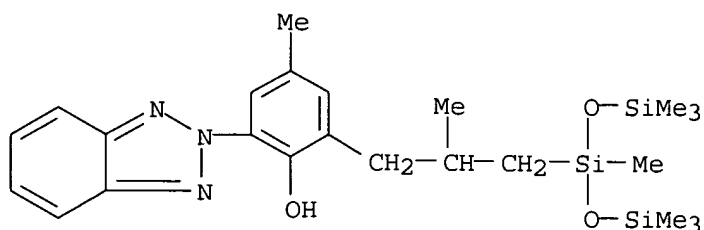
IT **155633-54-8**, Drometrizole Trisiloxane

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(compns. for giving skin natural suntan coloration based on Monascus-type pigments)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-

tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl] - (9CI) (CA INDEX NAME)



AB Cosmetic compns. for giving the skin a natural suntan coloration based on Monascus-type pigments are claimed. A cosmetic compn. contained Monascus anka pigment 1.00, abs. ethanol 49.50, propylene glycol 24.75, and water 24.75 g.

L41 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029211	A1	20030410	WO 2002-JP10142	20020930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

JP 2001-299687 A 20010928

JP 2002-142329 A 20020517

OS MARPAT 138:304304

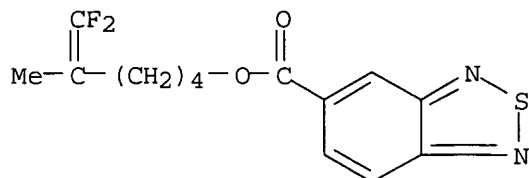
IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

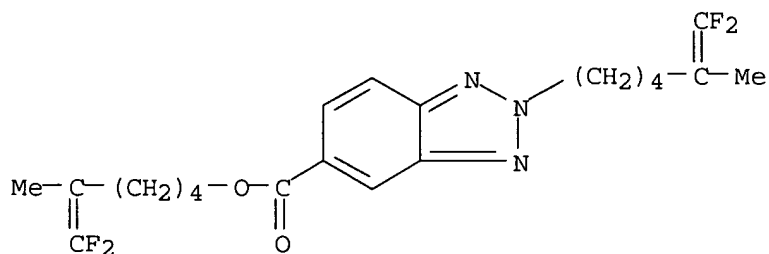
RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



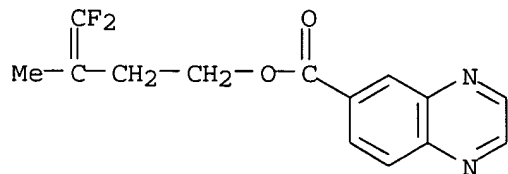
RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)



RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)

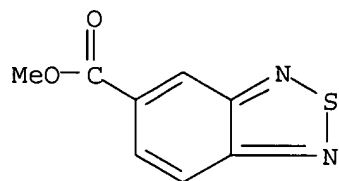


IT **175204-21-4**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)



AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula  $Q-C(:L1)-L2-(CH_2)_n-C(CF_3):CF_2$  or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prep'd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL  $CH_2Cl_2$ , treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4-carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of *Nilaparvata lugens*.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:202439 CAPLUS

DN 138:226400

TI Stabilisation of oxidation-sensitive and UV-sensitive active ingredients with dialkyl naphthalates in cosmetic formulations containing lipids

IN Wendel, Volker; Goepfel, Anja; Heinsohn, Guido

PA Beiersdorf A.-G., Germany

SO PCT Int. Appl., 40 pp.

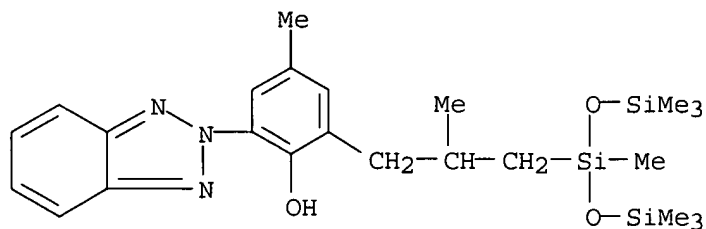
CODEN: PIXXD2

DT Patent

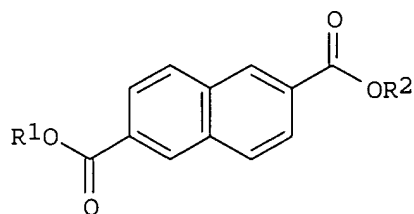
LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020234	A1	20030313	WO 2002-EP9310	20020821
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
DE 10141475	A1	20030320	DE 2001-10141475A	20010829
MARPAT 138:226400			DE 2001-10141475	20010829
IT 155633-54-8, Drometrizole trisiloxane				
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)				
(stabilization of oxidn.-sensitive and UV-sensitive active ingredients with dialkyl naphthalates in cosmetic formulations contg. lipids)				
RN 155633-54-8 CAPLUS				
CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)				



GI



I

AB The invention relates to cosmetic and dermatol. formulations comprising at least one oxidn.-sensitive and/or UV-sensitive active ingredient. The formulations are characterized in that they contain (a) at least one stabilizer from the group of the dialkyl naphthalates with structural formula (I), wherein R1 and R2 are selected independently from each other from the group of branched and unbranched C6-C24-alkyl groups, and (b) at least one lipid with a max. polarity of 30 mN/m. The compns. contain further cosmetic substances, e.g. Coenzyme Q10, Vitamins A and E, liponic acid and carotenes. Thus a O/W sunscreen lotion contained (wt./wt.%): glycerin monostearate 0.50; glyceryl stearate citrate 2.00; PEG-40 stearate 0.50; cetyl alc. 2.50; ethylhexyl triazone 4.00; octocrylene 10.0; diethylhexyl butamido triazone 1.00; phenylbenzimidazole sulfonic acid 0.50; biocetyl triazole 2.00; diethylhexyl-2,6-naphthalate 10.0; titanium dioxide 1.00; butylene glycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; PVP-hexadecene copolymer 0.50; glycerin 3.00; xanthan gum 0.15; Vitamin E 0.50; styrene-acrylate copolymer 0.80; EDTA 0.20; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:202438 CAPLUS

DN 138:226399

TI Stabilisation of UV-sensitive active ingredients with dialkyl naphthalates in cosmetic preparations

IN Wendel, Volker; Goepfel, Anja

PA Beiersdorf A.-G., Germany

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

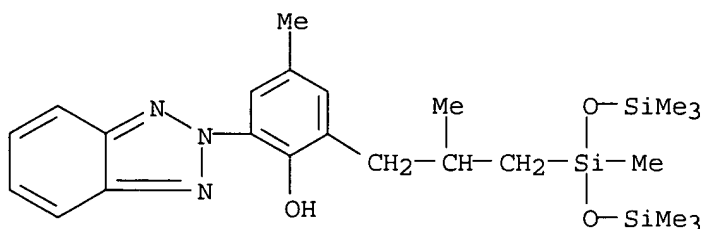
DT Patent

LA German

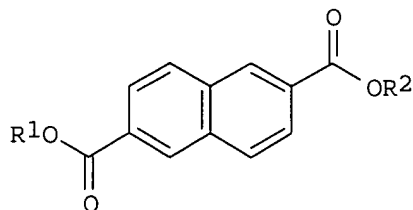
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2003020233 A2 20030313 WO 2002-EP9309 20020821  
W: US  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT,  
LU, MC, NL, PT, SE, SK, TR  
DE 2001-10141474A 20010829  
DE 10141474 A1 20030320 DE 2001-10141474 20010829  
OS MARPAT 138:226399  
IT **155633-54-8**, Drometrizole trisiloxane  
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(stabilization of UV-sensitive active ingredients with  
dialkyl naphthalates in cosmetic preps.)  
RN 155633-54-8 CAPLUS  
CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-  
tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX  
NAME)



GI



I

AB The invention relates to cosmetic and dermatol. formulations comprising at least one UV sensitive active ingredient; at least one dialkyl naphthalate of structural formula (I), wherein R1 and R2 are selected independently from each other from the group of branched and unbranched C6-C24-alkyl groups; and at least one emulsifier, selected from the group of phosphate and/or sulfate emulsifiers. The compns. contain vitamins and .alpha.-glucosylrutin. Thus a O/W sunscreen lotion contained (wt./wt.%): glycerin monostearate 0.50; glyceryl stearate citrate 2.00; PEG-40 stearate 0.50; cetyl phosphate 0.50; cetearyl sulfate 1.00; cetyl alc. 2.50; butylmethoxy dibenzoyl methane 3.00; ethylhexyl triazone 4.00; octocrylene 10.0; diethylhexyl butamido triazone 1.00; phenylbenzimidazole sulfonic acid 0.50; bis octyl triazole 2.00; diethylhexyl-2,6-naphthalate 10.0; titanium dioxide 1.00; butylene glycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; PVP-hexadecene copolymer 0.50; glycerin 3.00; xanthan gum 0.15; Vitamin E 0.50; styrene-acrylate copolymer 0.80; EDTA 0.20; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.



L41 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:202429 CAPLUS

DN 138:226395

TI Stabilisation of oxidation-sensitive and UV-sensitive active ingredients with dialkylnaphthalates and thickening agents

IN Wendel, Volker; Goepfel, Anja

PA Beiersdorf A.-G., Germany

SO PCT Int. Appl., 48 pp.

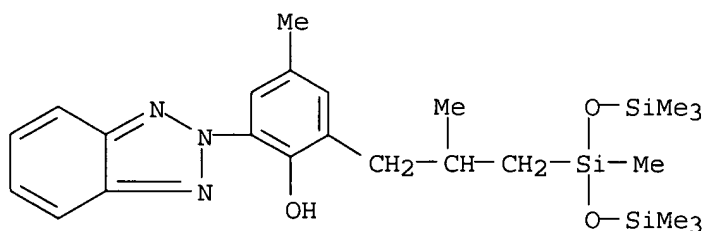
CODEN: PIXXD2

DT Patent

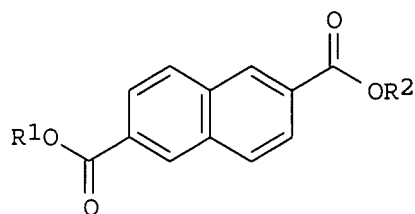
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003020224	A1	20030313	WO 2002-EP9375	20020822
	W: US				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
	DE 10141477	A1	20030320	DE 2001-10141477A	20010829
OS	MARPAT 138:226395			DE 2001-10141477	20010829
IT	<b>155633-54-8</b> , Drometrizole trisiloxane				
	RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)				
	(stabilization of oxidn.-sensitive and UV-sensitive active ingredients with dialkylnaphthalates and thickening agents)				
RN	155633-54-8 CAPLUS				
CN	Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)				



GI



I

AB The invention relates to cosmetic and dermatol. formulations comprising at least one hydrophilic active ingredient, characterized in that they contain (a) at least one dialkylnaphthalate of structural formula (I),

wherein R1 and R2 are selected independently from each other from the group of branched and unbranched C6-C24-alkyl groups, and (b) at least one wax and/or oil thickening agent. The compns. contain further cosmetic substances, e.g. Coenzyme Q10, Vitamins A and E, liponic acid and carotenes. Thus an O/W sunscreen lotion contained (wt./wt.%): glycerin monostearate 0.50; glyceryl stearate citrate 2.00; PEG-40 stearate 0.50; cetyl alc. 2.50; bisimidazylate 2.50; ethylhexyl triazone 4.00; 4-methylbenzylidene camphor 4.00; diethylhexyl butamido triazone 1.00; phenylbenzimidazole sulfonic acid 0.50; bioctyl triazole 2.00; diethylhexyl-2,6-naphthalate 3.50; titanium dioxide 1.00; butylene glycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; C18-C36 triglyceride 2.00; PVP-hexadecene copolymer 0.50; glycerin 3.00; xanthan gum 0.15; Vitamin A 0.50; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:197075 CAPLUS

DN 138:209955

TI Sunscreen composition containing a dibenzoylmethane derivative and 1,1,1,-tris-(2-methyl-4-hydroxy-5-tert-butylphenyl)butane

IN Candau, Didier; Aubert, Fabien

PA L'oreal, Fr.

SO Fr. Demande, 24 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2828809	A1	20030228	FR 2001-11139	20010827
	EP 1291008	A2	20030312	EP 2002-291957	20020802
	EP 1291008	A3	20030402		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
				FR 2001-11139 A	20010827
	JP 2003081803	A2	20030319	JP 2002-246935	20020827
				FR 2001-11139 A	20010827

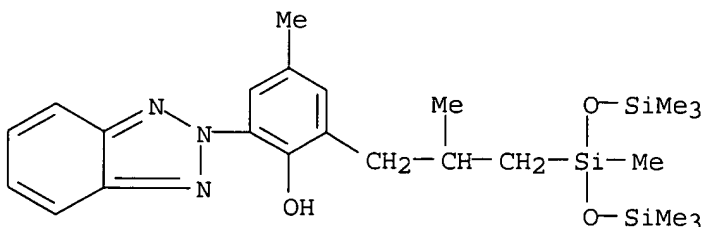
OS MARPAT 138:209955

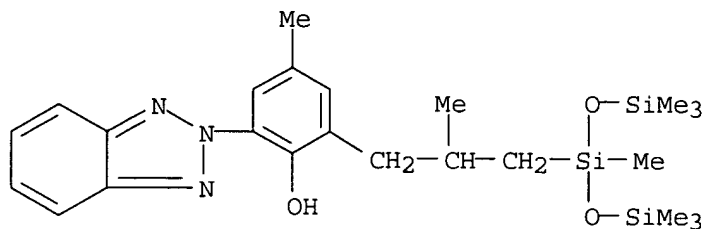
IT **155633-54-8**, Drometrizole trisiloxane

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(sunscreen compn. contg. dibenzoylmethane deriv. and tris(methylhydroxybutylphenyl)butane)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)





AB A cosmetic or dermatol. compn. for the photoprotection of skin and hair comprises a UV filter dibenzoylmethane, and 1,1,1-tris-(2-methyl-4-hydroxy-5-tert-butylphenyl)butane. A process for improving the stability of compn. is also disclosed. Thus, a formulation contained Witconol TN 15.00, Parsol-1789 2, Structure-2001 0.45, preservative 1.20, and water qs to 100%.

L41 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:130598 CAPLUS

DN 138:175549

TI Cosmetic and dermatological sunscreen compositions comprising **benzotriazoles** as UV filters and iminodisuccinic acid and/or its salts

IN Goepfel, Anja; Kranz, Ariane; Doerschner, Albrecht; Kroepke, Rainer

PA Beiersdorf Aktiengesellschaft, Germany

SO Eur. Pat. Appl., 21 pp.

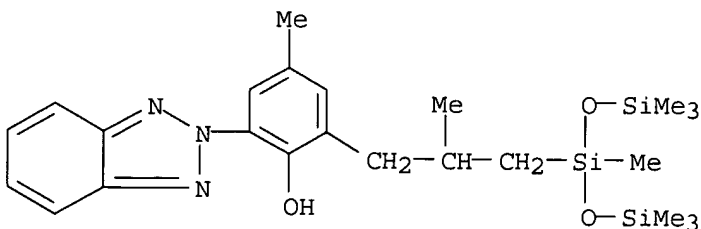
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1284131	A1	20030219	EP 2002-17993	20020812
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
				DE 2001-10140536A	20010817
	DE 10140536	A1	20030227	DE 2001-10140536	20010817
IT	<b>155633-54-8</b> , Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]-				
	RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)				
	(cosmetic and dermatol. sunscreen compns. comprising <b>benzotriazoles</b> as UV filters and iminodisuccinic acid and/or its salts)				
RN	155633-54-8 CAPLUS				
CN	Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)				



AB The invention concerns cosmetic and dermatol. sunscreen compns. that contain synergetic compns. of **benzotriazoles** and iminodisuccinic acid and/or its salts. The compns. further contain other UV-filters, .alpha.-glucosylrutin, Vitamin E or derivs. The compns. are also skin moisturizers and prevent skin from sun-related aging. Thus an O/W sunscreen emulsion contained (wt./wt.%): glyceryl monostearate SE 0.50; glyceryl stearate citrate 2.00; PEG-40 stearate 0.50; Tinosorb M 0.50; Bu methoxydibenzoyl methane 2.00; ethylhexyl triazone 4.00; 4-methylbenzylidene camphor 4.00; bisimidazylate 1.00; phenylbenzimidazole sulfonic acid 0.50; titanium dioxide 1.00; butyleneglycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; PVP-hexadecene copolymer 0.50; glycerin 3.00; xanthan gum 0.15; Vitamin E acetate 0.50; Baypure CX 100 0.30; EDTA 0.10; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:114221 CAPLUS

DN 138:158552

TI Cosmetic and dermatological light protection formulations containing of **benzotriazole** derivatives and latex particles

IN Schulz, Jens; Grundt, Wiebke; Knueppel, Anja

PA Beiersdorf AG, Germany

SO Ger. Offen., 36 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

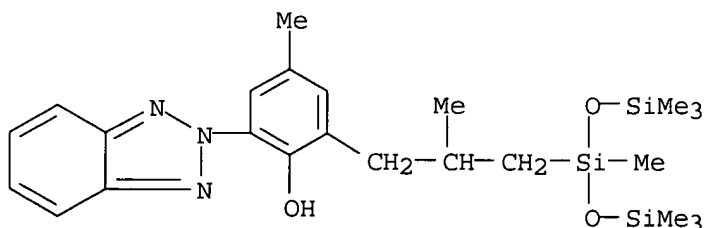
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10138499	A1	20030213	DE 2001-10138499	20010804
	WO 2003013455	A2	20030220	WO 2002-EP8582	20020801
	W: US				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
				DE 2001-10138499A	20010804

IT **155633-54-8**, Drometrizole trisiloxane

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(cosmetic and dermatol. light protection formulations contg. of **benzotriazole** derivs. and latex particles)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)



AB The invention concerns sunscreen emulsions that are synergic combinations

of **benzotriazole** derivs. and latex particles of 100-400 .mu.m size; the compns. are sand repellent. The UVB protection factor is higher in compns. with latex particles than in those without latex particles. Latex particles include holes filled with water or air; UV filters are liq. Addnl. sunscreens from the group of triazine and camphor derivs., org. and inorg. pigments are included in the prepns. Further ingredients are .alpha.-glucosylrutin and Vitamin E. The compns. are oil-free. Thus an O/W sunscreen emulsion contained (wt./wt.%): glycerin monostearate SE 0.50; glyceryl stearate citrate 2.00; PEG 40 stearate 0.50; cetyl alc. 2.50; butylmethoxydibenzoyl methane 1.00; ethylhexyl triazone 4.00; 4-methylbenzylidene camphor 4.00; diethylhexyl butamido triazone 1.00; phenylbenzimidazole sulfonic acid 0.50; methylene bis-benzotriazolyl tetramethylbutyl phenol 2.00; titanium dioxide 1.00; butylene glycol 5.00; cyclomethicone 2.00 PVP-hexadecene copolymer 0.50; glycerin 3.00; Xanthan gum 0.15; Vitamin E acetate 0.50; acrylate-styrene copolymer 1.00; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:54998 CAPLUS

DN 138:78205

TI Cosmetic compositions containing benzoazolyl, benzodiazolyl or **benzotriazole** derivatives as sunscreens and dihydroxyacetone (DHA) as skin-tanning agent

IN Knueppel, Anja; Eitrich, Anja

PA Beiersdorf AG, Germany

SO Eur. Pat. Appl., 18 pp.

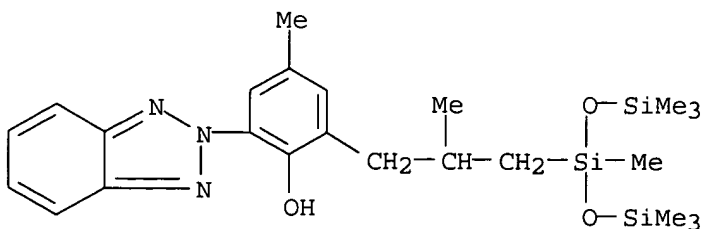
CODEN: EPXXDW

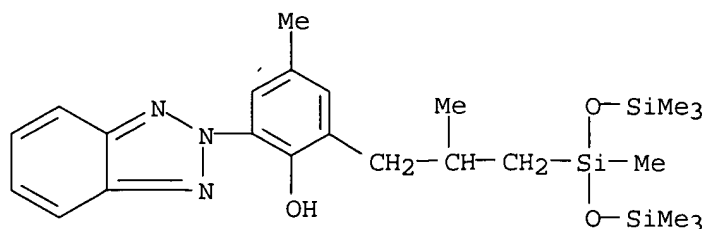
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1277460	A2	20030122	EP 2002-15838	20020716
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
				DE 2001-10135024A	20010718
	DE 10135024	A1	20030424	DE 2001-10135024	20010718
IT	<b>155633-54-8</b> , Drometrizole trisiloxane				
	RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)				
	(cosmetic compns. contg. benzoazolyl, benzodiazolyl or <b>benzotriazole</b> derivs. assunscreens and dihydroxyacetone (DHA) as skin-tanning agent)				
RN	155633-54-8 CAPLUS				
CN	Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)				





AB The invention concerns cosmetic preps. that contain sunscreens selected from benzoazolyl, benzodiazolyl or **benzotriazole** derivs. and dihydroxyacetone (DHA) as skin-tanning agent. The compns. can further contain other sunscreens in form of org. or inorg. pigments. The cosmetics are used for preventing skin aging, sunburns and for tanning. Thus an O/W emulsion contained (wt./wt.%): dihydroxyacetone 4.0; glyceryl stearate citrate 2.0; cetyl phosphate 1.0; glyceryl lanolate 0.5; disodium Ph dibenzimidazole tetrasulfonate 3.0; methylene-bis-benzotriazolyl tetra-Me butylphenol 3.0; ethylhexyl salicylate 4.0; diethylhexyl butamidotriazone 2.0; octyldodecanol 5.00; trisodium EDTA 1.00; Vitamin E acetate 0.50; iodopropynyl butylcarbamate 0.10; methylparaben 0.30; dyes (oil and water sol.) 0.01; trisodium EDTA 0.4; citrate buffer q.s.; perfume 0.3; water to 100.

L41 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:4760 CAPLUS

DN 138:61066

TI Solubilization of **1,3,5-triazine** derivatives using n-acylamino acid esters

IN Candau, Didier

PA L'Oreal, Fr.

SO Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1269980	A1	20030102	EP 2002-291554	20020621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
FR 2826264	A1	20021227	FR 2001-8426	A 20010626
US 6509008	B1	20030121	US 2002-178339	20020625
JP 2003026559	A2	20030129	JP 2002-186195	20020626
CN 1394592	A	20030205	CN 2002-124447	20020626
			FR 2001-8426	A 20010626

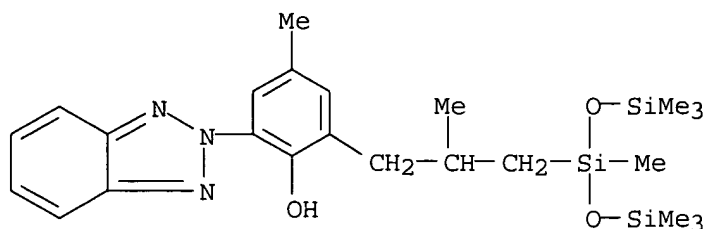
OS MARPAT 138:61066

IT **155633-54-8**, -Drometrizole Trisiloxane

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(solubilization of triazine derivs. using acylamino acid esters)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)



AB N-acylamino acid esters are used for the solubilization of 1, **3,5-triazine** derivs. in. Efficacy of N-acyl amino acid in increasing sun protection factor in sunscreen emulsions is described.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:869496 CAPLUS

DN 137:363033

TI Peptidomimetic modulators of cell adhesion

IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian

PA Can.

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.  
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002168761	A1	20021114	US 2001-769145	20010124
				US 2000-491078	A220000124

PATENT FAMILY INFORMATION:

FAN 2001:545724

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053331	A2	20010726	WO 2001-US2508	20010124
	WO 2001053331	A3	20020711		
	WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2000-491078 A 20000124

OS MARPAT 137:363033

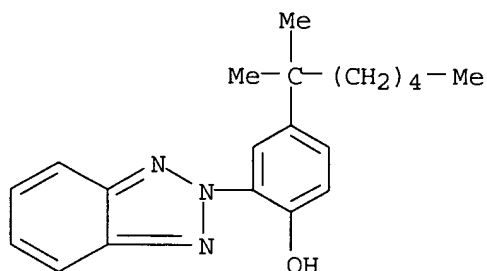
IT **188966-22-5D**, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)-, derivs. **351857-41-5**, 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- **351857-49-3**, Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- **351857-50-6**, 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]- **351857-54-0**, Morpholine,

4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]-  
**351857-55-1**, 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- **351857-56-2**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester  
**351857-57-3**, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester **351857-58-4**, Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- **351857-70-0**, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester **351858-16-7**, 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- **351858-17-8**, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- **351858-60-1**, 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)-  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

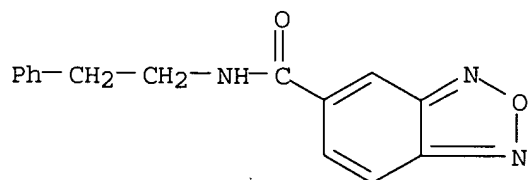
RN 188966-22-5 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX NAME)



RN 351857-41-5 CAPLUS

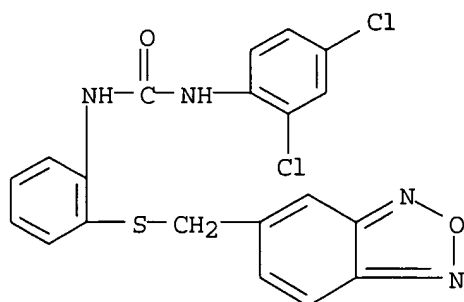
CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 351857-49-3 CAPLUS

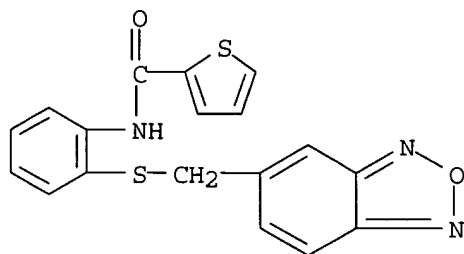
CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)





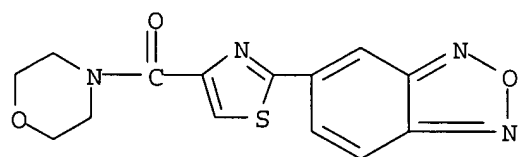
RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-yl)methyl]thio]phenyl] - (9CI) (CA INDEX NAME)



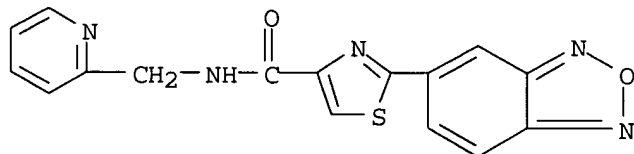
RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl] - (9CI) (CA INDEX NAME)



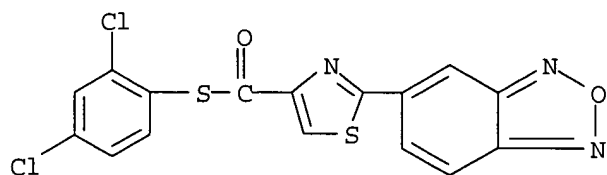
RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl) - (9CI) (CA INDEX NAME)



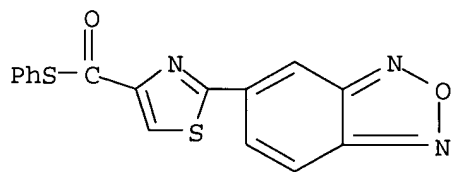
RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)



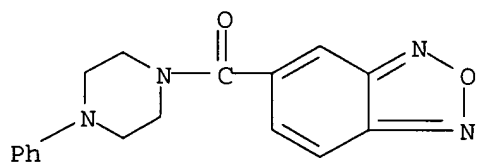
RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)



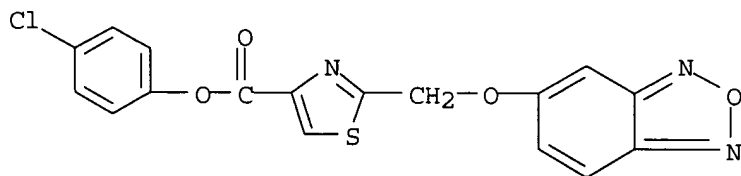
RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)



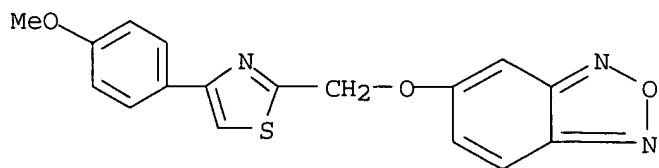
RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



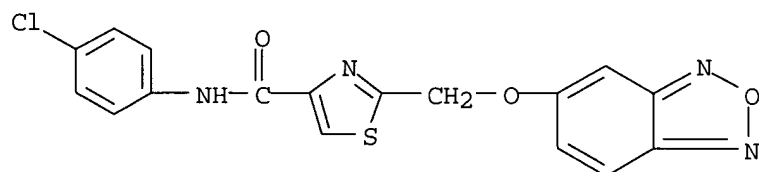
RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)



RN 351858-17-8 CAPLUS

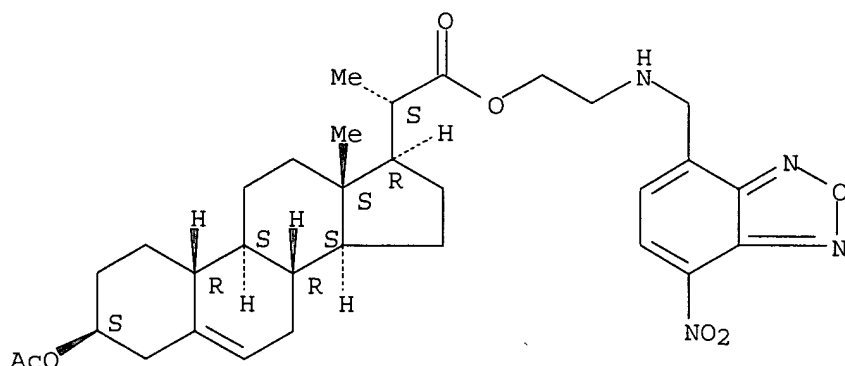
CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yl)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl] ester, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

L41 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:714121 CAPLUS

DN 137:237454

TI Use of sunscreen combinations in cosmetic and pharmaceutical preparations

IN Heidenfelder, Thomas; Tiefensee, Kirstin; Wuensch, Thomas

PA BASF Aktiengesellschaft, Germany

SO Eur. Pat. Appl., 27 pp.

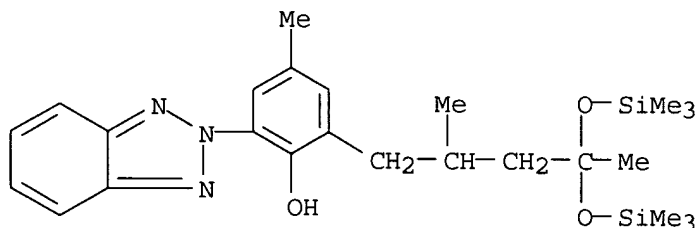
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1240894	A2	20020918	EP 2002-3206	20020219
	EP 1240894	A3	20021106		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	DE 10113058	A1	20020919	DE 2001-10113058A	20010315
	US 6488915	B1	20021203	US 2002-95224	20020312
	US 2002192167	A1	20021219		
	JP 2002308751	A2	20021023	DE 2001-10113058A	20010315
				JP 2002-69215	20020313
				DE 2001-10113058A	20010315
	AU 2002024613	A5	20020919	AU 2002-24613	20020314
				DE 2001-10113058A	20010315
	BR 2002000839	A	20030325	BR 2002-839	20020314
				DE 2001-10113058A	20010315
	CN 1382433	A	20021204	CN 2002-107541	20020315
				DE 2001-10113058A	20010315
OS	MARPAT 137:237454				
IT	<b>439660-72-7</b>				
	RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)				
	(use of sunscreen combinations in cosmetic and pharmaceutical preps.)				
RN	439660-72-7 CAPLUS				
CN	Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-4,4-bis[(trimethylsilyl)oxy]pentyl]- (9CI) (CA INDEX NAME)				



AB The invention concerns cosmetic and pharmaceutical preps. that contain combinations of UV-A and UV-B sunscreens; UV-A screens are from the group of 2-(4-alkoxy-anilinomethylene)-malonic acid esters; UV-B screens are from the group of hydroxybenzophenone derivs., diarylbutadienes, **1,3,5-triazine** derivs., **benzotriazole** derivs., siloxanes, benzimidazole derivs., and benzophenone derivs. Thus a lipstick prepn. contained (wt./wt.%): 2-(4-alkoxy-anilinomethylene)-malonic acid ester 5.00; hydroxybenzophenone deriv. 8.00; titanium dioxide 10.00; zinc oxide 5.00; castor oil 4.00; pentaerythrityl/stearate/caprate/caprylate adipate 4.00; Glyceryl Stearate SE 3.00; beeswax 2.00; microcryst. wax 2.00; quaternium -18 bentonite 2.00; PEG-45-dodecyl glycol copolymer 1.50; eucerinum anhydride to 100.

L41 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:714057 CAPLUS

DN 137:218196

TI Preparation and use of a white, biaxially oriented, crystallizable, thermoplastic film with high whiteness and additional functionality  
 IN Murschall, Ursula; Kern, Ulrich; Oberlaender, Klaus; Kiehne, Thorsten  
 PA Mitsubishi Polyester Film Gmbh, Germany  
 SO Ger. Offen., 14 pp.

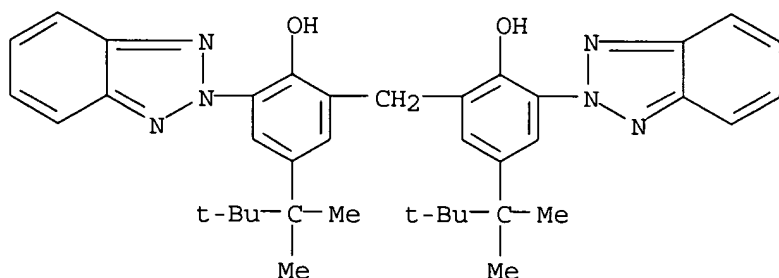
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10112493	A1	20020919	DE 2001-10112493	20010315
	EP 1256597	A2	20021113	EP 2002-5255	20020311
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				DE 2001-10112493A	20010315
	JP 2002326279	A2	20021112	JP 2002-66967	20020312
				DE 2001-10112493A	20010315
IT	<b>350511-31-8</b> , 2,2'-Methylene-bis(6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetra-methylpropyl)-phenol				
	RL: MOA (Modifier or additive use); USES (Uses)				
	(UV stabilizer; prepn. and use of a white, biaxially oriented crystallizable thermoplastic film with addnl. functionality)				
RN	350511-31-8 CAPLUS				
CN	Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)				



AB The 10-500-.mu.m-thick title film comprising preferably a base layer B and two surface layers A and C, contains as main component a crystallizable thermoplastic such as poly(ethylene terephthalate) (PET), optionally bibenzene modified (PETBB), poly(ethylene naphthalate) (PEN), and/or poly(butylene terephthalate) (PBT), and 2.0-25.0 wt.% of .gtoreq.1 TiO2-pigment (rutile type) and .gtoreq.1 optical brightener as well as optionally .gtoreq.1 further additive such as UV stabilizers (0.01-5 wt.%), hydrolysis stabilizer (0.01-1 wt.%), and/or fireproofing agents (0.5-30 wt.%). The film is suitable for interior and exterior uses, for furniture, food packagings, as construction material, packaging material, laminate, for labels, signs or medical applications. The film may contain .ltoreq.30% recycled material without any neg. influence on its properties. The title film can be functionally coated on one or both sides, sealed, and/or corona-treated.

L41 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:574879 CAPLUS

DN 137:145180

TI Cosmetic composition for treating keratinous materials comprising a cationic poly(alkyl) vinyl lactam polymer and a protecting or conditioning agent

IN Cottard, Francois; De La Mettrie, Roland

PA L'Oreal, Fr.

SO PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002058646	A1	20020801	WO 2002-FR251	20020122
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				FR 2001-1108	A 20010126
	FR 2820030	A1	20020802	FR 2001-1108	20010126
	FR 2820030	B1	20030411		

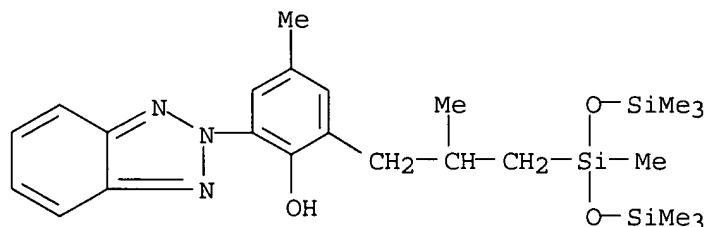
IT 155633-54-8

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)

(cosmetic compn. for treating keratinous materials comprising cationic poly(alkyl) vinyl lactam polymer and protecting or conditioning agent)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

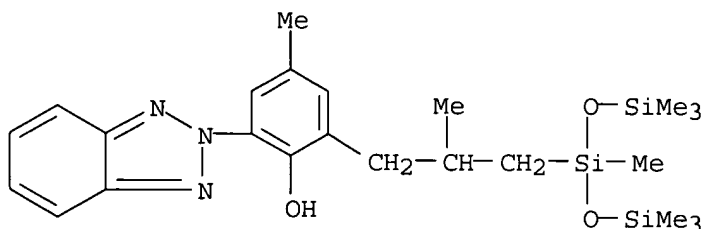


AB The invention concerns a compn. for treating keratinous materials, in particular hair, comprising, in a physiol. and in particular cosmetically acceptable medium, at least a protecting and conditioning agent, and addnl. at least a cationic poly(alkyl) vinyl lactam polymer. Said combinations enable to improve deposition of the agent protecting or conditioning the keratinous materials and the cosmetic properties. A shampoo contained ethoxylated sodium lauryl sulfate 17, 30% cocoylbetaine 2.5, Polymer ACP-1234 (a quaternary ammonium acrylic polymer) 1, copra acid monoisopropanolamide 0.6, Uvinul MS40 0.1, perfume, preservatives and water q.s 100 g.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2003 ACS  
 AN 2002:555324 CAPLUS  
 DN 137:114247  
 TI Sunscreen compositions comprising a **1,3,5-triazine** derivative and a tricarboxylic acid triester as solvent  
 IN Candau, Didier  
 PA L'oreal, Fr.  
 SO PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002056851	A1	20020725	WO 2002-FR78	20020110
	W:				
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				FR 2001-750	A 20010119
	FR 2819717	A1	20020726	FR 2001-750	20010119
	FR 2819717	B1	20030314		
OS	MARPAT 137:114247				
IT	<b>155633-54-8</b> , Drometrizole trisiloxane				
	RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)				
	(sunscreen compns. comprising <b>1,3,5-triazine</b> deriv. and tricarboxylic acid triester as solvent)				
RN	155633-54-8 CAPLUS				
CN	Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)				



AB The invention concerns novel cosmetic or dermatol. compns., in particular for solar protection of the skin and/or hair, exhibiting enhanced solar protection power, and characterized in that they comprise, in a cosmetically and/or dermatol. acceptable support: (i) at least a **1,3,5-triazine** deriv. (filter); (ii) at least a tricarboxylic acid triester (solvent) in an amt. sufficient for solubilizing on its own said deriv. completely. The invention also concerns their use for protecting the skin, the lips, the eyelashes, the eyebrows, the nails against UV radiation effects. A sunscreen contained

Arlacel 165FL 1, cetyl alc. 0.5, Stearine TP 2.5, polydimethylsiloxane 0.5, tridecyl trimellitate 20, 2,4-bis{[(4-2-ethylhexyloxy)2-hydroxy]phenyl}-6-(4-methoxyphenyl)-1,3,5-triazine 5, glycerin 5, Pemulen TR1 1, hydroxypropyl Me cellulose 0.1, triethanolamine q.s. pH = 7, preservatives and water q.s. 100 g.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:487359 CAPLUS

DN 137:52063

TI Sunscreen composition containing a 1,3,5-triazine derivative, a dibenzoylmethane derivative, and a 4,4-diarylbutadiene compound

IN Candau, Didier

PA L'Oreal, Fr.

SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002049599	A2	20020627	WO 2001-FR3639	20011120
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	RW:				GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
				FR 2000-16517	A 20001218
	FR 2818126	A1	20020621	FR 2000-16517	20001218
	FR 2818126	B1	20030207		
	AU 2002018392	A5	20020701	AU 2002-18392	20011120
				FR 2000-16517	A 20001218
				WO 2001-FR3639	W 20011120

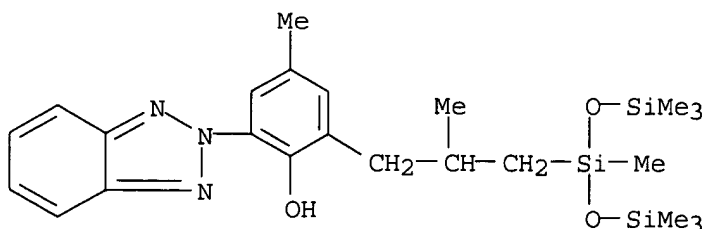
OS MARPAT 137:52063

IT 155633-54-8, Drometrizole trisiloxane

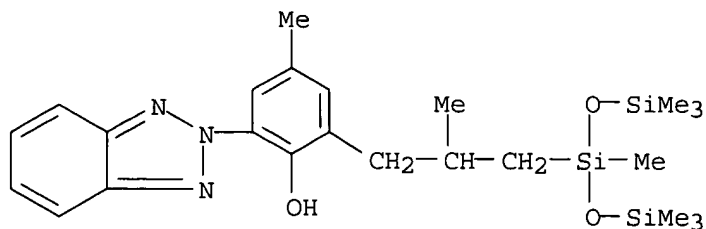
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(sunscreen compn. contg. triazine deriv., dibenzoylmethane deriv., and diarylbutadiene compd.)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)







AB The invention concerns a cosmetic or dermatol. compn., for topical use, in particular for skin and hair solar protection, characterized in that it comprises, in a cosmetically acceptable carrier: (a) at least a dibenzoylmethane deriv. and (b) at least a photosensitive 1, 3,5-triazine deriv. in the presence of a dibenzoylmethane deriv. and (c) at least a 4,4-diarylbutadiene diarylbutadiene compd., the wt. ratio of the 4,4-diarylbutadiene over the dibenzoylmethane deriv. being higher than 2.5 and said compn. not contg. cinnamate deriv. The invention also concerns a method for improving the light-stability of a photosensitive 1,3,5-triazine deriv. in the presence of a UV filter of the dibenzoylmethane type which consists in adding to the triazine deriv./dibenzoylmethane deriv. combination an efficient amt. of at least a 4,4-diarylbutadiene compd. A sunscreen contained Arlacel 165 FL 2, Lanette-18 1, Stearine TP 2.5, polydimethylsiloxane 0.5, Witconol TN 20, a dibenzoylmethane sulfonate deriv. 6, Bu methoxydibenzoylmethane (Parsol 1789) 2, Et hexyl triazone (Uvinul T150) 5, glycerin 4, triethanolamine 0.8, polyacrylic acid 0.4, preservative and water q.s. 100 g.

L41 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:487357 CAPLUS

DN 137:67911

TI Cosmetic sunscreen compositions based on a synergic mixture of UV filters and their uses

IN Candau, Didier

PA L'Oreal, Fr.

SO PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DT Patent

LA French

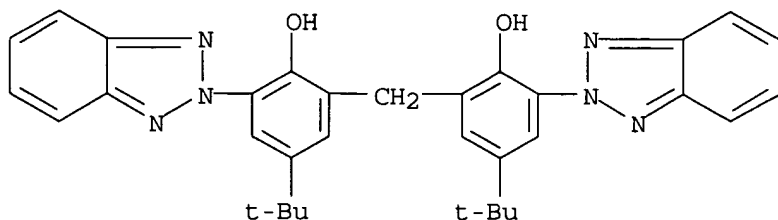
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049597	A2	20020627	WO 2001-FR3637	20011120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2818128	A1	20020621	FR 2000-16520	A 20001218
AU 2002018390	A5	20020701	FR 2000-16520	20001218
			AU 2002-18390	20011120
			FR 2000-16520	A 20001218
			WO 2001-FR3637	W 20011120

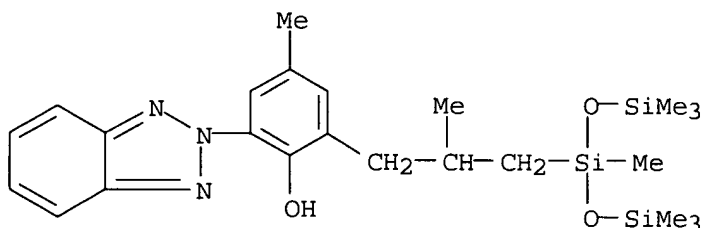
OS MARPAT 137:67911

IT 154778-80-0 155633-54-8, Drometrizole trisiloxane  
162245-07-0RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(cosmetic sunscreen compns. based on synergic mixt. of UV filters and  
their uses)

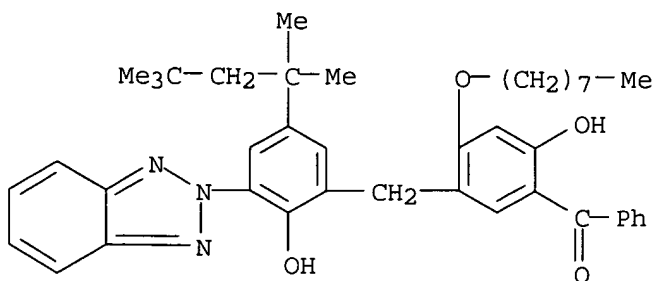
RN 154778-80-0 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1-dimethylethyl)-  
(9CI) (CA INDEX NAME)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-  
tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX  
NAME)

RN 162245-07-0 CAPLUS

CN Methanone, [5-[[3-(2H-benzotriazol-2-yl)-2-hydroxy-5-(1,1,3,3-  
tetramethylbutyl)phenyl]methyl]-2-hydroxy-4-(octyloxy)phenyl]phenyl- (9CI)  
(CA INDEX NAME)AB The invention concerns novel cosmetic or dermatol. compns. for topical  
use, in particular for skin and hair protection, characterized in that  
they comprise, in a cosmetically acceptable carrier, at least: (a) an

insol. org. UV filter with particle size ranging between 10 nm and 5 .mu.m, as first filter and (b) a 4,4-diarylbutadiene compd. as second filter. The invention also concerns their uses for skin and hair protection against the effects of UV radiation. A sunscreen contained Arlacel 165 FL 2, Lanette-18 1, Stearine TP 2.5, polydimethylsiloxane 0.5, Witconol TN 20, an arylvinyl ketone deriv. 8, glycerin 4, triethanolamine 0.8, methylene bis-benzotriazolyl tetramethylbutylphenol (Tinosorb M) 5, polyacrylic acid 0.4, preservative and water q.s. 100 g.

L41 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:330194 CAPLUS

DN 136:345488

TI Cosmetic composition containing a retinoid and a silicone **benzotriazole**

IN Martin, Guenaelle; Touzan, Philippe

PA L'oreal, Fr.

SO Eur. Pat. Appl., 17 pp.

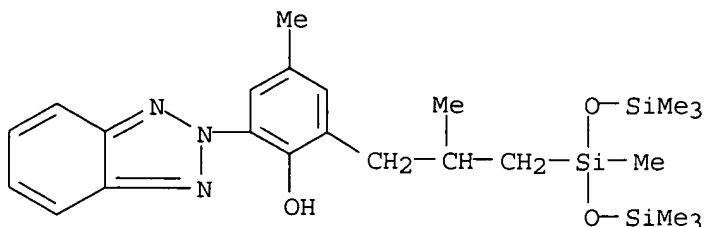
CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1201228	A1	20020502	EP 2001-402554	20011003
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	FR 2815857	A1	20020503	FR 2000-13938	A 20001030
	FR 2815857	B1	20030214	FR 2000-13938	20001030
	CN 1350839	A	20020529	CN 2001-137563	20011029
	JP 2002179545	A2	20020626	FR 2000-13938	A 20001030
	US 2002081271	A1	20020627	JP 2001-331598	20011029
				FR 2000-13938	A 20001030
				US 2001-984492	20011030
				FR 2000-13938	A 20001030
IT	<b>155633-54-8</b>				
	RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)				
	(cosmetic compn. contg. retinoid and silicone <b>benzotriazole</b> )				
RN	155633-54-8 CAPLUS				
CN	Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)				

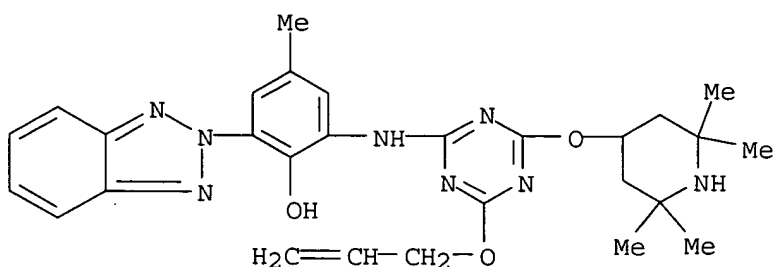


AB Cosmetic compns. contg. a retinoid, e.g. retinol, and a silicone **benzotriazole** are used for treatment or prevention of intrinsic or photo-induced skin aging. The silicone **benzotriazole** in the compn. can filter the UV without degrading the retinoids. A

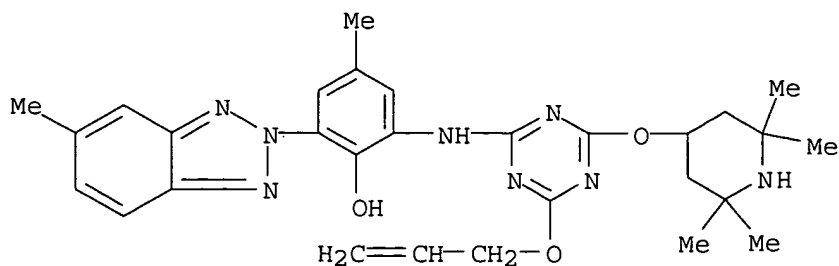
cosmetic compn. contained PEG-100 stearate and glyceryl stearate 2.1, Polysorbate-60 0.9, cetyl alc. 2.6, hydrogenated polyisobutene 12, hexyldecanol 8, BHT 0.1, preservatives 0.70, a silicone **benzotriazole** 3, glycerin 3, pentasodium ethylenediamine tetramethylene phosphonic acid 0.07, xanthan gum 0.1, carbomer 0.4, triethanolamine 0.38, and water q.s. 100%.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2003 ACS  
AN 2001:831893 CAPLUS  
DN 136:184461  
TI Synthesis of new combined 2,2,6,6-tetramethylpiperidine-2-hydroxyphenylbenzotriazole **1,3,5-triazine** derivatives as stabilizers for polymers  
AU Bojinov, Vladimir B.; Grabchev, Ivo  
CS Organic Synthesis Department, University of Chemical Technology and Metallurgy, Sofia, 1756, Bulg.  
SO Polymer Degradation and Stability (2001), 74(3), 543-550  
CODEN: PDSTDW; ISSN: 0141-3910  
PB Elsevier Science Ltd.  
DT Journal  
LA English  
IT 399017-99-3P 399018-00-9P 399018-01-0P  
399018-02-1P 399018-03-2P 399018-04-3P  
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(monomer; synthesis of polymerizable 2,2,6,6-tetramethylpiperidine-2-hydroxyphenylbenzotriazole **1,3,5-triazine** derivs. as light stabilizers in prepn. of Me methacrylate polymers)  
RN 399017-99-3 CAPLUS  
CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[[4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazin-2-yl]amino]- (9CI)  
(CA INDEX NAME)

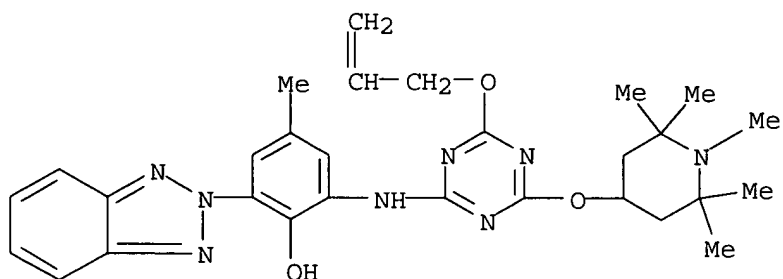


RN 399018-00-9 CAPLUS  
CN Phenol, 4-methyl-2-(5-methyl-2H-benzotriazol-2-yl)-6-[[4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazin-2-yl]amino]- (9CI)  
(CA INDEX NAME)



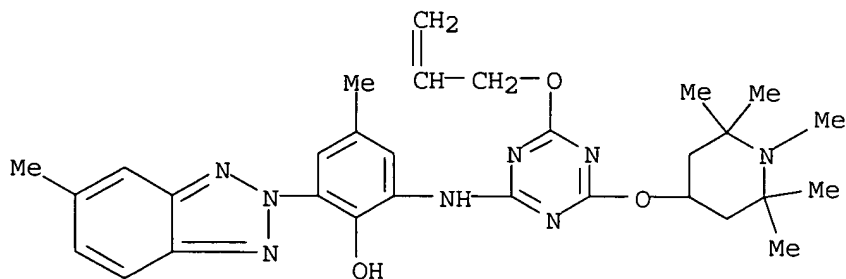
RN 399018-01-0 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[[4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]- (9CI) (CA INDEX NAME)



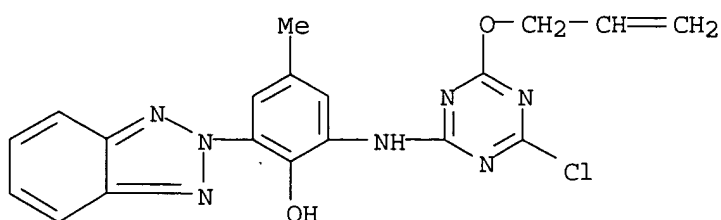
RN 399018-02-1 CAPLUS

CN Phenol, 4-methyl-2-(5-methyl-2H-benzotriazol-2-yl)-6-[[4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]- (9CI) (CA INDEX NAME)



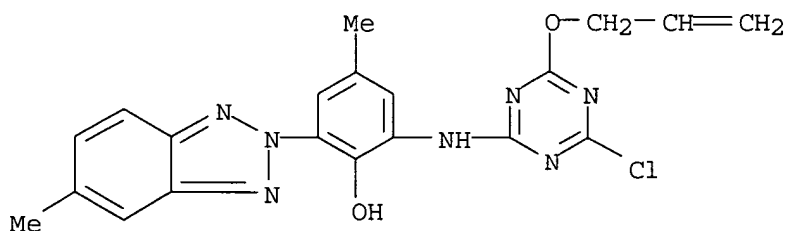
RN 399018-03-2 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methyl- (9CI) (CA INDEX NAME)



RN 399018-04-3 CAPLUS

CN Phenol, 2-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)- (9CI) (CA INDEX NAME)

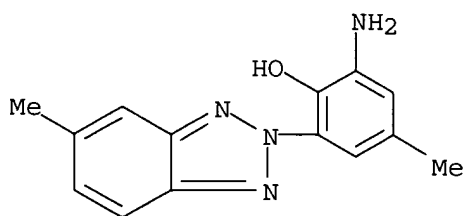


IT 399017-98-2P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of polymerizable 2,2,6,6-tetramethylpiperidine-2-hydroxyphenylbenzotriazole 1,3,5-triazine derivs. as light stabilizers in prepn. of Me methacrylate polymers)

RN 399017-98-2 CAPLUS

CN Phenol, 2-amino-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)- (9CI) (CA INDEX NAME)



IT 399018-07-6P 399018-08-7P 399018-09-8P  
399018-10-1P 399018-11-2P 399018-12-3P  
399018-13-4P 399018-14-5P 399018-15-6P  
399018-16-7P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of polymerizable 2,2,6,6-tetramethylpiperidine-2-hydroxyphenylbenzotriazole 1,3,5-triazine derivs. as light stabilizers in prepn. of Me methacrylate polymers)

RN 399018-07-6 CAPLUS

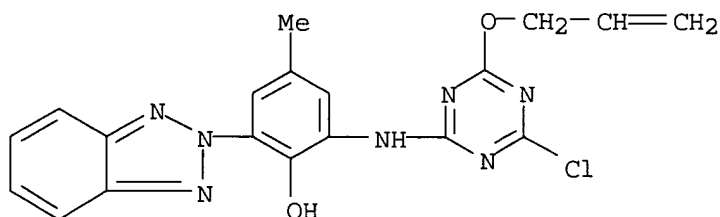
CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with

2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methylphenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-03-2

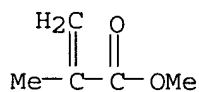
CMF C19 H16 Cl N7 O2



CM 2

CRN 80-62-6

CMF C5 H8 O2



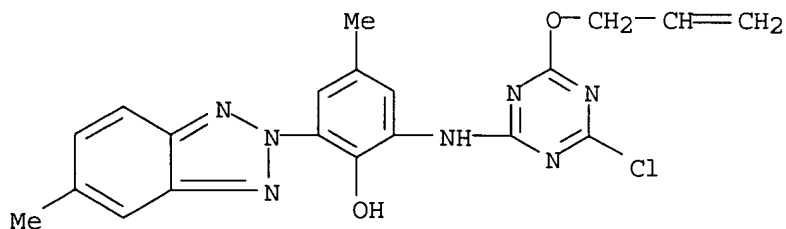
RN 399018-08-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
2-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-04-3

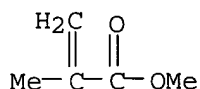
CMF C20 H18 Cl N7 O2



CM 2

CRN 80-62-6

CMF C5 H8 O2



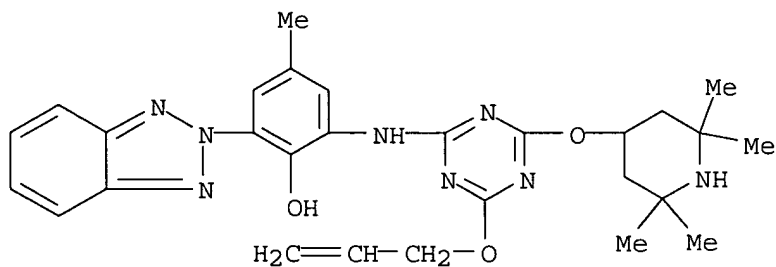
RN 399018-09-8 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
2-(2H-benzotriazol-2-yl)-4-methyl-6-[[4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazin-2-yl]amino]phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399017-99-3

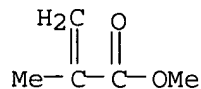
CMF C28 H34 N8 O3



CM 2

CRN 80-62-6

CMF C5 H8 O2



RN 399018-10-1 CAPLUS

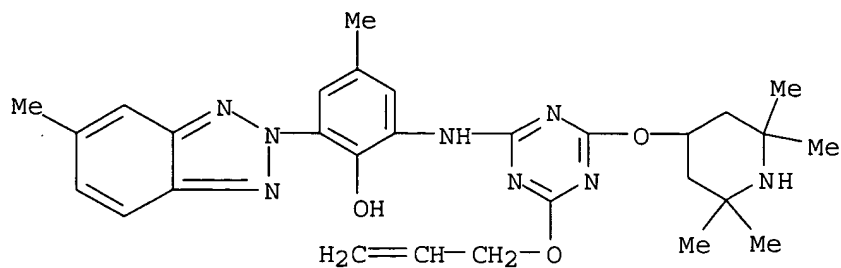
CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
4-methyl-2-(5-methyl-2H-benzotriazol-2-yl)-6-[[4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazin-2-yl]amino]phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-00-9

CMF C29 H36 N8 O3

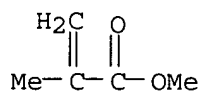




CM 2

CRN 80-62-6

CMF C5 H8 O2



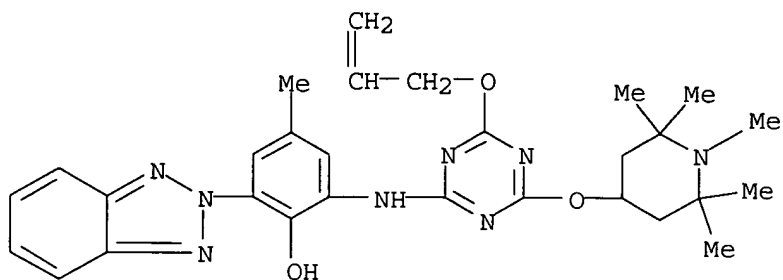
RN 399018-11-2 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
 2-(2H-benzotriazol-2-yl)-4-methyl-6-[[4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]phenol (9CI)  
 (CA INDEX NAME)

CM 1

CRN 399018-01-0

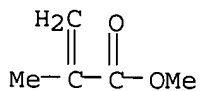
CMF C29 H36 N8 O3



CM 2

CRN 80-62-6

CMF C5 H8 O2



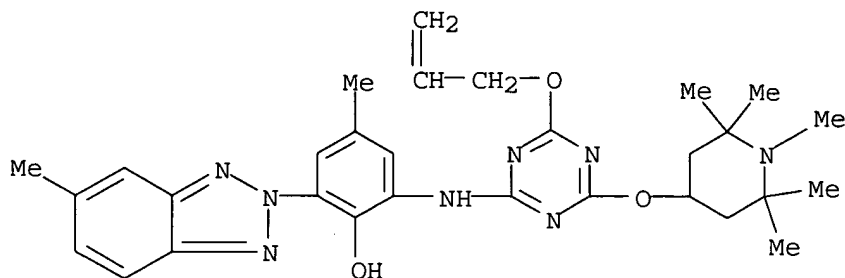
RN 399018-12-3 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
 4-methyl-2-(5-methyl-2H-benzotriazol-2-yl)-6-[[4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]phenol (9CI)  
 (CA INDEX NAME)

CM 1

CRN 399018-02-1

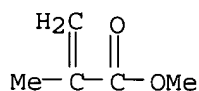
CMF C30 H38 N8 O3



CM 2

CRN 80-62-6

CMF C5 H8 O2



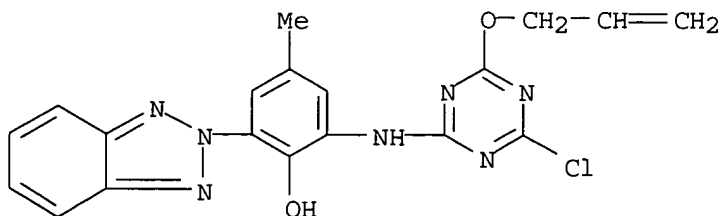
RN 399018-13-4 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methylphenol and 2-chloro-4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazine (9CI) (CA INDEX NAME)

CM 1

CRN 399018-03-2

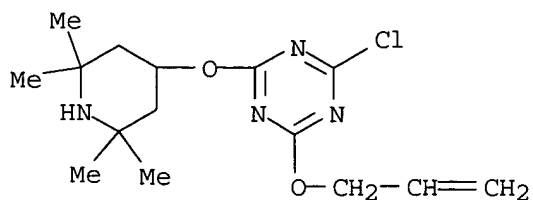
CMF C19 H16 Cl N7 O2



CM 2

CRN 219320-48-6

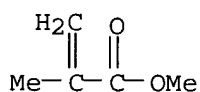
CMF C15 H23 Cl N4 O2



CM 3

CRN 80-62-6

CMF C5 H8 O2



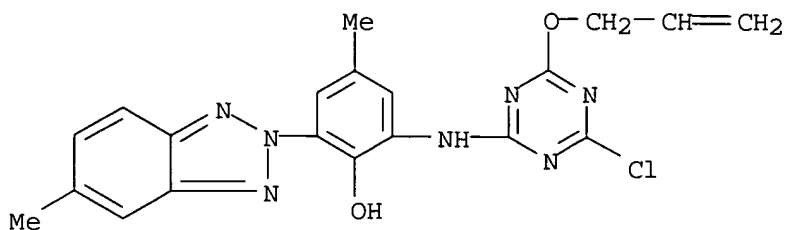
RN 399018-14-5 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
 2-chloro-4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-  
 1,3,5-triazine and 2-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-  
 yl]amino]-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)phenol (9CI) (CA  
 INDEX NAME)

CM 1

CRN 399018-04-3

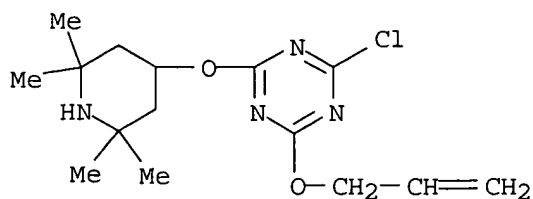
CMF C20 H18 Cl N7 O2



CM 2

CRN 219320-48-6

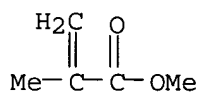
CMF C15 H23 Cl N4 O2



CM 3

CRN 80-62-6

CMF C5 H8 O2



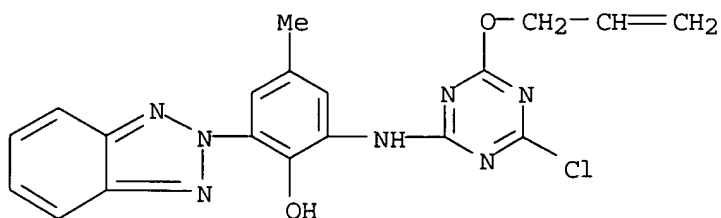
RN 399018-15-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methylphenol and 2-chloro-4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazine (9CI) (CA INDEX NAME)

CM 1

CRN 399018-03-2

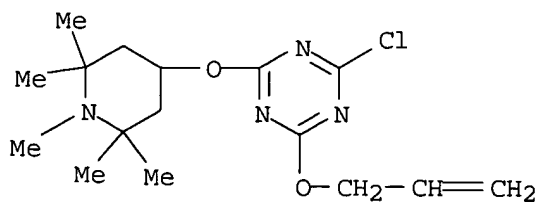
CMF C19 H16 Cl N7 O2



CM 2

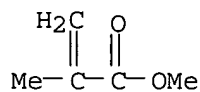
CRN 399017-97-1

CMF C16 H25 Cl N4 O2



CM 3

CRN 80-62-6  
CMF C5 H8 O2

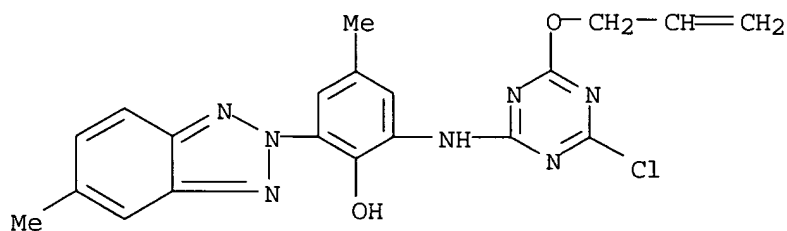


RN 399018-16-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with  
2-chloro-4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-  
1,3,5-triazine and 2-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-  
yl]amino]-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)phenol (9CI) (CA  
INDEX NAME)

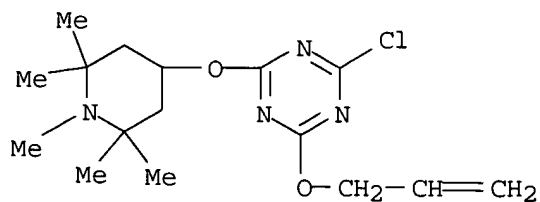
CM 1

CRN 399018-04-3  
CMF C20 H18 Cl N7 O2



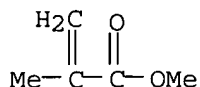
CM 2

CRN 399017-97-1  
CMF C16 H25 Cl N4 O2



CM 3

CRN 80-62-6  
CMF C5 H8 O2



AB New stabilizer compds. (a combination of 2,2,6,6-tetramethylpiperidine and 2-hydroxyphenylbenzotriazole in one mol.) were synthesized. Four polymerizable combined stabilizers as well as two unsatd. triazinyl-2,2,6,6-tetramethylpiperidines and two unsatd. triazinyl-2-hydroxyphenylbenzotriazoles as individual stabilizers were synthesized. Their copolymers and the ter-copolymers of the individual stabilizers with Me methacrylate were obtained. Chem. bonding of the stabilizers in the polymer was confirmed spectrophotometrically. The influence of these additives on the photostability of the copolymers was studied. The participation of the combined stabilizers in the polymn. did not significantly affect the mol. wt. or polydispersity of the copolymers. A significant stabilizing effect against photodegrdn. was found.

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:752426 CAPLUS

DN 136:151935

TI Influence of polymer matrixes on the photophysical properties of UV absorbers

AU Stein, Martin; Keck, Juergen; Waiblinger, Frank; Fluegge, Anja P.; Kramer, Horst E. A.; Hartschuh, Achim; Port, Helmut; Leppard, David; Rytz, Gerhard

CS Institut fuer Physikalische Chemie, Universitaet Stuttgart, Stuttgart,  
D-70569, Germany

SO Journal of Physical Chemistry A (2002), 106(10), 2055-2066

CODEN: JPCAFH; ISSN: 1089-5639

PB American Chemical Society

DT Journal

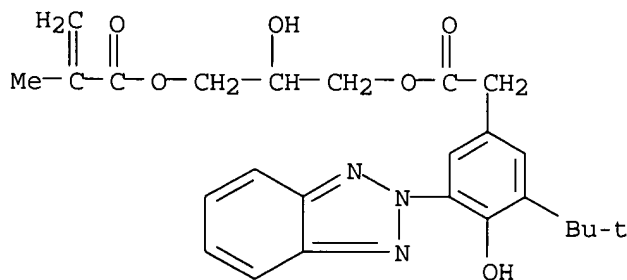
LA English

IT 381164-50-7

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)  
(UV-absorbing monomer; reactivity ratio in radical polymn. with Me methacrylate and styrene)

RN 381164-50-7 CAPLUS

CN Benzeneacetic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester (9CI)  
(CA INDEX NAME)



IT 381164-51-8P 381164-52-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(effect of polymer matrixes on photophys. properties of UV absorbers)

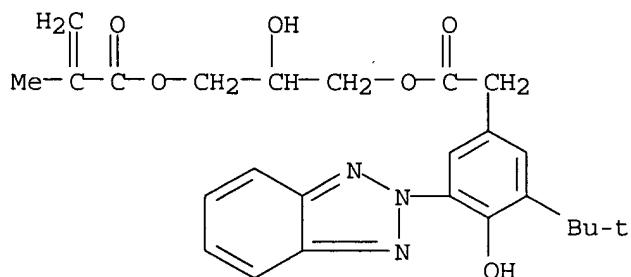
RN 381164-51-8 CAPLUS

CN Benzeneacetic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 381164-50-7

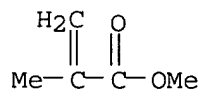
CMF C25 H29 N3 O6



CM 2

CRN 80-62-6

CMF C5 H8 O2



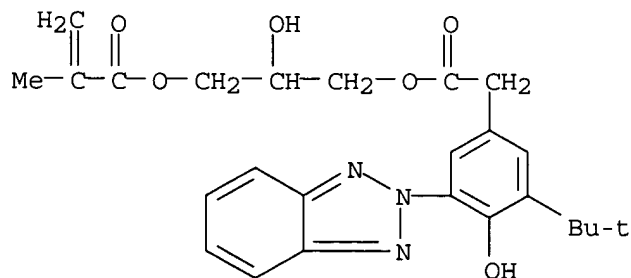
RN 381164-52-9 CAPLUS

CN Benzeneacetic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 381164-50-7

CMF C25 H29 N3 O6



CM 2

CRN 100-42-5

CMF C8 H8

 $\text{H}_2\text{C}=\text{CH}-\text{Ph}$ 

AB The copolymn. parameters for monomer pairs of the copolymerizable UV absorbers MA-TIN 1 (2-[2-hydroxy-3-tert-butyl-5-(O-[2-hydroxy-3-(2-methylpropenoyloxy)propyl]-2-carbonyloxyethyl)phenyl]**benzotriazole**) and MA-TZ 1 (2,4-bis(2,4-dimethylphenyl)-6-[2-hydroxy-4-(2-hydroxy-3-[2-methylpropenoyloxy])propoxyphenyl]-**1,3,5-triazine**) with styrene and Me methacrylate were detd. The UV absorbers were present to a higher extent in the copolymers than they are when simply present as mixts. of monomeric UV absorbers in the monomer feed. At higher temps., the radiationless deactivation from the excited proton-transferred singlet state becomes more efficient for the UV absorbers phys. mixed in the polymer than for the resp. polymeric UV absorbers. MA-TZ 1 embedded in poly(Me methacrylate) shows an equal increase of phosphorescence intensity with UV irradiation time as the decrease of the proton-transferred fluorescence. By combining fluorescence and phosphorescence measurements it becomes possible to est. the proportion of UV stabilizer mols. with an intermol. hydrogen bridge to poly(Me methacrylate) and which are not suitable for light protection of polymers at room temp. At low pressure and temp., the increase of light-induced phosphorescence was delayed. This "phosphorescence induction" phenomenon can be ascribed to the free vol. of polymer matrixes in which various UV absorbers have been incorporated. The emission spectroscopic results are applicable to products which are customary in trade, as shown by investigations on a clear coat binder system.

RE.CNT 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:574592 CAPLUS

DN 135:170485

TI UV-screening compositions containing a mono- or polycarboxylic naphthalenic acid derivative complex with a UV-screening hydroxyphenylbenzotriazole derivative

PA L'Oreal, Fr.

SO Fr. Demande, 27 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2801206	A1	20010525	FR 1999-14583	19991119
	FR 2801213	A1	20010525	FR 1999-16272	19991222
				FR 1999-14583 A	19991119

OS MARPAT 135:170485

IT **154778-80-0 155633-54-8 353274-51-8**

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

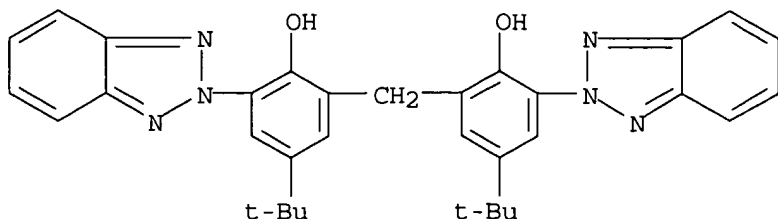
(UV-screening compns. contg. mono- or polycarboxylic naphthalenic acid



deriv. complex with UV-screening hydroxyphenylbenzotriazole deriv.)

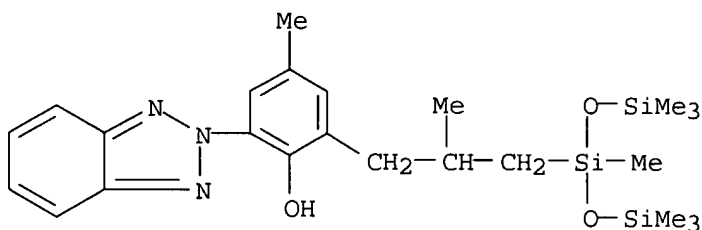
RN 154778-80-0 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1-dimethylethyl)-  
(9CI) (CA INDEX NAME)



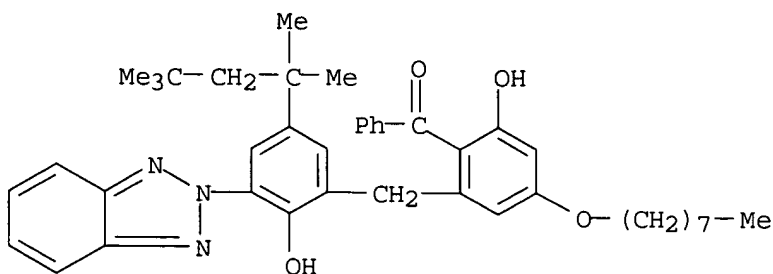
RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)



RN 353274-51-8 CAPLUS

CN Methanone, [2-[[3-(2H-benzotriazol-2-yl)-2-hydroxy-5-(1,1,3,3-tetramethylbutyl)phenyl]methyl]-6-hydroxy-4-(octyloxy)phenyl]phenyl- (9CI)  
(CA INDEX NAME)



AB The title hair and skin sunscreens are disclosed (Markush structure given). A sunscreen contained Arlacel 165 FL 2, stearyl alc. 1, palm oil stearic acid 2.5, polydimethylsiloxane 0.5, Witconol TN 20, triethanolamine 0.5, methylene bis(tetramethylbutylhydroxyphenyl **benzotriazole**) 5, glycerin 5, Amphisol K 1, polyacrylic acid 0.3, hydroxypropyl Me cellulose 0.1, Bu methoxydibenzoyl methane 2, Hallbrite TQ (a naphthalene dicarboxylic acid deriv.) 4, drometrizole trisiloxane 3, triethanolamine q.s. pH = 7, preservative q.s. and water q.s. 100 g.

L41 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545789 CAPLUS

DN 135:123617

TI Amorphous, structured, transparently colored UV-absorbing film, its production and its use

IN Murschall, Ursula; Dietz, Wolfgang; Crass, Guenther; Kern, Ulrich

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 31 pp.

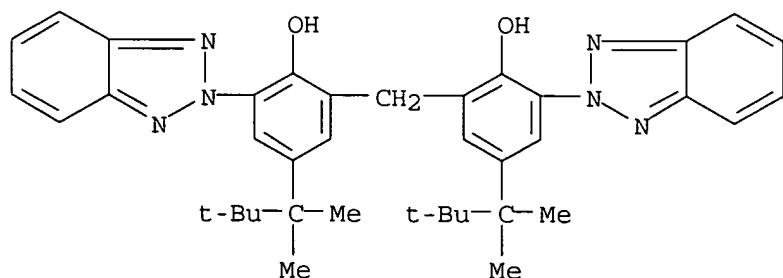
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053403	A2	20010726	WO 2001-EP280	20010111
	WO 2001053403	A3	20011213		
	W: JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	DE 10002155	A1	20010726	DE 2000-10002155A	20000120
IT	350511-31-8			DE 2000-10002155	20000120
	RL: MOA (Modifier or additive use); USES (Uses)				
	(UV absorber; in amorphous, structured, tinted transparent UV-absorbing films)				
RN	350511-31-8	CAPLUS			
CN	Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)]				



AB The invention relates to an amorphous, structured, transparently tinted, UV light-absorbing film made from a crystallizable thermoplastic of thickness 30-1000 .mu.m. The film contains at least one dyes and one UV absorber, both of which are sol. in the thermoplastic (preferably polyester) and is characterized by good optical properties, high light transmission in the wavelength range .gtoreq. 400 nm, preferably 420-800 nm, economical thermoformability, and absorption of short wave UV light in the wavelength range < 380 nm. An example was given which used poly(ethylene terephthalate), Tinuvin 1577 UV absorber, C.I. Solvent Red 138, and a fluorescent brightener.

L41 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545788 CAPLUS

DN 135:123616

TI Amorphous structured, transparent UV-absorbing film, its production and

its use

IN Murschall, Ursula; Dietz, Wolfgang; Crass, Guenther; Kern, Ulrich

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 33 pp.

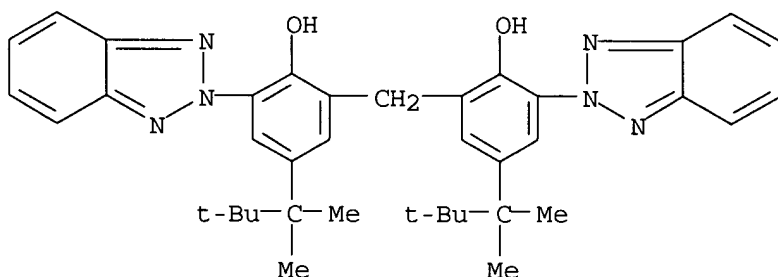
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053402	A1	20010726	WO 2001-EP278	20010111
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IT	<b>350511-31-8</b>				
	RL: MOA (Modifier or additive use); USES (Uses)				
	(UV absorber; amorphous structured, transparent UV-absorbing films contg.)				
RN	350511-31-8 CAPLUS				
CN	Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)				



AB The invention relates to an amorphous, structured, transparent, UV light-absorbing film made from a crystallizable thermoplastic of thickness 30-1000 .mu.m. The film contains at least one fluorescent brightener and one UV absorber, both of which are sol. in the thermoplastic (preferably polyester) and is characterized by good optical properties, high light transmission in the wavelength range .gtoreq. 400 nm, a structured surface, and the absorption of short-wave UV light in the wavelength range .ltoreq. 360 nm. An example was given which contained poly(ethylene terephthalate), Tinuvin 1577 UV absorber, and a triazine phenylcoumarin fluorescent brightener.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545783 CAPLUS

DN 135:123612

TI White, flame-resistant UV-stable film made from a crystallizable thermoplastic, its production and its use

IN Murschall, Ursula; Stopp, Andreas; Crass, Guenther; Kern, Ulrich

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053395	A1	20010726	WO 2001-EP274	20010111
	W: JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	DE 10002163	A1	20010726	DE 2000-10002163A	20000120
	EP 1272551	A1	20030108	DE 2000-10002163	20000120
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR			EP 2001-913744	20010111
				DE 2000-10002163A	20000120
				WO 2001-EP274	W 20010111
	US 2003055136	A1	20030320	US 2002-181505	20020718
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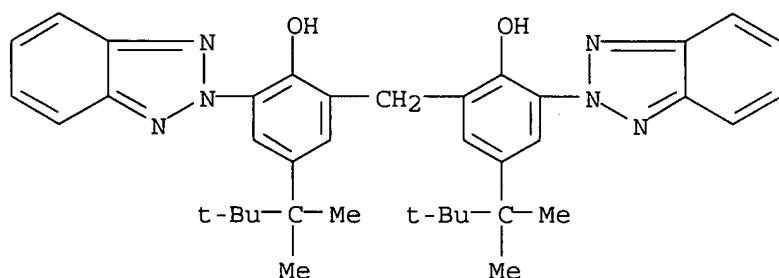
IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)

(UV absorber; in white flame-resistant UV-stable polyester films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)



AB The invention relates to a white, biaxially oriented film which comprises a crystallizable thermoplastic main component and is characterized by further comprising at least one UV stabilizer, at least one white pigment, and at least one flame-proofing agent, which is sol. in the thermoplastic and introduced directly, during the film prodn., by masterbatch technol., whereby the masterbatch is pre-conditioned by gradual heating under reduced pressure and with stirring. An example was given which contained poly(ethylene terephthalate), Tinuvin 1577 UV stabilizer, TiO<sub>2</sub> pigment, and a fire retardant.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545782 CAPLUS

DN 135:123611

TI Transparent, UV-stabilized, flame-resistant films made of crystallizable thermoplastic materials, their production and their use

IN Murschall, Ursula; Kern, Ulrich; Stopp, Andreas; Crass, Guenther

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053394	A1	20010726	WO 2001-EP202	20010110
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	DE 10002173	A1	20010726	DE 2000-10002173	20000120
	EP 1274775	A1	20030115	EP 2001-900406	20010110
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
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				WO 2001-EP202	W 20010110
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				WO 2001-EP202	W 20010110

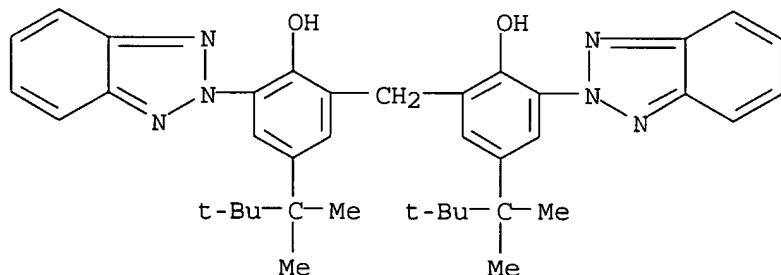
IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)

(UV stabilizer; in transparent, UV-stabilized, flame-resistant films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)



AB The invention concerns transparent, flame-retardant, thermoformable, UV-stabilized, single- or multilayered films, contg. a crystallizable thermoplastic material, preferably poly(ethylene terephthalate), at least one flame retarding agent and a UV stabilizer as main components. The films are characterized by good stretchability, thermoformability, and good optical and mech. properties, and are suitable for indoor and outdoor applications. An example was given which was based on poly(ethylene terephthalate), Tinuvin 1577 UV absorber, and a flame retardant.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545781 CAPLUS

DN 135:123610

TI Transparent, UV-stabilized, thermoformable film made of crystallizable thermoplastics, its production and its use

IN Murschall, Ursula; Kern, Ulrich; Stopp, Andreas; Crass, Guenther  
 PA Mitsubishi Polyester Film G.m.b.H., Germany  
 SO PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053393	A1	20010726	WO 2001-EP200	20010110
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
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	DE 10002172	A1	20010726	DE 2000-10002172	20000120
	EP 1265949	A1	20021218	EP 2001-907426	20010110
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
				DE 2000-10002172A	20000120
				WO 2001-EP200	W 20010110
	US 2003068500	A1	20030410	US 2002-181514	20020718
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				WO 2001-EP200	W 20010110

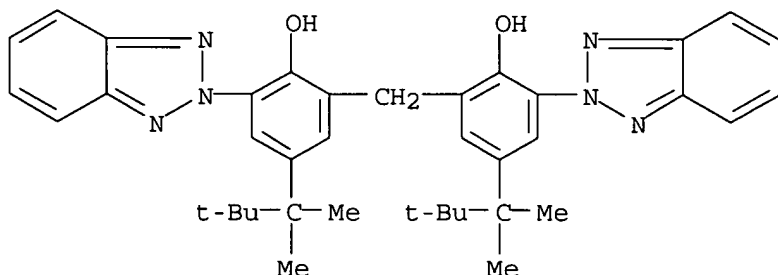
IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)

(UV stabilizer; transparent, UV-stabilized, thermoformable film contg.)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)



AB The invention relates to a transparent, UV-stabilized, single- or multi-layered thermoformable film which contains, as a principal constituent, a crystallizable thermoplastic, preferably poly(ethylene terephthalate), and at least one UV stabilizer. The inventive films are characterized by good stretchability, thermoformability, and optical and mech. properties. The films are suited for outdoor use. An example was given which incorporated the UV stabilizer Tinuvin 1577 into the polyester.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545780 CAPLUS

DN 135:108442

TI Amorphous, transparent, UV-absorbing, thermoformable film, its production

and its use

IN Murschall, Ursula; Dietz, Wolfgang; Crass, Guenther

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 36 pp.

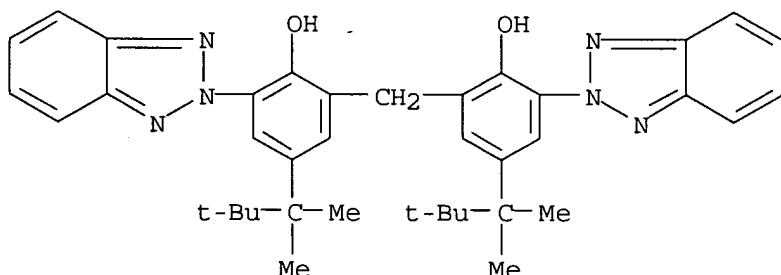
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053392	A1	20010726	WO 2001-EP179	20010110
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				DE 2000-10002177A	20000120
IT	DE 10002177	A1	20010726	DE 2000-10002177	20000120
	<b>350511-31-8</b>				
	RL: MOA (Modifier or additive use); USES (Uses)				
	(UV absorber; in amorphous, transparent, UV-absorbing thermoformable barrier polyester films)				
RN	350511-31-8 CAPLUS				
CN	Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)				



AB The invention relates to an amorphous, transparent, UV-stable barrier film consisting of a crystallizable thermoplastic, whose thickness ranges between 30 and 1000 .mu.m. The film contains at least one barrier layer against gases and a UV absorber which can be dissolved in the thermoplastic, preferably a polyester. The film is characterized by excellent optical characteristics, cost-effective thermoforming properties and in particular, by the absorption of short-wave UV-light in the wavelength range below 380 nm. An example based on poly(ethylene terephthalate) contg. Tinuvin 1577 UV absorber was given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545779 CAPLUS

DN 135:123609

TI Amorphous, white, flame-retardant, UV-stable, thermoformable film, its production and its use

IN Murschall, Ursula; Dietz, Wolfgang; Crass, Guenther

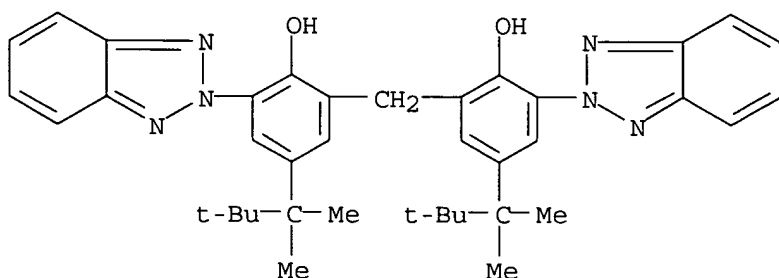
PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053391	A1	20010726	WO 2001-EP177	20010110
	W: JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	DE 10002153	A1	20010726	DE 2000-10002153A	20000120
IT	<b>350511-31-8</b>			DE 2000-10002153	20000120
	RL: MOA (Modifier or additive use); USES (Uses) (UV absorber; in amorphous, white, flame-retardant, UV-stable thermoformable films)				
RN	350511-31-8 CAPLUS				
CN	Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)				



AB The invention relates to an amorphous, white, flame-retardant, UV-stable, thermoformable film consisting of a thermoplastic of thickness 30-1000 .mu.m. Said film contains at least one white pigment, in addn. to at least one UV absorber, a flame-retardant agent, and optionally a hydrolysis stabilizer, each of which can be dissolved in the thermoplastic, which is preferably polyester. Said substances are introduced in the form of a masterbatch during the prodn. of the film. The film is characterized by excellent UV stability, flame-retardant properties, and optical characteristics, in addn. to excellent thermoforming properties and is cost-effective to produce. An example was given which used poly(ethylene terephthalate) thermoplastic, TiO2 pigment, Tinuvin 1577 UV stabilizer, and a flame retardant.

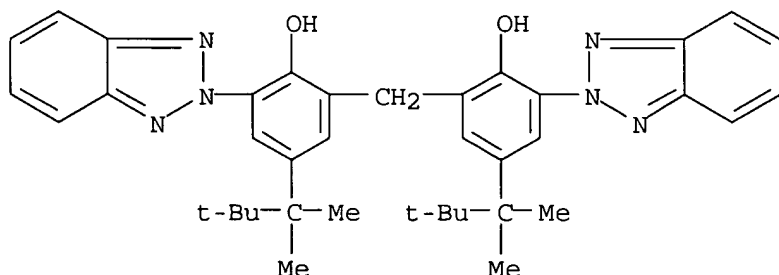
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2003 ACS  
AN 2001:545778 CAPLUS  
DN 135:138361  
TI Amorphous, transparent tinted, thermoformable film which absorbs UV light, its production and its use  
IN Murschall, Ursula; Kern, Ulrich; Crass, Guenther  
PA Mitsubishi Polyester Film G.m.b.H., Germany  
SO PCT Int. Appl., 34 pp.  
CODEN: PIXXD2  
DT Patent  
LA German



FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2001053390	A1	20010726	WO 2001-EP176	20010110
	W: JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				DE 2000-10002152A	20000120
	DE 10002152	A1	20010726	DE 2000-10002152	20000120
IT	<b>350511-31-8</b>				
	RL: MOA (Modifier or additive use); USES (Uses)				
	(UV absorber; in amorphous, transparent tinted, UV-absorbing thermoformable films)				
RN	350511-31-8 CAPLUS				
CN	Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)				



AB The invention relates to an amorphous, transparent tinted, UV-absorbing, thermoformable film (consisting of a crystallizable thermoplastic, preferably polyester) of thickness 30-1000 .mu.m. The film contains at least one UV absorber which can be dissolved in the polymer and a sol. dye. The film is characterized by excellent optical characteristics, a high level of light transmission in the wavelength range .gtoreq. 400 nm, and the absorption of short-wave UV-light in the wavelength range below 380 nm. An example was given which incorporated poly(ethylene terephthalate), Tinuvin 1577 UV absorber, and C.I. Solvent Red 138.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545602 CAPLUS

DN 135:108438

TI Matte, UV-stable, flame-retardant, thermoformable, coextruded polyester films, their production and their use

IN Murschall, Ursula; Kern, Ulrich; Crass, Guenther

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2001053088	A1	20010726	WO 2001-EP210	20010110
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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE, TR

DE 10002181 A1 20010726 DE 2000-10002181A 20000120  
EP 1274578 A1 20030115 DE 2000-10002181 20000120  
EP 2001-907428 20010110  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY, TR

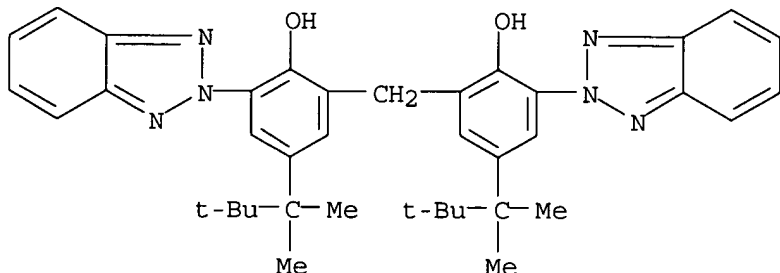
DE 2000-10002181A 20000120  
WO 2001-EP210 W 20010110

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)  
(UV absorber; in matte, UV-stable, flame-retardant, thermoformable  
coextruded polyester films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-  
tetramethylpropyl)- (9CI) (CA INDEX NAME)



AB The invention relates to biaxially oriented, coextruded polyester films. The films comprise a base layer which consists of at least 70 wt.% thermoplastic polyester, preferably poly(ethylene terephthalate) (PET) with a diethylene glycol and/or polyethylene glycol content greater >1.3 wt.% and have at least one matte outer layer and optionally addnl. intermediate layers. The films contain at least one flameproofing agent, preferably org. phosphorus compds. The films are characterized by high flame-resistance, no embrittlement after exposure to heat, a matte surface devoid of unwanted clouding, and excellent thermoforming properties and are, together with the molded bodies produced therefrom, suitable for numerous interior and exterior applications. The (matte) outer layers can be identical or different and contain a mixt. or a blend of a component I which consists of PET homopolymers and/or copolymers and a component II which is a copolymer resulting from the condensation product of isophthalic acid, an aliph. dicarboxylic acid, and a sulfo monomer with a copolymerizable aliph. or cycloaliph. glycol.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545601 CAPLUS

DN 135:108437

TI Matte, UV-stable, thermoformable, coextruded polyester films, their prodn. and their use

IN Murschall, Ursula; Kern, Ulrich; Crass, Guenther

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053087	A1	20010726	WO 2001-EP209	20010110
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	EP 1274576	A1	20030115	DE 2000-10002169	20000120
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR			EP 2001-903636	20010110
				DE 2000-10002169A	20000120
				WO 2001-EP209	W 20010110

OS MARPAT 135:108437

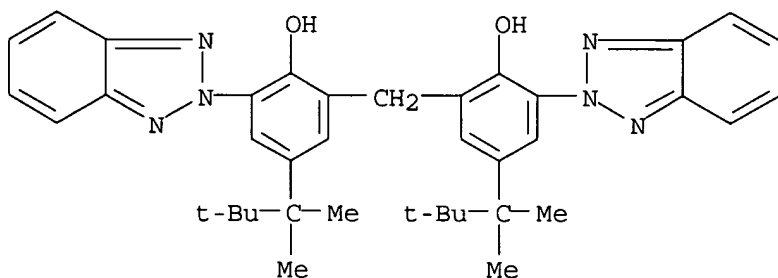
IT **350511-31-8**

RL: MOA (Modifier or additive use); USES (Uses)

(UV absorber; in matte, UV-stable, thermoformable coextruded polyester films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)



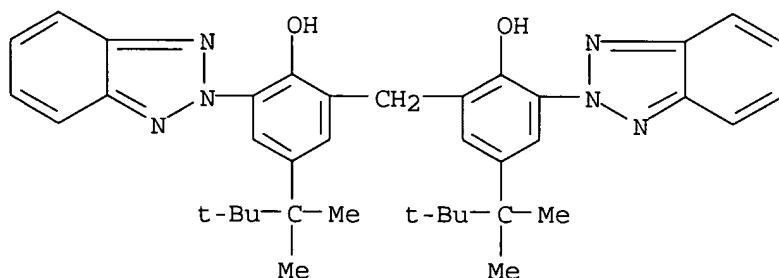
AB The invention relates to biaxially oriented, coextruded polyester films. The films comprise a base layer which consists of at least 70 wt.% thermoplastic polyester, preferably poly(ethylene terephthalate) (PET) with a diethylene glycol and/or polyethylene glycol content >1.3 wt.% and have at least one matte outer layer and optionally addnl. intermediate layers. The films also contain at least one UV absorber, preferably hydroxybenzotriazoles and triazines. The films are characterized by high UV stability, no embrittlement after exposure to heat, a matte surface devoid of unwanted clouding, and excellent thermoforming properties and are, together with the molded bodies produced therefrom, suitable for numerous interior and exterior applications. The (matte) outer layers can be identical or different and contain a mixt. or a blend of a component I which consists of PET homopolymers and/or copolymers and a component II which is a copolymer resulting from the condensation product of isophthalic acid, an aliph. dicarboxylic acid, and a sulfo monomer with a copolymerizable aliph. or cycloaliph. glycol.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545598 CAPLUS  
 DN 135:108436  
 TI Transparent, biaxially oriented, UV-stabilized, sealable film, its production and its use  
 IN Murschall, Ursula; Kern, Ulrich; Dietz, Wolfgang; Crass, Guenther  
 PA Mitsubishi Polyester Film G.m.b.H., Germany  
 SO PCT Int. Appl., 31 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053084	A1	20010726	WO 2001-EP204	20010110
	W: JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				DE 2000-10002166A	20000120
	DE 10002166	A1	20010726	DE 2000-10002166	20000120
IT	<b>350511-31-8</b>				
	RL: MOA (Modifier or additive use); USES (Uses)				
	(UV absorber; in transparent, biaxially oriented, UV-stabilized sealable films)				
RN	350511-31-8	CAPLUS			
CN	Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)]				



AB The invention concerns a transparent, biaxially oriented, UV-stabilized barrier film made of crystallizable thermoplastic material, whose thickness ranges from 10 to 500 .mu.m. The film contains at least one UV stabilizer as light protective agent, at least one barrier layer to reduce gas and aroma permeability, and a heat-sealing lacquer or layer. The film is characterized by good stretchability and by very good optical and mech. properties. The film is produced by an extrusion process and is suitable for indoor and outdoor use.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2003 ACS  
 AN 1999:717947 CAPLUS  
 DN 131:327326  
 TI Synergistic sunscreen composition  
 IN Allard, Delphine; Gombert, Christele  
 PA L'Oreal S. A., Fr.  
 SO Fr. Demande, 24 pp.

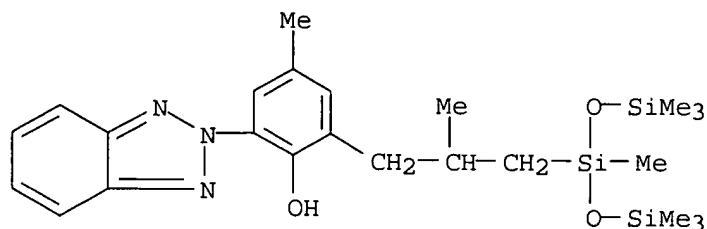
CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2775434	A1	19990903	FR 1998-2416	19980227
	FR 2775434	B1	20000519		
	EP 943321	A1	19990922	EP 1998-403311	19981228
	EP 943321	B1	20010411		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 200418	E	20010415	FR 1998-2416	A 19980227
				AT 1998-403311	19981228
	ES 2158655	T3	20010901	FR 1998-2416	A 19980227
				ES 1998-403311	19981228
				FR 1998-2416	A 19980227
	AU 710077	B1	19990916	AU 1999-14710	19990203
				FR 1998-2416	A 19980227
	JP 11315006	A2	19991116	JP 1999-37315	19990216
	JP 3069553	B2	20000724		
				FR 1998-2416	A 19980227
	BR 9900500	A	20000502	BR 1999-500	19990222
				FR 1998-2416	A 19980227
	MX 9901792	A	20000930	MX 1999-1792	19990223
				FR 1998-2416	A 19980227
	US 6171579	B1	20010109	US 1999-258852	19990226
				FR 1998-2416	A 19980227
	RU 2162686	C2	20010210	RU 1999-103929	19990226
				FR 1998-2416	A 19980227
	CN 1231885	A	19991020	CN 1999-102553	19990301
				FR 1998-2416	A 19980227
OS	MARPAT 131:327326				
IT	<b>155633-54-8D</b> , mixts. with <b>1,3,5-triazine</b> derivs.				
	RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(synergistic sunscreen compns.)				
RN	155633-54-8 CAPLUS				
CN	Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)				



AB The title compn. comprises a **1,3,5-triazine** deriv., preferably Uvinul T150, and a **benzotriazole** silicone.

L41 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 1999:312737 CAPLUS

DN 131:5271

TI Preparation of UV absorbing triazine compounds and their intermediates

IN Ueno, Sadao; Nobe, Jouji

PA Kimoto and Co., Ltd., Japan; Green Consultant G. K.

SO Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11130786	A2	19990518	JP 1997-292077	19971024
				JP 1997-292077	19971024

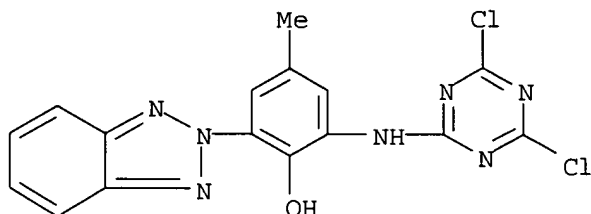
OS MARPAT 131:5271

IT **207562-44-5P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of triazine compds. having benzotriazolyl- and silylalkylamino-groups as UV absorbers)

RN 207562-44-5 CAPLUS

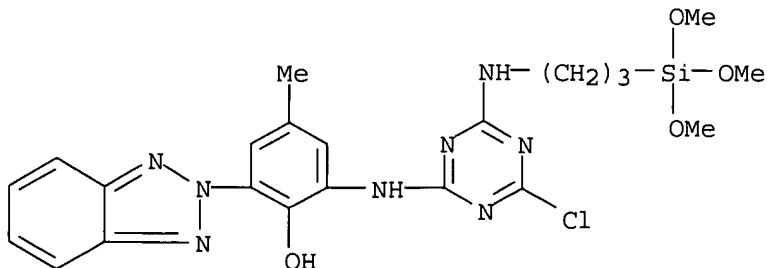
CN Phenol, 2-(2H-benzotriazol-2-yl)-6-[(4,6-dichloro-1,3,5-triazin-2-yl)amino]-4-methyl- (9CI) (CA INDEX NAME)

IT **225798-08-3P**

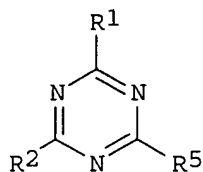
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (prepn. of triazine compds. having benzotriazolyl- and silylalkylamino-groups as UV absorbers)

RN 225798-08-3 CAPLUS

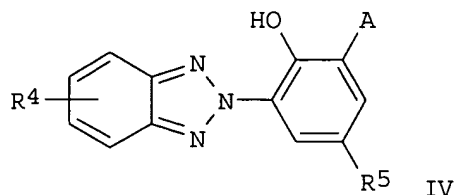
CN Phenol, 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-[[3-(trimethoxysilyl)propyl]amino]-1,3,5-triazin-2-yl]amino]-4-methyl- (9CI) (CA INDEX NAME)



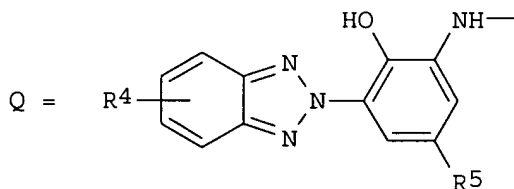
GI



I



IV



AB The compds. I [R1 = benzotriazolylanilino Q [R4, R5 = H, halo, C1-20 alkyl, alkoxy, (CH2)*m*CO2H; *m* = 1-10]; R2 = NHR6SiR7R8R9 (R6 = C1-20 alkylene which may contain NH; R7-R9 = H, halo, C1-10 alkyl, alkoxy); R3 = halo, R1, R2] (II), useful as UV absorbers are prep'd. by treatment of I (R1 = Q; R2, R3 = halo) (III) with R2H (R2 = NHR6SiR7R8R9). III are prep'd. by reducing nitrobenzenes IV (A = NO2; R5 = same as in II) and condensing the resulting aminobenzenes IV (A = NH2) with 2,4,6-trihalotriazines. II binds well to materials treated with them, e.g. fiber products, glasses, etc., due to silyl group. A cotton knit was treated with an aq. compn. contg. Na2CO3, Na2SO4, and 2-chloro-4-(3'-trimethoxysilylpropylamino)-6-[2''-hydroxy-5''-methyl-3''-(2-benzotriazolyl)phenylamino]-1,3,5-triazine (prepn. given) at 90.degree. for 30 min. UV-absorbing property of the knit was stable even after 10 washings.

L41 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 1998:795318 CAPLUS

DN 130:82630

TI Weather-resistant laminated polyester films with good transparency, durability, interlayer adhesion, and UV absorption

IN Tanaka, Yoshio; Mimura, Takashi

PA Toray Industries, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10329291	A2	19981215	JP 1997-143820	19970602
				JP 1997-143820	19970602
IT	159484-56-7, Butyl acrylate-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-benzotriazole-methyl methacrylate				

copolymer **159484-58-9**, Acrylic acid-butyl acrylate-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-**benzotriazole**-methyl methacrylate copolymer **218899-86-6**, Butyl acrylate-2-hydroxyethyl methacrylate-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-**benzotriazole**-methyl methacrylate copolymer **218899-87-7**, Acrylic acid-butyl acrylate-formaldehyde-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-**benzotriazole**-methyl methacrylate-**1,3,5-triazine**-2,4,6-triamine copolymer **218899-88-8**, Butyl acrylate-formaldehyde-2-hydroxyethyl methacrylate-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-**benzotriazole**-methyl methacrylate-melamine copolymer  
 RL: PRP (Properties); TEM (Technical or engineered material use); USES (Uses)

(weather-resistant laminated polyester films with good transparency, durability, interlayer adhesion, and UV absorption)

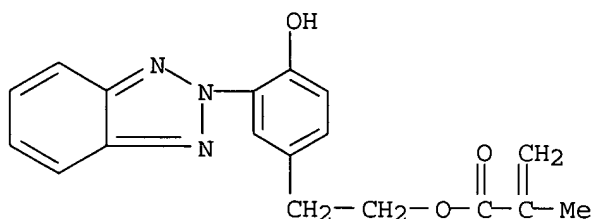
RN 159484-56-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate and methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0

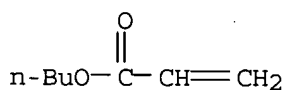
CMF C18 H17 N3 O3



CM 2

CRN 141-32-2

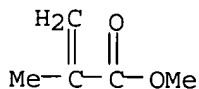
CMF C7 H12 O2



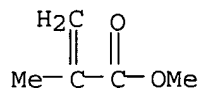
CM 3

CRN 80-62-6

CMF C5 H8 O2







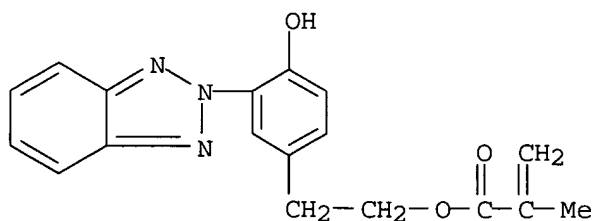
RN 159484-58-9 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate, methyl 2-methyl-2-propenoate and 2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0

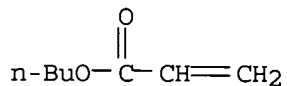
CMF C18 H17 N3 O3



CM 2

CRN 141-32-2

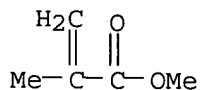
CMF C7 H12 O2



CM 3

CRN 80-62-6

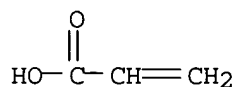
CMF C5 H8 O2



CM 4

CRN 79-10-7

CMF C3 H4 O2



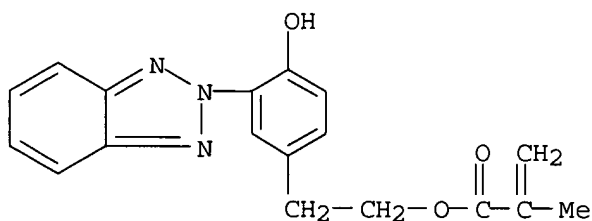
RN 218899-86-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate, 2-hydroxyethyl 2-methyl-2-propenoate and methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0

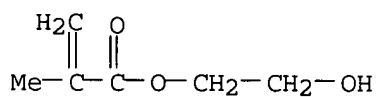
CMF C18 H17 N3 O3



CM 2

CRN 868-77-9

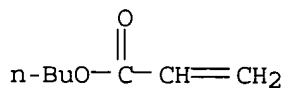
CMF C6 H10 O3



CM 3

CRN 141-32-2

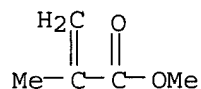
CMF C7 H12 O2



CM 4

CRN 80-62-6

CMF C5 H8 O2



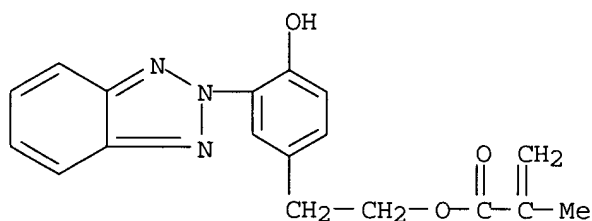
RN 218899-87-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate, formaldehyde, methyl 2-methyl-2-propenoate, 2-propenoic acid and 1,3,5-triazine-2,4,6-triamine (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0

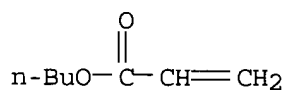
CMF C18 H17 N3 O3



CM 2

CRN 141-32-2

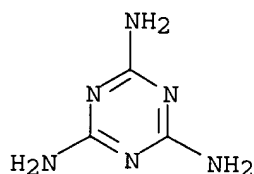
CMF C7 H12 O2



CM 3

CRN 108-78-1

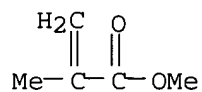
CMF C3 H6 N6



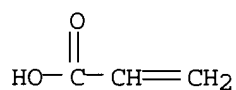
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CRN 80-62-6

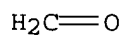
CMF C5 H8 O2



CM 5

CRN 79-10-7  
CMF C3 H4 O2

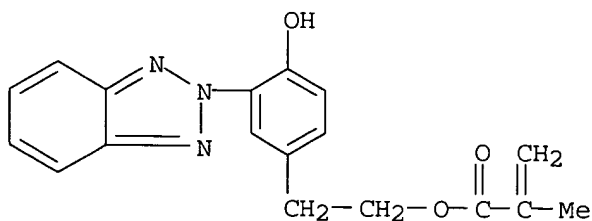
CM 6

CRN 50-00-0  
CMF C H2 O

RN 218899-88-8 CAPLUS

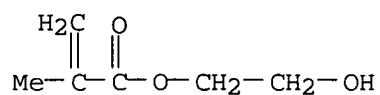
CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate, formaldehyde, 2-hydroxyethyl 2-methyl-2-propenoate, methyl 2-methyl-2-propenoate and 1,3,5-triazine-2,4,6-triamine (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0  
CMF C18 H17 N3 O3

CM 2

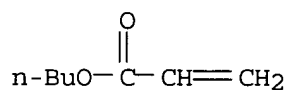
CRN 868-77-9  
CMF C6 H10 O3



CM 3

CRN 141-32-2

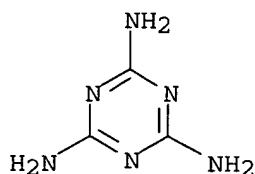
CMF C7 H12 O2



CM 4

CRN 108-78-1

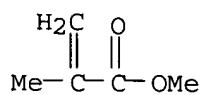
CMF C3 H6 N6



CM 5

CRN 80-62-6

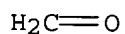
CMF C5 H8 O2



CM 6

CRN 50-00-0

CMF C H2 O



AB The films comprise polyester films laminated with films obtained by copolymn. of reactive **benzotriazole** UV absorbents with .gtoreq.2 acrylic monomers. Thus, Lumirror T 60 (PET film) was coated with a soln.

contg. 50:43:7 2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-**benzotriazole**-Me methacrylate-Bu acrylate copolymer to give a film showing good interlayer adhesion, light transmittance 89.9%, haze 2.1%, and no apparent change by UV irradiation.

L41 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 1998:709383 CAPLUS

DN 129:317061

TI Azide group-containing organic stabilizers for polymers

IN Steinmann, Alfred

PA Ciba Specialty Chemicals Holding Inc., Switz.

SO Ger. Offen., 40 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19816681	A1	19981022	DE 1998-19816681	19980415
				CH 1997-912	19970418

OS MARPAT 129:317061

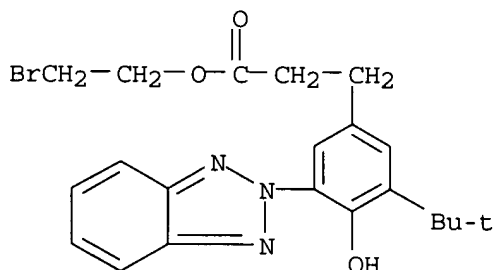
IT **214971-37-6P**

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of org. azides as migration-resistant stabilizers for plastics)

RN 214971-37-6 CAPLUS

CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-bromoethyl ester (9CI) (CA INDEX NAME)



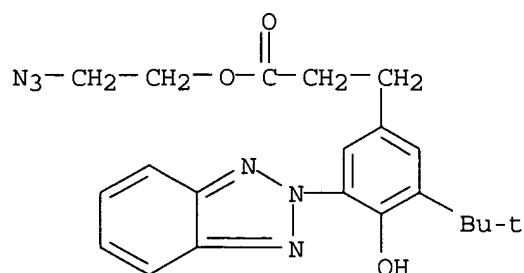
IT **214971-33-2P**, 2-Azidoethyl 3-[3-(2H-benzothiazol-2-yl)-5-tert-butyl-4-hydroxyphenyl]propionate

RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)

(prepn. of org. azides as migration-resistant stabilizers for plastics)

RN 214971-33-2 CAPLUS

CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-azidoethyl ester (9CI) (CA INDEX NAME)



AB Stabilizers (such as hindered amines or phenols or **benzotriazoles**) contg. azide groups show good resistance to migration in plastics. Thus, 4-(azidosulfonyl)-2,6-di-tert-butylphenol was obtained by chlorosulfonating 2,6-di-tert-butylphenol and treating the product with NaN<sub>3</sub>; application to isotactic polypropylene was illustrated.

L41 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 1996:464587 CAPLUS

DN 125:115934

TI Investigations on Polymeric and Monomeric Intramolecularly Hydrogen-Bridged UV Absorbers of the **Benzotriazole** and Triazine Class

AU Keck, Juergen; Kramer, Horst E. A.; Port, Helmut; Hirsch, Thomas; Fischer, Peter; Rytz, Gerhard

CS Institut fuer Physikalische Chemie, Universitaet Stuttgart, Stuttgart, D-70569, Germany

SO Journal of Physical Chemistry (1996), 100(34), 14468-14475

CODEN: JPCHAX; ISSN: 0022-3654

PB American Chemical Society

DT Journal

LA English

IT **179694-00-9P 179694-01-0P 179694-04-3P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (investigations on polymeric and monomeric intramolecularly hydrogen-bridged UV absorbers of **benzotriazole** and triazine class)

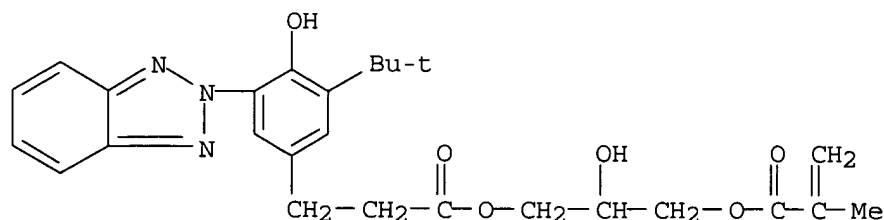
RN 179694-00-9 CAPLUS

CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxylpropyl ester, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 135590-53-3

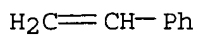
CMF C26 H31 N3 O6



CM 2

CRN 100-42-5

CMF C8 H8



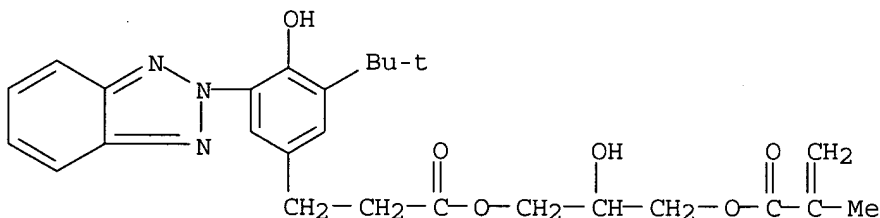
RN 179694-01-0 CAPLUS

CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

CRN 135590-53-3

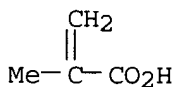
CMF C26 H31 N3 O6



CM 2

CRN 79-41-4

CMF C4 H6 O2



RN 179694-04-3 CAPLUS

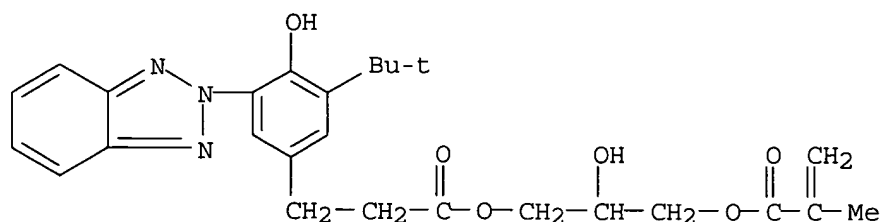
CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 135590-53-3

CMF C26 H31 N3 O6

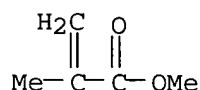




CM 2

CRN 80-62-6

CMF C5 H8 O2



AB Various copolymers of MA-TIN 1, 2-[2-hydroxy-3-tert-butyl-5-(O-[2-hydroxy-3-(2-methylpropenoyloxy)propyl]-2-carbonyloxyethyl)phenyl] **benzotriazole**, and MA-TZ 1, 2,4-bis(2,4-dimethylphenyl)-6-[2-hydroxy-4-(2-hydroxy-3-[2-methylpropenoyloxy])propoxyphenyl]-1, **3,5-triazine**, with styrene, Me methacrylate, and methacrylic acid were synthesized by radical polymn. Their absorption spectra in the long-wavelength UV region appear unchanged compared to those of the monomeric UV absorbers, indicating the stabilizer chromophore remains unimpaired in the course of the polymn. Both the monomeric and the polymeric stabilizers exhibit a strongly Stokes-shifted, temp.-dependent, low-quantum-yield fluorescence which arises from an intermediate species formed by intramol. proton transfer. The intramol. hydrogen bond which is low-quantum-yield fluorescence which arises from an intermediate species formed by intramol. proton transfer. essential for the photostability of this type of UV absorbers thus is still intact in the copolymers. Activation energies for the radiationless deactivation process can be evaluated from the temp. dependence of the proton-transferred fluorescence. These energies lie between 4 and 5 kJ/mol for most of the **benzotriazole** and triazine stabilizers investigated and show hardly any matrix dependence. Fluorescence-decay measurements with cryst. MA-TIN 1 at different temps. reveal a close correspondence of the temp. dependence between decay times and relative quantum yields. The radiationless process thence is concluded to originate from the proton-transferred level S1'. The decay time at room temp. is estd. at 70 ps, close to the value for cryst. TIN P (Tinuvin P). The proton-transferred fluorescence of MA-TIN 1, in contrast, exhibits a biexponential decay profile.

L41 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 1994:193200 CAPLUS

DN 120:193200

TI Synthesis and application of UV stabilizers for polymeric materials based on triazinylaminobenzotriazole

AU Konstantinova, T.; Bogdanova, A.; Stanimirov, S.; Konstantinov, Hr.

CS Dep. Org. Synth., Higher Inst. Chem. Technol., Sofia, 1756, Bulg.

SO Polymer Degradation and Stability (1994), 43(2), 187-93

CODEN: PDSTDW; ISSN: 0141-3910

DT Journal

LA English

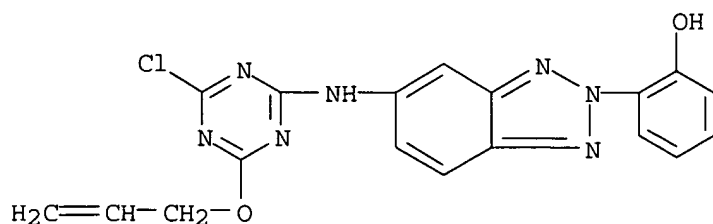
IT 153976-86-4P 153976-87-5P 153976-88-6P  
153976-89-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(UV stabilizers, prepn. and characterization and polymn. of, with  
styrene)

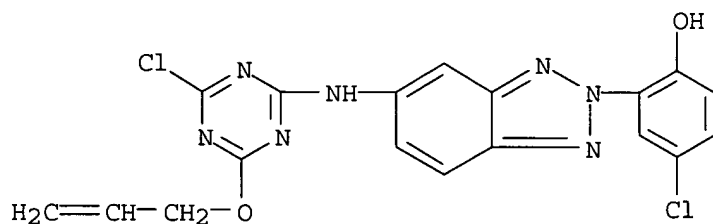
RN 153976-86-4 CAPLUS

CN Phenol, 2-[5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2H-benzotriazol-2-yl]- (9CI) (CA INDEX NAME)



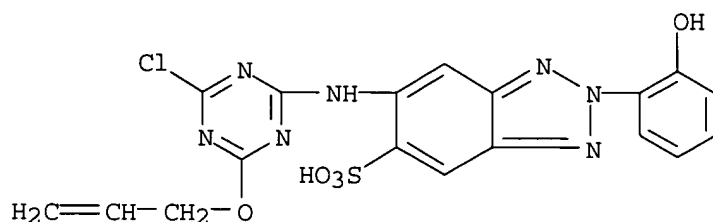
RN 153976-87-5 CAPLUS

CN Phenol, 4-chloro-2-[5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2H-benzotriazol-2-yl]- (9CI) (CA INDEX NAME)



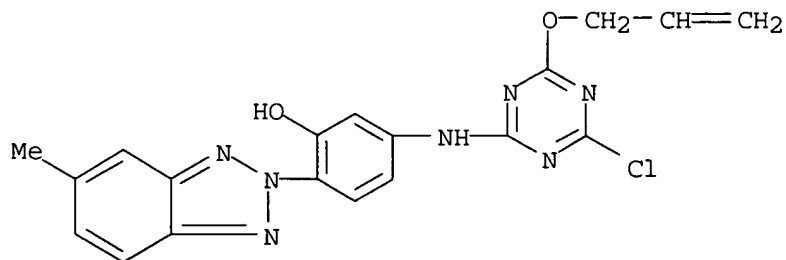
RN 153976-88-6 CAPLUS

CN 2H-Benzotriazole-5-sulfonic acid, 6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 153976-89-7 CAPLUS

CN Phenol, 5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2-(5-methyl-2H-benzotriazol-2-yl)- (9CI) (CA INDEX NAME)



IT 153976-90-0P 153976-91-1P 153976-92-2P  
153976-93-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and photostability of)

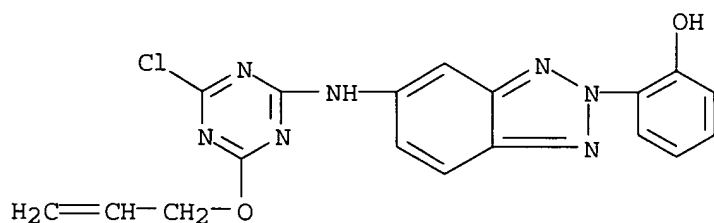
RN 153976-90-0 CAPLUS

CN Phenol, 2-[5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2H-benzotriazol-2-yl]-, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 153976-86-4

CMF C18 H14 Cl N7 O2



CM 2

CRN 100-42-5

CMF C8 H8

H<sub>2</sub>C=CH-Ph

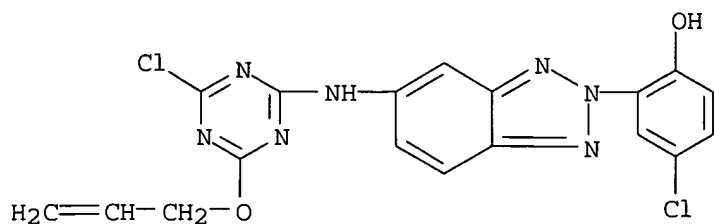
RN 153976-91-1 CAPLUS

CN Phenol, 4-chloro-2-[5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2H-benzotriazol-2-yl]-, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 153976-87-5

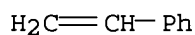
CMF C18 H13 Cl2 N7 O2



CM 2

CRN 100-42-5

CMF C8 H8



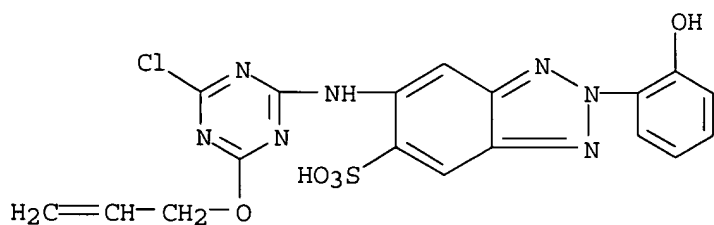
RN 153976-92-2 CAPLUS

CN 2H-Benzotriazole-5-sulfonic acid, 6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2-(2-hydroxyphenyl)-, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 153976-88-6

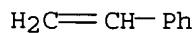
CMF C18 H14 Cl N7 O5 S



CM 2

CRN 100-42-5

CMF C8 H8

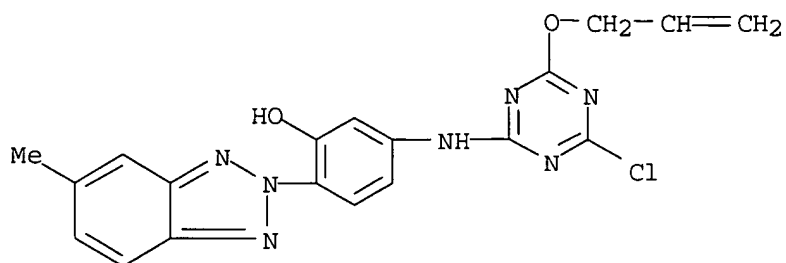


RN 153976-93-3 CAPLUS

CN Phenol, 5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2-(5-methyl-2H-benzotriazol-2-yl)-, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

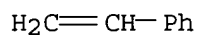
CM 1

CRN 153976-89-7  
CMF C19 H16 Cl N7 O2

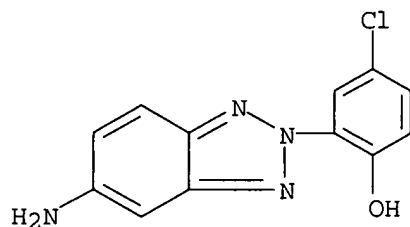


CM 2

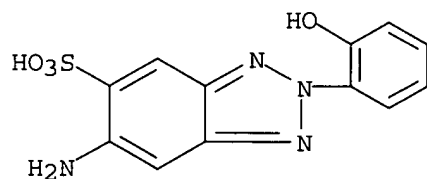
CRN 100-42-5  
CMF C8 H8



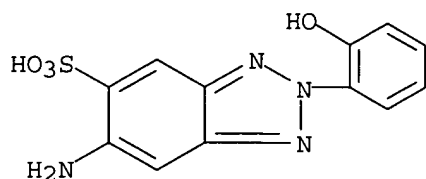
IT **153976-96-6P 153976-97-7P 153976-98-8P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and reaction of, with allyloxydichlorotriazine)  
RN 153976-96-6 CAPLUS  
CN Phenol, 2-(5-amino-2H-benzotriazol-2-yl)-4-chloro- (9CI) (CA INDEX NAME)



RN 153976-97-7 CAPLUS  
CN 2H-Benzotriazole-5-sulfonic acid, 6-amino-2-(2-hydroxyphenyl)-, monosodium  
salt (9CI) (CA INDEX NAME)



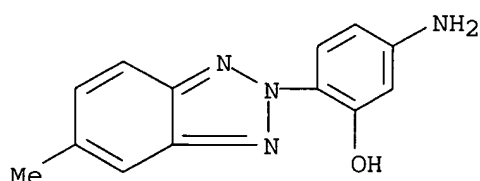
Na



● Na

RN 153976-98-8 CAPLUS

CN Phenol, 5-amino-2-(5-methyl-2H-benzotriazol-2-yl)- (9CI) (CA INDEX NAME)



AB Four new compds., derivs. of triazinylaminobenzotriazole, contg. a polymerizable allyloxy group have been synthesized. The compds. were characterized by elemental anal., TLC, IR, UV/VIA, and <sup>1</sup>H NMR spectra. Polystyrene has been prepd. in the presence of the compds. Chem. bonding of the UV stabilizer in the polymer was confirmed spectrophotometrically. The spectral (absorption and fluorescence) characteristics of the compds have been investigated, showing that 45-85% of the compds. are bound. Max. stabilizing effect is achieved at 1 wt. % initial concn. of the stabilizer. A structure-photostability relationship has been sought.

=&gt; d 142 fbib hitstr abs total

L42 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AN 2000:725471 CAPLUS

DN 133:281794

TI Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors

IN Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara Lakshman; Zembrowski, William James

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 328 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059510	A1	20001012	WO 2000-IB296	20000316
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			US 1999-127437PP	19990401
NZ 514144	A	20010928	NZ 2000-514144	20000316
			US 1999-127437PP	19990401
BR 2000009433	A	20020115	BR 2000-9433	20000316
			US 1999-127437PP	19990401
			WO 2000-IB296 W	20000316
EP 1185275	A1	20020313	EP 2000-909565	20000316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1999-127437PP	19990401
			WO 2000-IB296 W	20000316
JP 2002541109	T2	20021203	JP 2000-609073	20000316
			US 1999-127437PP	19990401
			WO 2000-IB296 W	20000316
EE 200100509	A	20021216	EE 2001-509	20000316
			US 1999-127437PP	19990401
			WO 2000-IB296 W	20000316
US 6414149	B1	20020702	US 2000-538039	20000329
			US 1999-127437PP	19990401
NO 2001004642	A	20011128	NO 2001-4642	20010925
			US 1999-127437PP	19990401
			WO 2000-IB296 W	20000316
BG 106038	A	20020628	BG 2001-106038	20011023
			US 1999-127437PP	19990401
			WO 2000-IB296 W	20000316
US 2003065179	A1	20030403	US 2002-87869	20020228
			US 1999-127437PP	19990401
			US 2000-538039 A3	20000329

OS MARPAT 133:281794

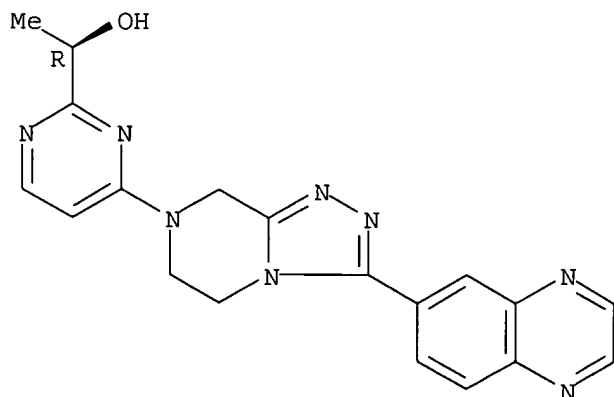
IT **300551-69-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)

RN 300551-69-3 CAPLUS

CN 2-Pyrimidinemethanol, 4-[5,6-dihydro-3-(6-quinoxaliny)-1,2,4-triazolo[4,3-  
alpyrazin-7(8H)-yl]-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxy, carbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.g., a multi-step synthesis of the pyrimidine (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l4 and pyrrolo-pyrimidine  
L43 0 L4 AND PYRROLO-PYRIMIDINE

=> s l4 and imidazopyrimidine  
L44 1 L4 AND IMIDAZOPYRIMIDINE

=> s l4 and pyrazolopyrimidine  
L45 2 L4 AND PYRAZOLOPYRIMIDINE

=> s l4 and triazolopyrimidine  
L46 3 L4 AND TRIAZOLOPYRIMIDINE

=> d l44 fbib hitstr abs total

L44 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AN 2002:637684 CAPLUS

DN 137:185505

TI Preparation of bicyclic pyrimidine selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses

IN Dyer, Richard Dennis; Harter, William Glen; Hicks, James Lester; Johnson, Adam Richard; Li, Jie Jack; Roark, William Howard; Shuler, Kevon Ray

PA Warner-Lambert Company, USA

SO PCT Int. Appl., 249 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002064599	A1	20020822	WO 2002-IB313	20020130
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

Patel

&lt;5/18/2003&gt;



GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,  
 UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2001-268780PP 20010214

OS MARPAT 137:185505

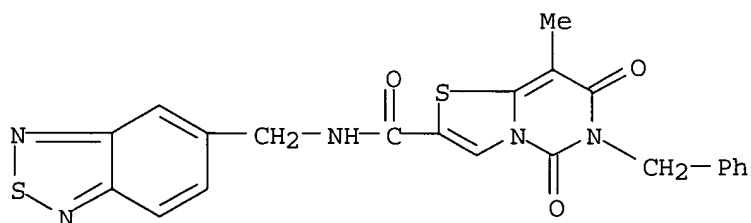
IT **449799-34-2P**, 6-Benzyl-8-methyl-5,7-dioxo-6,7-dihydro-5H-thiazolo[3,2-c]pyrimidine-2-carboxylic acid (2,1,3-benzothiadiazol-5-ylmethyl)amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

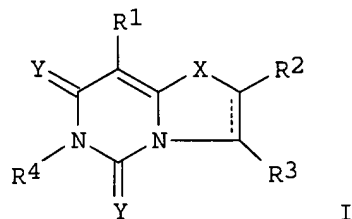
(prepn. of bicyclic pyrimidine selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses)

RN 449799-34-2 CAPLUS

CN 5H-Thiazolo[3,2-c]pyrimidine-2-carboxamide, N-(2,1,3-benzothiadiazol-5-ylmethyl)-6,7-dihydro-8-methyl-5,7-dioxo-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



GI



AB Selective MMP-13 inhibitors are bicyclic pyrimidines (shown as I; e.g. 6-benzyl-5,7-dioxo-6,7-dihydro-5H-thiazolo[3,2-c]pyrimidine-2-carboxylic acid benzyl ester) or a pharmaceutically acceptable salt thereof, wherein R1 is H or alkyl; R2, R3, and R4 include H, halo, alkyl, C.tplbond.C(CH2)m aryl; X is O, S, SO, SO2, CH2, C:O, CHOH, NH, or NR5; and Y = O or S. A compd. of the formula, or a pharmaceutically acceptable salt thereof, is useful for treating cancer or arthritis. IC50 values for various claimed compds. show the selectivity towards MMP-13 vs. other matrix metalloproteinases and the potent MMP-13 inhibitory activity (e.g. 0.0009 .mu.M for 8-methyl-5,7-dioxo-6-[4-(2H-tetrazol-5-yl)benzyl]-6,7-dihydro-5H-thiazolo[3,2-c]pyrimidine-2-carboxylic acid 4-fluorobenzylamide).

Although the methods of prepn. are not claimed, >100 example preps. are included.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 145 fbib hitstr abs total

L45 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2002:591551 CAPLUS

DN 137:154938

TI Preparation of pyrazolo[4,3-d]pyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V)

IN Eggenweiler, Hans-Michael; Eiermann, Volker; Schelling, Pierre

PA Merck Patent G.m.b.H., Germany

SO Ger. Offen., 38 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10104800	A1	20020808	DE 2001-10104800	20010202
	WO 2002062343	A2	20020815	WO 2002-EP256	20020114
	WO 2002062343	A3	20021121		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				DE 2001-10104800A	20010202
				DE 2001-10104801A	20010202
				DE 2001-10104802A	20010202

# PATENT FAMILY INFORMATION:

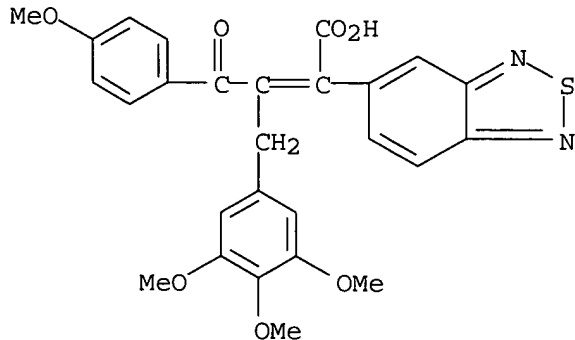
FAN 2002:591552

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2002062343	A2	20020815	WO 2002-EP256	20020114
	WO 2002062343	A3	20021121		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				DE 2001-10104800A	20010202
				DE 2001-10104801A	20010202
				DE 2001-10104802A	20010202

FAN 2002:591553

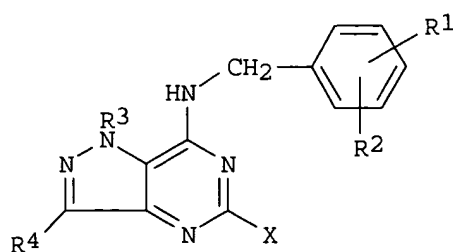
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 PI DE 10104802 A1 20020808 DE 2001-10104802 20010202  
 WO 2002062343 A2 20020815 WO 2002-EP256 20020114  
 WO 2002062343 A3 20021121  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 DE 2001-10104800A 20010202  
 DE 2001-10104801A 20010202  
 DE 2001-10104802A 20010202  
 OS MARPAT 137:154938  
 IT **195505-82-9**, Emd-122801  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (endothelin receptor antagonist; for pharmaceutical formylation contg.  
**pyrazolopyrimidines** as inhibitors of cGMP- and  
 cAMP-phosphodiesterase (PDE V))  
 RN 195505-82-9 CAPLUS  
 CN 2,1,3-Benzothiadiazole-5-acetic acid, .alpha.-[2-(4-methoxyphenyl)-2-oxo-1-  
 [(3,4,5-trimethoxyphenyl)methyl]ethylidene]-, sodium salt (9CI) (CA INDEX  
 NAME)



● Na

GI



AB Pharmaceutical formylation contg. title compds. [I; R1, R2 = H, A, OA, OH, halo; or R1R2 = C3-5 alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 = H, A; X = (CO2H-, CO2A-, CONH2-, CONHA-, CONA2-, cyano-substituted) (interrupted) alkylene, cycloalkyl, cycloalkylalkylene, Ph, PhMe; A = C1-6 alkyl] and/or salts, and/or solvates thereof, and .gtoreq.1 endothelin receptor antagonist, is claimed. Thus, Me 4-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]phenylcarboxylic acid ester was heated at 110.degree. with 3-chloro-4-methoxybenzylamine in N-methylpyrrolidone for 4 h to give ca. 54% Me 4-[7-(3-chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzoate. I were said to show affinity for cGMP- and cAMP-phosphodiesterase (PDE V) (no data).

L45 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2001:208278 CAPLUS

DN 134:252353

TI Preparation of **pyrazolopyrimidines** as protein kinase inhibitors

IN Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Rafferty, Paul; Ritter, Kurt; Arnold, Lee D.; Friedman, Michael M.

PA BASF Aktiengesellschaft, Germany

SO PCT Int. Appl., 527 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019829	A2	20010322	WO 2000-US25468	20000915
WO 2001019829	A3	20010927		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			US 1999-154620PP	19990917
EP 1212327	A2	20020612	EP 2000-963554	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
			US 1999-154620PP	19990917
			WO 2000-US25468W	20000915
BR 2000014073	A	20020716	BR 2000-14073	20000915
			US 1999-154620PP	19990917
			WO 2000-US25468W	20000915

JP 2003509428	T2	20030311	JP 2001-523406	20000915
			US 1999-154620PP	19990917
			WO 2000-US25468W	20000915
NO 2002001328	A	20020521	NO 2002-1328	20020318
			US 1999-154620PP	19990917
			WO 2000-US25468W	20000915

## PATENT FAMILY INFORMATION:

FAN 2002:793426

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002080926	A1	20021017	WO 2002-US9104	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002156081	A1	20021024	US 2001-815310 A	20010322
			US 2001-815310	20010322
			US 1999-154620PP	19990917
			US 2000-663780 A2	20000915

FAN 2002:814851

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002156081	A1	20021024	US 2001-815310	20010322
			US 1999-154620PP	19990917
			US 2000-663780 A2	20000915
WO 2002080926	A1	20021017	WO 2002-US9104	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-815310 A	20010322

OS MARPAT 134:252353

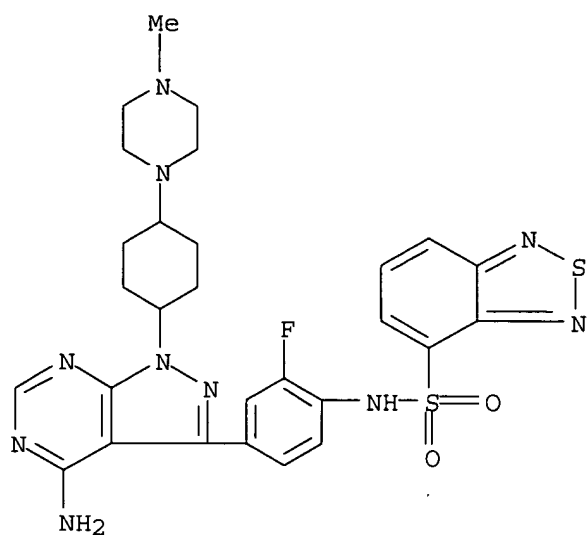
IT 330788-36-8P 330788-89-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **pyrazolopyrimidines** as protein kinase inhibitors)

RN 330788-36-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-1-[4-(4-methyl-1-piperazinyl)cyclohexyl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-fluorophenyl]-(9CI) (CA INDEX NAME)

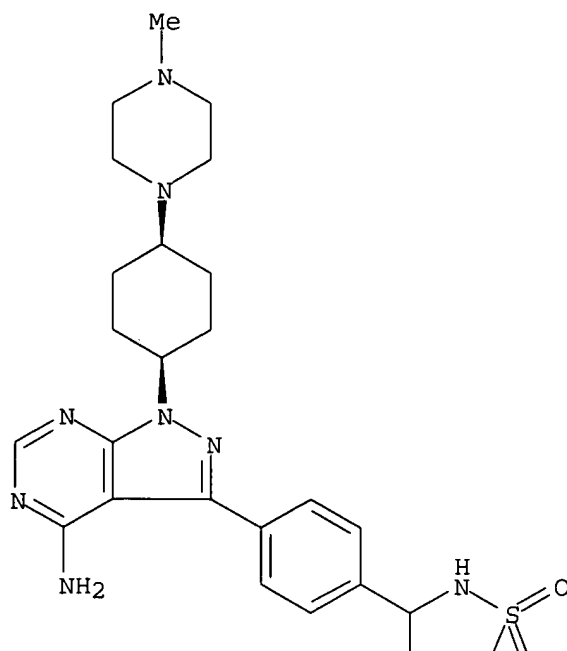


RN 330788-89-1 CAPLUS

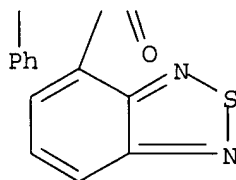
CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[4-[4-amino-1-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]phenyl]phenylmethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

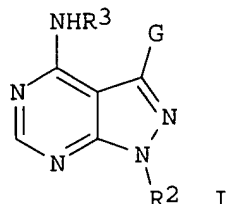
PAGE 1-A



PAGE 2-A



GI



AB The title compds. [I; G = substituted Ph; R2 = BE; B = (un)substituted cycloalkyl, azacycloalkyl, etc.; E = (un)substituted azacycloalkyl, azacycloalkylcarbonyl, etc.; R3 = H, OH, alkyl, alkoxy] which inhibit one or more protein kinase (such as FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, Src, and cdc2) activity, were prepd. and formulated. E.g., a multi-step synthesis of I [G = 4-phenoxyphenyl; R2 = 1-benzyl-4-piperidinyl; R3 = H] was described. Biol. data for compds. I were given.

=> d 146 fbib hitstr abs total

L46 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2002:539534 CAPLUS

DN 137:109285

TI Preparation of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists

IN Gillespie, Roger John; Lerpiniere, Joanne; Gaur, Suneel; Bamford, Samantha Jayne; Stratton, Gemma Caroline; Leonardi, Stefania; Weiss, Scott Murray

PA Vernalis Research Limited, UK

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055083	A1	20020718	WO 2002-GB91	20020110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,				

Patel

<5/18/2003>

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
GB 2001-624 A 20010110

OS MARPAT 137:109285

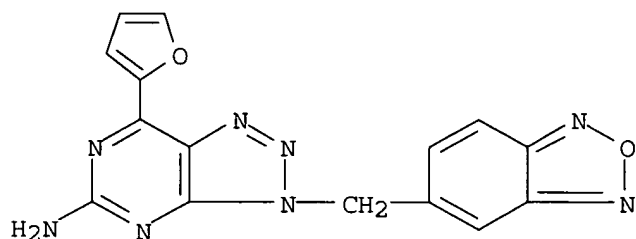
IT **442908-24-9P 442908-43-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists)

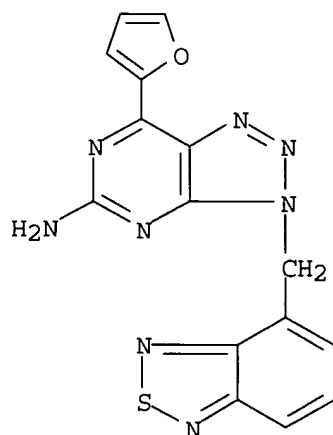
RN 442908-24-9 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzoxadiazol-5-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)

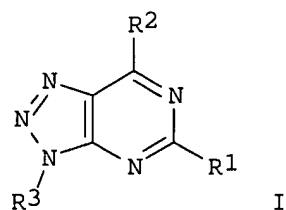


RN 442908-43-2 CAPLUS

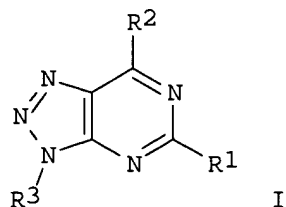
CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzothiadiazol-4-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)



GI







AB The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = aryl attached via an unsatd. carbon; R3 = H, alkyl, COR5, CO2R7, CONR5R6, CONR4NR5R6, SO2R7; R4-R6 = H, alkyl, aryl; or NR5R6 = heterocyclyl; or where R4-R6 are in a CONR4NR5R6 group, R4 and R5 may be linked to form a heterocyclic group; R7 = alkyl, aryl], useful in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A2A receptors, may be beneficial, particularly wherein said disorder is a movement disorder such as Parkinson's disease or depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection, were prepd. Thus, reacting 7-(2-furyl)-1H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (prepn. given) with 2-fluorobenzyl bromide in the presence of NaH in DMF afforded 22% I [R1 = NH2; R2 = 2-furyl; R3 = 2-FC6H4CH2] which showed Ki of 3 nM against A2A receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2000:210169 CAPLUS

DN 132:251158

TI Preparation of [1,2,4]triazolo[1,5-c]pyrimidine derivatives as adenosine A2A receptor antagonists

IN Shimada, Junichi; Imma, Hironori; Osakada, Naoto; Shiozaki, Shizuo; Kanda, Tomoyuki; Kuwana, Yoshihisa

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017201	A1	20000330	WO 1999-JP5176	19990922
W: AU, BG, BR, CA, CN, CZ, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2344828	AA	20000330	JP 1998-267178 A	19980922
			CA 1999-2344828	19990922
			JP 1998-267178 A	19980922
AU 9957579	A1	20000410	WO 1999-JP5176 W	19990922
			AU 1999-57579	19990922
			JP 1998-267178 A	19980922
			WO 1999-JP5176 W	19990922
EP 1116722	A1	20010718	EP 1999-944771	19990922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
			JP 1998-267178 A	19980922

BR 9914040	A	20020115	WO 1999-JP5176 W 19990922
			BR 1999-14040 19990922
			JP 1998-267178 A 19980922
NO 2001001417	A	20010521	WO 1999-JP5176 W 19990922
			NO 2001-1417 20010320
			JP 1998-267178 A 19980922
US 6545000	B1	20030408	WO 1999-JP5176 W 19990922
			US 2001-787779 20010322
			JP 1998-267178 A 19980922
			WO 1999-JP5176 W 19990922

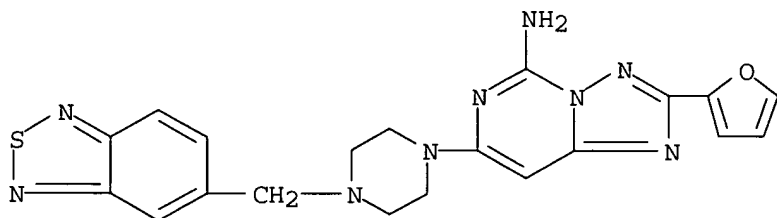
OS MARPAT 132:251158

IT **262452-17-5P**

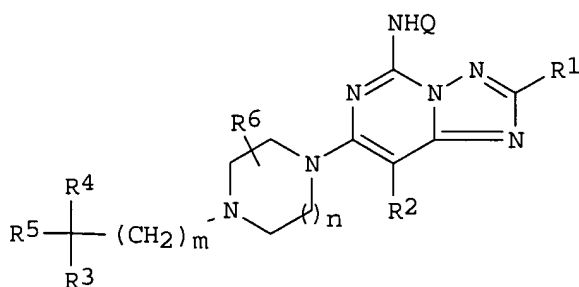
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of **triazolopyrimidines** as receptors inhibitors)

RN 262452-17-5 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5-amine, 7-[4-(2,1,3-benzothiadiazol-5-ylmethyl)-1-piperazinyl]-2-(2-furanyl)- (9CI) (CA INDEX NAME)



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I

AB Title compds. [I; wherein R1 represents heteroaryl, etc.; R2 represents hydrogen, etc.; n and m represent each an integer of 0 to 4; Q represents hydrogen, etc.; R6 represents hydrogen, etc.; R3 represents hydroxy, hydroxy(lower alkyl), lower alkoxy, imidazo[1,2-a]pyridyl, etc.; and R4 and R5 represent each lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a satd. carbon ring when R3 is any of OH, alkylhydroxy, alkoxy; or R4 and R5 represent each hydrogen, lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a satd. carbon ring when R3 is imidazo[1,2-l]pyridyl] and pharmacol. acceptable salts thereof are prepd. and tested as adenosine A2A receptor antagonists. The title compd. II was prepd.

RE.CNT 2        THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9513075	A1	19950518	WO 1994-US12821	19941114
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1993-152117	19931112
	AU 9510907	A1	19950529	AU 1995-10907	19941114
				US 1993-152117	19931112
				WO 1994-US12821	19941114
	EP 728003	A1	19960828	EP 1995-901808	19941114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				US 1993-152117	19931112
				WO 1994-US12821	19941114
	US 5856331	A	19990105	US 1997-948747	19971010
				US 1993-152117	19931112
				US 1994-353756	19941212

OS MARPAT 124:21803

IT **167427-02-3D**, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

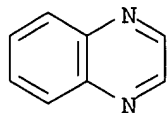
RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

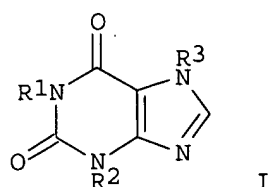
CM 1

CRN 91-19-0

CMF C8 H6 N2



GI



AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d cost

COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
CONNECT CHARGES	24.14	25.31
NETWORK CHARGES	4.26	4.50
SEARCH CHARGES	114.80	262.55
DISPLAY CHARGES	413.16	413.16
	-----	-----
	556.36	705.52
CAPLUS FEE (5%)	27.61	27.61
	-----	-----
FULL ESTIMATED COST	583.97	733.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-51.43	-51.43

IN FILE 'CAPLUS' AT 16:49:22 ON 18 MAY 2003